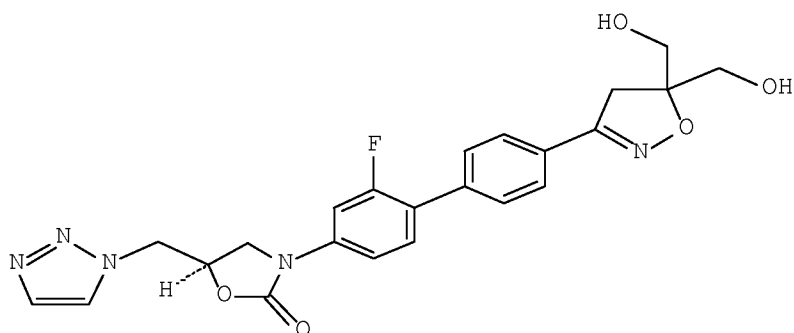


L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:467903 CAPLUS Full-text
 DOCUMENT NUMBER: 141:38599
 TITLE: Preparation of oxazolidinone/isoxazoline derivatives
 as antibacterial agents
 INVENTOR(S): Carcanague, Daniel Robert; Gravestock, Michael Barry;
 Hales, Neil James; Hauck, Sheila Irene; Weber, Thomas
 Peter
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 185 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048392	A1	20040610	WO 2003-GB5087	20031124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2507468	A1	20040610	CA 2003-2507468	20031124
AU 2003302404	A1	20040618	AU 2003-302404	20031124
EP 1567532	A1	20050831	EP 2003-811807	20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016688	A	20051018	BR 2003-16688	20031124
CN 1742015	A	20060301	CN 2003-80109196	20031124
JP 2006508192	T	20060309	JP 2005-510253	20031124
MX 2005PA05651	A	20050727	MX 2005-PA5651	20050526
NO 2005002534	A	20050822	NO 2005-2534	20050526
ZA 2005004308	A	20060426	ZA 2005-4308	20050526
US 2006116400	A1	20060601	US 2005-536686	20050527 <--
PRIORITY APPLN. INFO.:			GB 2002-27702	A 20021128
			GB 2003-4725	A 20030301
			GB 2003-18608	A 20030808
			WO 2003-GB5087	W 20031124
OTHER SOURCE(S):			MARPAT 141:38599	
GI				



II

AB Title compds. (R1a)m-A-C-B-CH₂-R1b [C = biaryl group; A, B = dihydroisoxazole, oxazolidinone; R1a = CN, carboxy, alkoxy, carbonyl, aryl, etc.; m = 0-2; R1b = OH, silyloxy, etc.; I] are prepared For instance, (5R)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given) is coupled to 5,5-bis[(tert-butyltrimethylsilyl)oxy]methyl-3-[4-(trimethylstannyl)phenyl]-4,5-dihydroisoxazole (preparation given) (NMP, (furan-2-yl)₃P, dba₃Pd₂) and the resulting adduct desilylated (THF, TBAF) to give II. II exhibits MIC = 0.5 µg/mL against Staphylococcus aureus; compds. of the invention are antibacterial agents.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

Uploading C:\Program Files\Stnexp\Queries\10536686A.str



chain nodes :

18 27 28

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 19 20 21 22 23

chain bonds :

1-7 4-27 10-28 17-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-17 14-15 15-16 16-17 19-20 19-23 20-21 21-22 22-23

exact/norm bonds :

4-27 10-28 13-14 13-17 14-15 15-16 16-17 17-18 19-20 19-23 20-21 21-22 22-23

exact bonds :

1-7

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

G1:[*1],[*2]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 27:CLASS 28:CLASS

L1 STRUCTURE UPLOADED

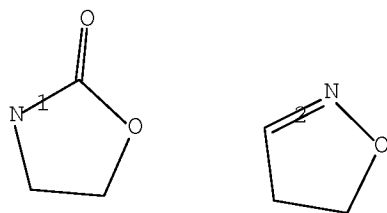
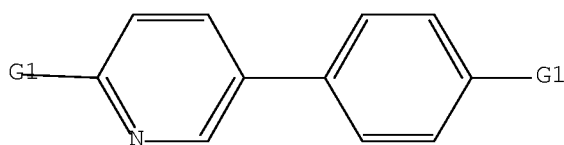
=> que L1

L2 QUE L1

=> d 12

L2 HAS NO ANSWERS

L1 STR



G1 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

L2 QUE ABB=ON PLU=ON L1

=> s sss sam l1

SAMPLE SEARCH INITIATED 13:41:52 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 22 TO ITERATE

100.0% PROCESSED 22 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 159 TO 721

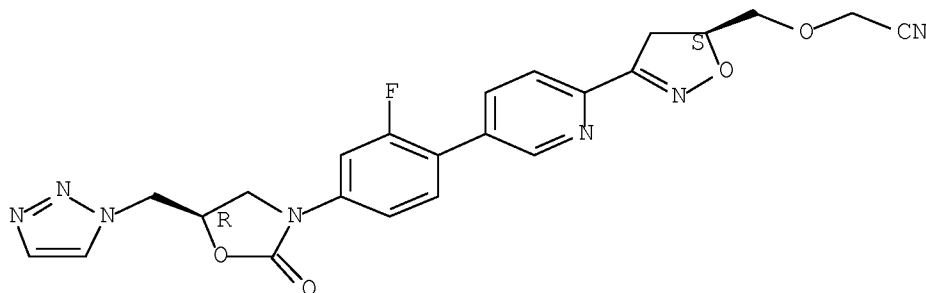
PROJECTED ANSWERS: 8 TO 329

L3 8 SEA SSS SAM L1

=> d scan

L3 8 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Acetonitrile, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-
MF C23 H20 F N7 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> s sss full l1
FULL SEARCH INITIATED 13:42:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 484 TO ITERATE

100.0% PROCESSED 484 ITERATIONS 200 ANSWERS
SEARCH TIME: 00.00.01

L4 200 SEA SSS FUL L1

=> s ave temp l4 carc10536686/a
SAVED ANSWER SET NAME MAY NOT BE USED IN QUERIES 'CARC10536686/A'
Saved answer sets must be activated before they are used in search profiles. This must be done in the same file in which they were created. Use the FILE command to change files if necessary, then enter "ACTIVATE" followed by the saved name (including /A) at an arrow prompt (=>). Use the L# assigned to the answer set in your search profile.

=> save temp l4 carc10536686/a
ANSWER SET L4 HAS BEEN SAVED AS 'CARC10536686/A'

=> fil caplu

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	179.28	179.70

FILE 'CAPLUS' ENTERED AT 13:43:29 ON 02 MAY 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 2 May 2008 VOL 148 ISS 19
FILE LAST UPDATED: 1 May 2008 (20080501/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 14

L5 9 L4

=> s 15 and (ay<2002 or py<2002 or pry<2002)

4202052 AY<2002

21939581 PY<2002

3675574 PRY<2002

L6 2 L5 AND (AY<2002 OR PY<2002 OR PRY<2002)

=> d ibib abs hitstr 16 1-2

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:221667 CAPLUS Full-text

DOCUMENT NUMBER: 138:238171

TITLE: Preparation of oxazolidinones and/or isoxazolines as antibacterial agents

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Swain, Michael Lingard; Hauck, Sheila Irene; Mills, Stuart Dennett

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 127 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2003022824	A1	20030320	WO 2002-GB4120	20020909 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2459766	A1	20030320	CA 2002-2459766	20020909 <--

AU 2002329393	A1	20030324	AU 2002-329393	20020909 <--
EP 1427711	A1	20040616	EP 2002-765019	20020909 <--
EP 1427711	B1	20050713		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
HU 2004001005	A2	20040830	HU 2004-1005	20020909 <--
HU 2004001005	A3	20071128		
BR 2002012458	A	20041019	BR 2002-12458	20020909 <--
JP 2005507386	T	20050317	JP 2003-526899	20020909 <--
NZ 531621	A	20050624	NZ 2002-531621	20020909 <--
CN 1639136	A	20050713	CN 2002-822327	20020909 <--
AT 299502	T	20050715	AT 2002-765019	20020909 <--
PT 1427711	T	20051130	PT 2002-765019	20020909 <--
ES 2244802	T3	20051216	ES 2002-765019	20020909 <--
ZA 2004001888	A	20050418	ZA 2004-1888	20040308 <--
MX 2004PA02303	A	20040629	MX 2004-PA2303	20040310 <--
NO 2004001428	A	20040608	NO 2004-1428	20040405 <--
US 20050107435	A1	20050519	US 2004-489266	20040909 <--
HK 1065789	A1	20051230	HK 2004-108506	20041029 <--
PRIORITY APPLN. INFO.:			GB 2001-21942	A 20010911 <--
			GB 2002-15420	A 20020704
			WO 2002-GB4120	W 20020909
OTHER SOURCE(S):			MARPAT 138:238171	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Oxazolidinones and isoxazolines (shown as I; variables defined below; e.g. (5S,5S')-N-[3-[4'-(5-(acetylaminomethyl)-2-oxooxazolidin-3-yl]-2,2'-difluorobiphenyl-4-yl]-2-oxooxazolidin-5-ylmethyl]acetamide (shown as II)), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolyzable ester thereof, which useful as antibacterial agents, processes for their manufacture and pharmaceutical compns. containing them are described. For I: C is for example III, IV, V; wherein A and B = 2-oxooxazolidin-3-yl, 2-isoxazolin-3-yl; R2a to R3b = H and F; R1a and R1b =, for example, hydroxy, -NHC(:W)R4, NH(HET-1) and HET-2; wherein W is O or S; R4 is, for example, H, amino, (1-4C)alkyl; HET-1 is, for example, a C-linked 5-membered heteroaryl ring; HET-2 is, for example, an N-linked 5-membered, fully or partially unsatd. heterocyclic ring. I have good activity against a broad range of Gram-pos. pathogens including organisms known to be resistant to most commonly used antibiotics, together with activity against fastidious Gram neg. pathogens such as H. influenzae, M. catarrhalis, Mycoplasma and Chlamydia strains. The min. inhibitory concns. of II for methicillin sensitive and quinolone sensitive Staphylococcus aureus, methicillin resistant and quinolone resistant Staphylococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, Haemophilus influenzae, and Moraxella catarrhalis are 0.25, 0.5, 0.06, 0.13, 2.0 and 0.5 µg/mL, resp. Preps. of 64 examples of I and many intermediates are included. For example, I was prepared as follows: (5S)-N-[[3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-yl]methyl]acetamide (0.4 mmol) and Bu4NBr (0.4 mmol) were stirred in a mixture of DMF (0.5 mL) and NEt3 (2 mmol), and degassed by bubbling N. Pd(II) acetate (0.04 mmol) was added, and the whole heated at 70° for 18 h. Workup gave the desired product (47 mg). Nine examples of pharmaceutical dosage forms are tabulated.

IT 501940-85-8P, N-[[[(5S)-3-[4-[2-[5-(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl]pyrid-5-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide 501940-86-9P, (5R)-3-[3-Fluoro-4-[2-[5-(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]pyrid-5-yl]phenyl]-5-[(4-methyl-

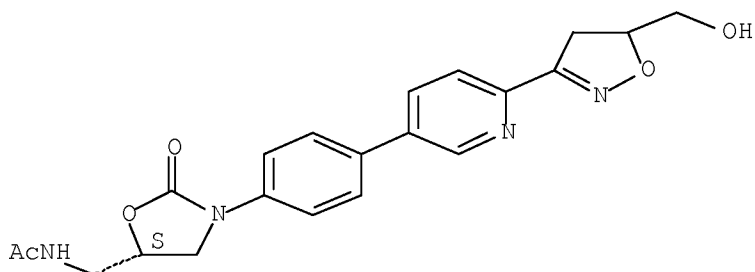
1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-91-6P,
 (5R)-3-[3-Fluoro-4-[6-(5-hydroxymethyl-4,5-dihydroisoxazol-3-yl)pyridin-3-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of oxazolidinones and/or isoxazolines as
 antibacterial agents)

RN 501940-85-8 CAPLUS

CN Acetamide, N-[[[(5S)-3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

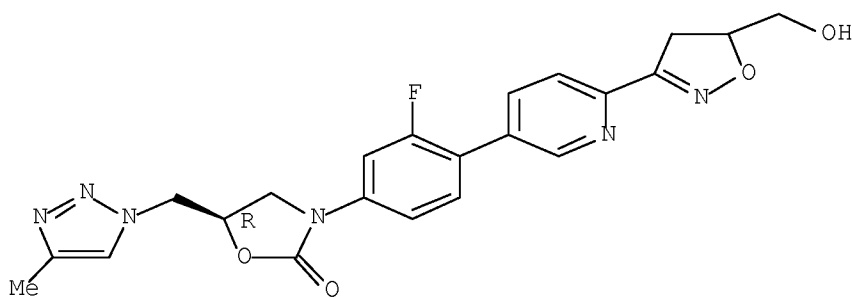
Absolute stereochemistry.



RN 501940-86-9 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (CA INDEX NAME)

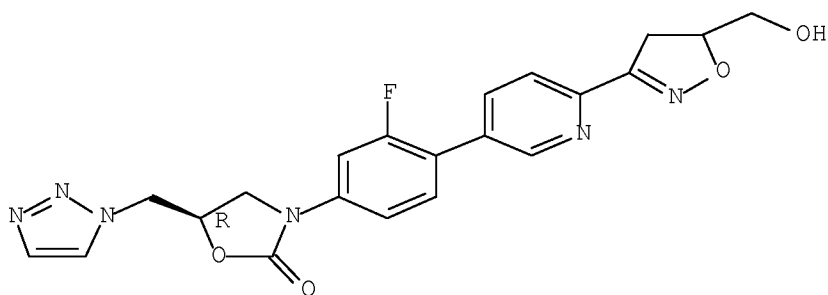
Absolute stereochemistry.



RN 501940-91-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:904162 CAPLUS Full-text

DOCUMENT NUMBER: 136:37590

TITLE: Preparation of (S)-3-(pyrimidinyl- or pyridinylphenyl)-5-(acetylaminomethyl)-2-oxazolidinones as antibacterial agents

INVENTOR(S): Lee, Jae-gul; Leem, Won-bin; Cho, Jong-hwan; Choi, Sung-hak; Lee, Jong-jin; Park, Sang-kuk; Lee, Tae-hoo; Kim, Dong-goo; Sung, Hyun-jung

PATENT ASSIGNEE(S): Dong A Pharm. Co., Ltd., S. Korea

SOURCE: PCT Int. Appl., 199 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

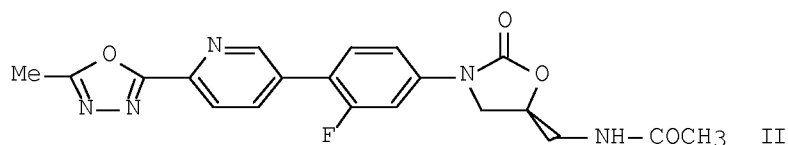
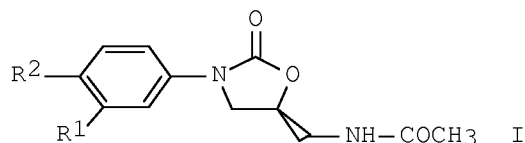
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094342	A1	20011213	WO 2001-KR821	20010518 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
KR 2002071576	A	20020913	KR 2001-11691	20010307 <--
CA 2411859	A1	20011213	CA 2001-2411859	20010518 <--
AU 2001058897	A	20011217	AU 2001-58897	20010518 <--
AU 2001258897	A2	20011217	AU 2001-258897	20010518 <--
EP 1289984	A1	20030312	EP 2001-932368	20010518 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011280	A	20030610	BR 2001-11280	20010518 <--
NZ 522990	A	20030829	NZ 2001-522990	20010518 <--
JP 2003535860	T	20031202	JP 2002-501891	20010518 <--
HU 2003001562	A2	20031229	HU 2003-1562	20010518 <--
US 20030166620	A1	20030904	US 2002-296896	20021127 <--
US 6689779	B2	20040210		
MX 2002PA12045	A	20031015	MX 2002-PA12045	20021205 <--

PRIORITY APPLN. INFO.:

KR 2000-30895	A	20000605 <--
KR 2000-30896	A	20000605 <--
KR 2000-56035	A	20000923 <--
KR 2001-11691	A	20010307 <--
WO 2001-KR821	W	20010518 <--

OTHER SOURCE(S): CASREACT 136:37590; MARPAT 136:37590
GI



AB Title compds. I [wherein R1 = H, F, Cl, or CF3; j R2 = (un)substituted pyrimidinyl or pyridinyl; and pharmaceutically acceptable salts thereof] were prepared I have wide antibacterial spectrum, superior antibacterial activity, and low toxicity, such that they are useful as antibiotics. For example, 1-methyl-2-pyrrolidone was dissolved in (S)-N-[[3-(4- trimethylstannyl-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl] acetamide (preparation given), and the solution was added to 2-(5-methyl-1,3,4-oxadiazolyl)- 5-bromopyridine, LiCl, and Pd(PPh3)2Cl2 to give II. The latter exhibited antibacterial activity against methicillin resistant Staphylococcus aureas, vancomycin resistant Enterococci, H. Influenzae, Ethambutol resistant Mycobacterium tuberculosis, and Vancomycin Mycobacterium tuberculosis with minimal inhibitory concns. (MIC, µ/mL) of 0.39, 0.2, 3.13. 0.1, and 0.1, resp.

IT 380382-42-3P

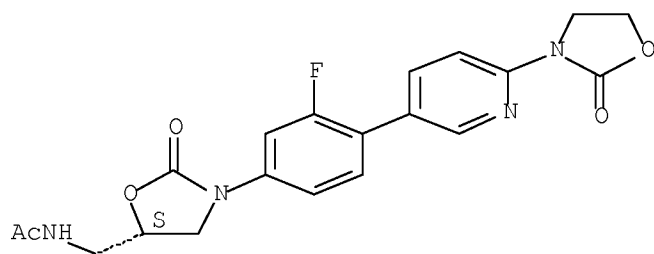
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (pyrimidinyl- or pyridinylphenyl)(acetylaminomethyl)oxazolidinones as antibacterial agents)

RN 380382-42-3 CAPLUS

CN Acetamide, N-[[[(5S)-3-[3-fluoro-4-[6-(2-oxo-3-oxazolidinyl)-3-pyridinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 13:39:33 ON 02 MAY 2008)

FILE 'REGISTRY' ENTERED AT 13:41:28 ON 02 MAY 2008

L1 STRUCTURE UPLOADED
L2 QUE L1
L3 8 S SSS SAM L1
L4 200 S SSS FULL L1
SAVE TEMP L4 CARC10536686/A

FILE 'CAPLUS' ENTERED AT 13:43:29 ON 02 MAY 2008

L5 9 S L4
L6 2 S L5 AND (AY<2002 OR PY<2002 OR PRY<2002)

=> s l5 not l6

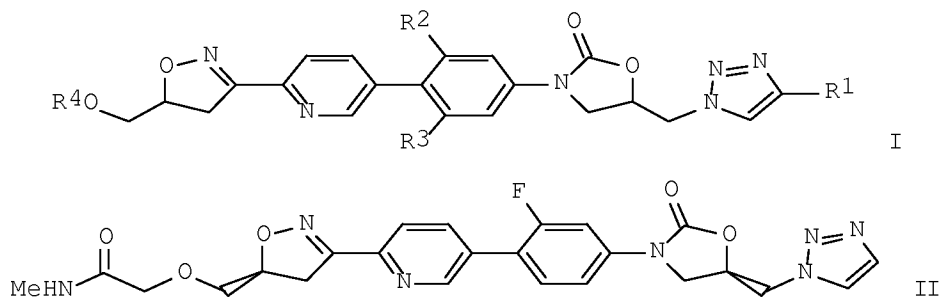
L7 7 L5 NOT L6

=> d ibib abs hitstr 1-7

L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1292133 CAPLUS Full-text
DOCUMENT NUMBER: 144:36355
TITLE: Preparation of 3-(4-(2-dihydroisoxazol-3-ylpyridin-5-yl)phenyl)-5-triazol-1-ylmethyloxazolidin-2-ones as MAO inhibitors for the treatment of bacterial infection.
INVENTOR(S): Gravestock, Michael Barry; Carcanague, Daniel Robert
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2005116024	A1	20051208	WO 2005-GB2059	20050524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005247671	A1	20051208	AU 2005-247671	20050524
CA 2567457	A1	20051208	CA 2005-2567457	20050524
EP 1753753	A1	20070221	EP 2005-746284	20050524
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV			

CN 1989135	A	20070627	CN 2005-80025003	20050524
BR 2005011535	A	20080102	BR 2005-11535	20050524
JP 2008500319	T	20080110	JP 2007-514091	20050524
US 20070208062	A1	20070906	US 2006-569150	20061115
MX 2006PA13540	A	20070126	MX 2006-PA13540	20061122
IN 2006DN07612	A	20070622	IN 2006-DN7612	20061215
NO 2006005873	A	20070220	NO 2006-5873	20061219
KR 2007022784	A	20070227	KR 2006-727035	20061222
PRIORITY APPLN. INFO.:			GB 2004-11595	A 20040525
			GB 2005-56	A 20050105
			WO 2005-GB2059	W 20050524
OTHER SOURCE(S):		CASREACT 144:36355; MARPAT 144:36355		
GI				



AB Title compds. [I; R1 = H, halo, cyano, Me, NCCH₂, FCH₂, F₂CH, F₃C, MeS, alkynyl; R2, R3 = H, F, Cl, CF₃; R4 = NCCH₂, HO₂CCH₂, (substituted) alkyl, aminocarbonylmethyl], were prepared. Thus, [[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]phenyl]pyridin-2-yl]4,5-dihydroisoxazol-5-yl]methoxy]acetic acid (preparation given) was stirred with pentafluorophenol, 4-dimethylaminopyridine, and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride were stirred together for 4 h in DMF to give the pentafluorophenyl ester, which was heated with MeNH₂ in THF/dioxane at 60° for 1.5 h to give title compound (II). II showed a min. inhibitory concentration of 0.06 µg/mL against *Streptococcus pneumoniae*.

IT 870994-62-0P 870994-63-1P 870994-64-2P
 870994-65-3P 870994-66-4P 870994-67-5P
 870994-68-6P 870994-69-7P 870994-70-0P
 870994-71-1P 870994-72-2P 870994-73-3P
 870994-74-4P 870994-75-5P 870994-76-6P
 870994-77-7P 870994-78-8P

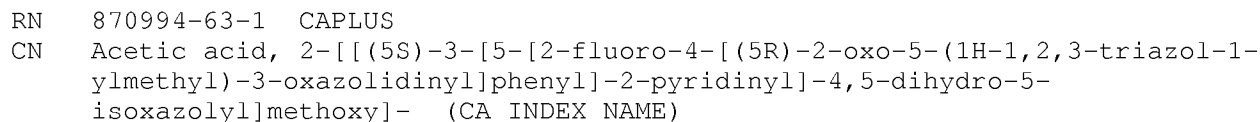
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of
 dihydroisoxazolylpyridinylphenyltriazolylmethyloxazolidinone
 s as MAO inhibitors for the treatment of bacterial infection)

RN 870994-62-0 CAPLUS

CN Acetic acid, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

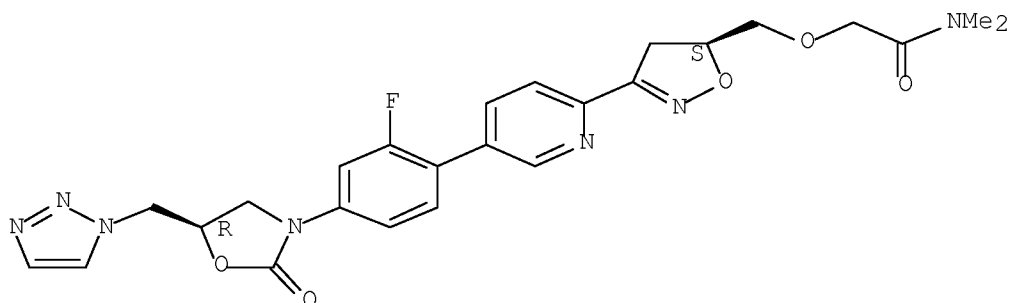
COC(=O)O[C@H]1CN=C(N1)c2ccc(cc2)-c3cc(ccc3F)N4C(=O)O[C@H](C5=CN=CN5)C4

RN	870994-64-2	CAPLUS
CN	Acetamide, 2-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-N-methyl- (CA INDEX NAME)	

CN(C)C(=O)OCC[C@H]1O[C@H](c2cnc(C3=CC=CC=C3N4C(=O)N(C[C@H]5C=CN=C5)C4)c2)S1

RN	870994-65-3	CAPLUS
CN	Acetamide, 2-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-N,N-dimethyl- (CA INDEX NAME)	

Absolute stereochemistry.

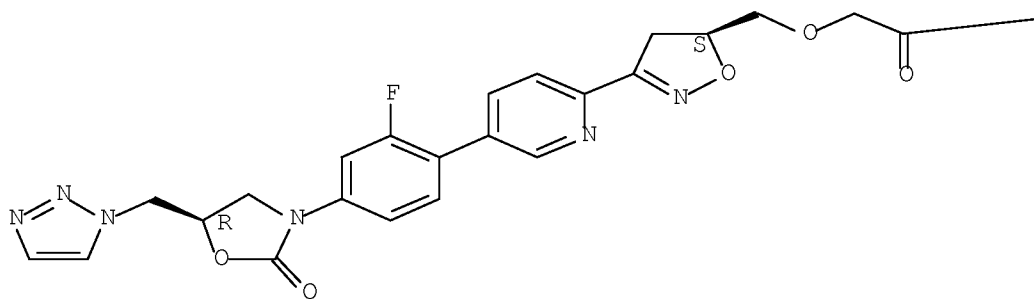


RN 870994-66-4 CAPLUS

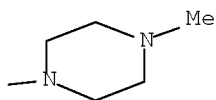
CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[2-(4-methyl-1-piperazinyl)-2-oxoethoxy]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



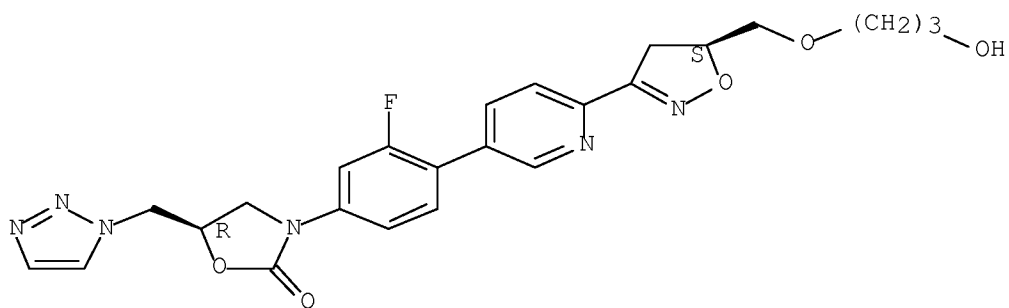
PAGE 1-B



RN 870994-67-5 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(3-hydroxypropoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

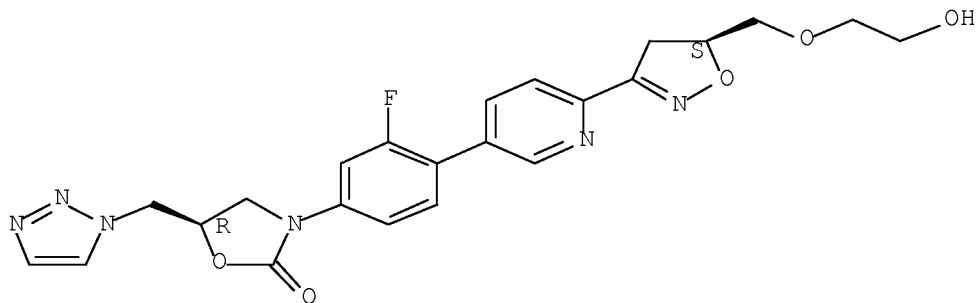
Absolute stereochemistry.



RN 870994-68-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-hydroxyethoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

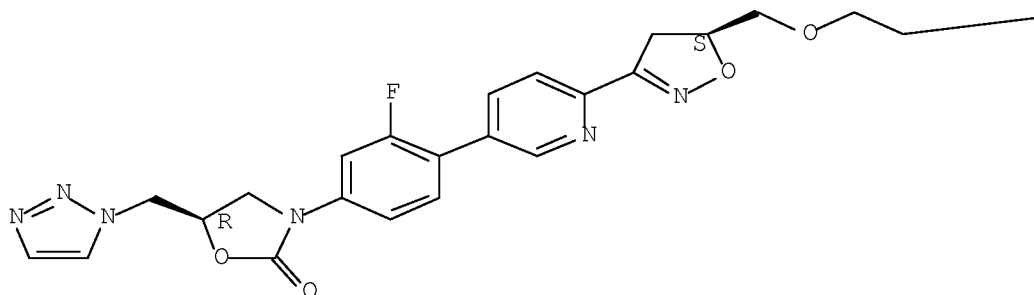


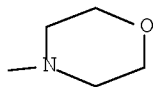
RN 870994-69-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[2-(4-morpholinyl)ethoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

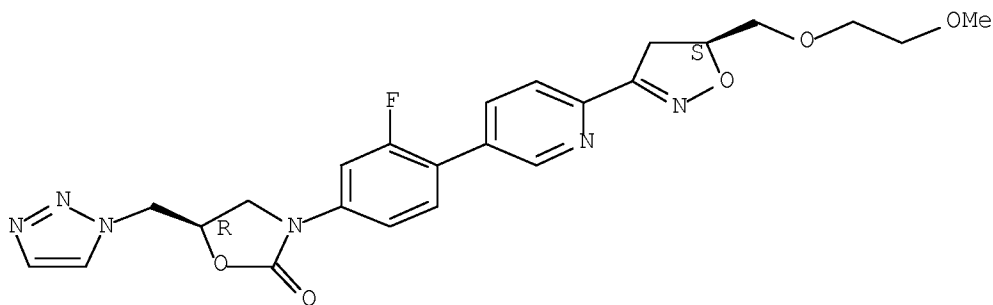




RN 870994-70-0 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-methoxyethoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

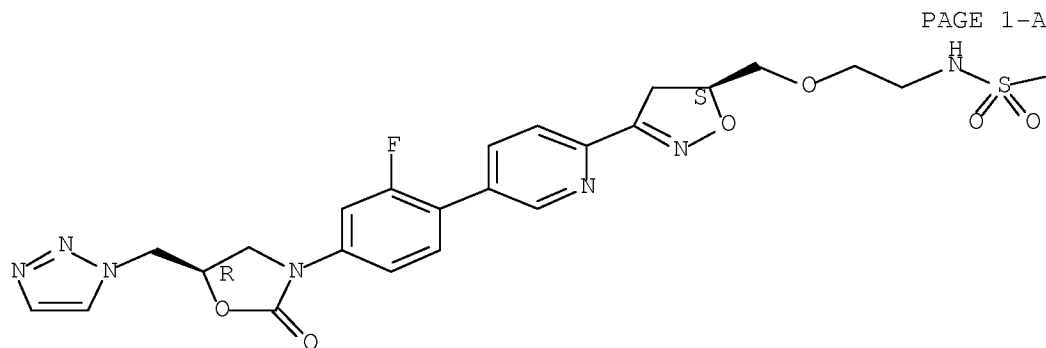
Absolute stereochemistry.



RN 870994-71-1 CAPLUS

CN Methanesulfonamide, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]ethyl]- (CA INDEX NAME)

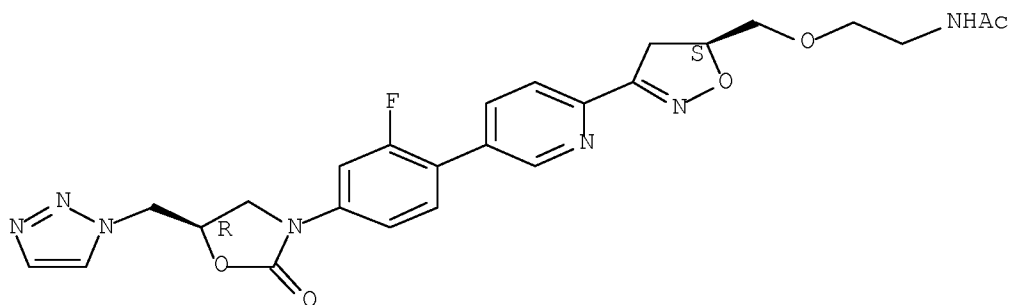
Absolute stereochemistry.



RN 870994-72-2 CAPLUS

CN Acetamide, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]ethyl]- (CA INDEX NAME)

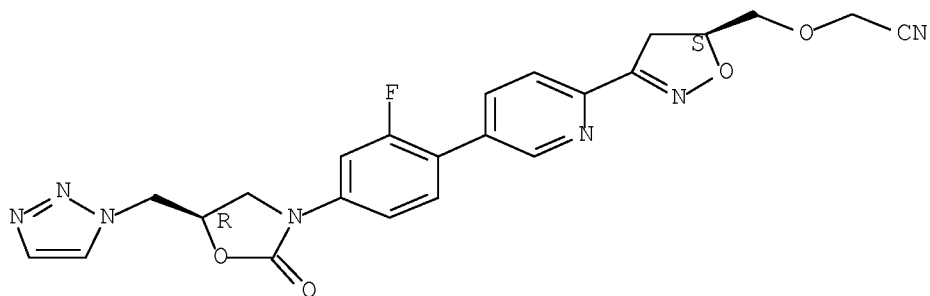
Absolute stereochemistry.



RN 870994-73-3 CAPLUS

CN Acetonitrile, 2-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]- (CA INDEX NAME)

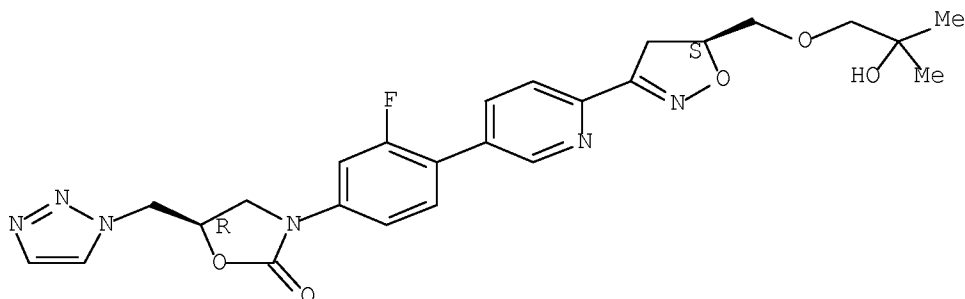
Absolute stereochemistry.



RN 870994-74-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-hydroxy-2-methylpropoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

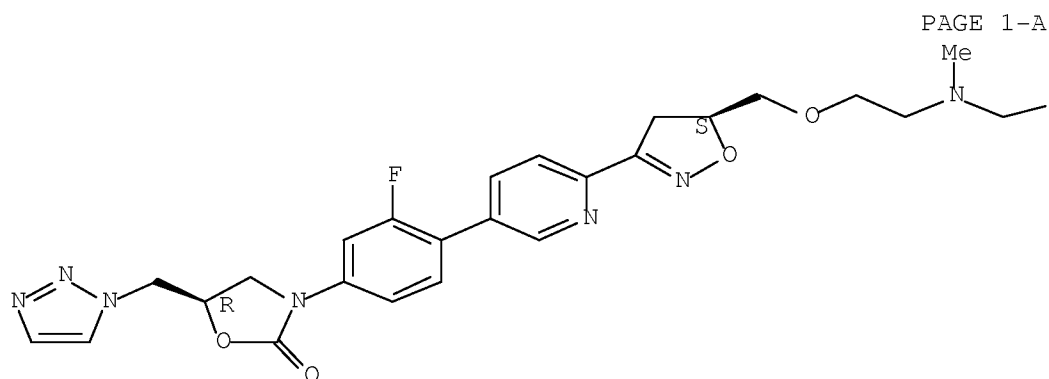


RN 870994-75-5 CAPLUS

CN Glycine, N-[2-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-

ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-
isoxazolyl]methoxy]ethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



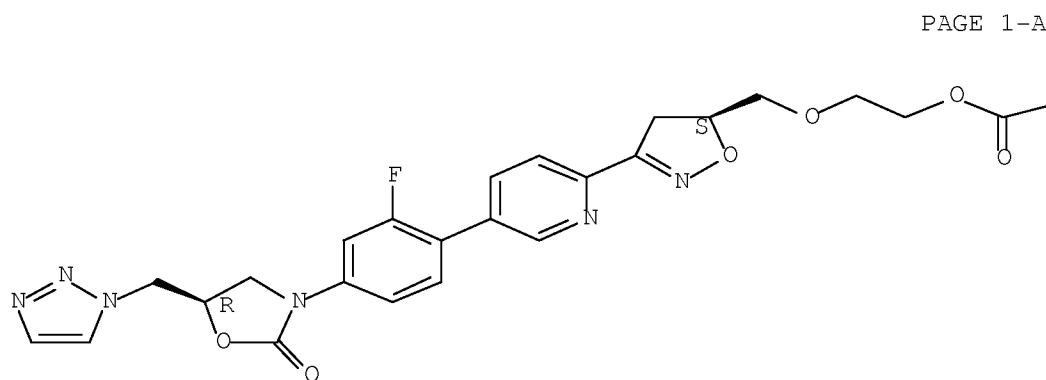
PAGE 1-B

—CO₂H

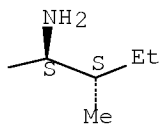
RN 870994-76-6 CAPLUS

CN L-Isoleucine, 2-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



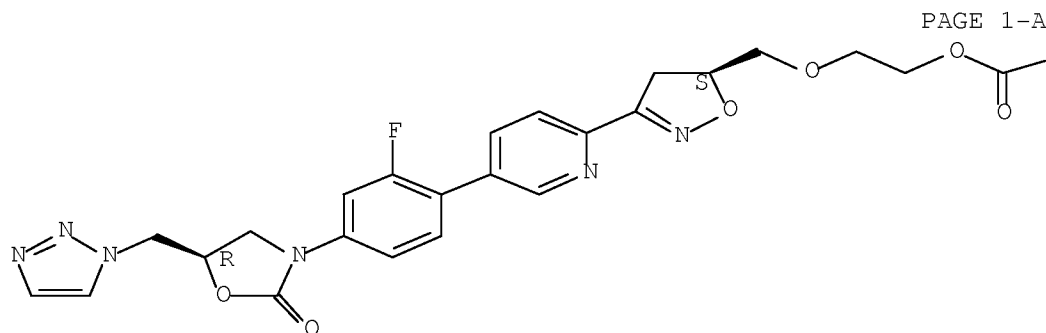
PAGE 1-B



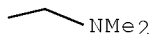
RN 870994-77-7 CAPLUS

CN Glycine, N,N-dimethyl-, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



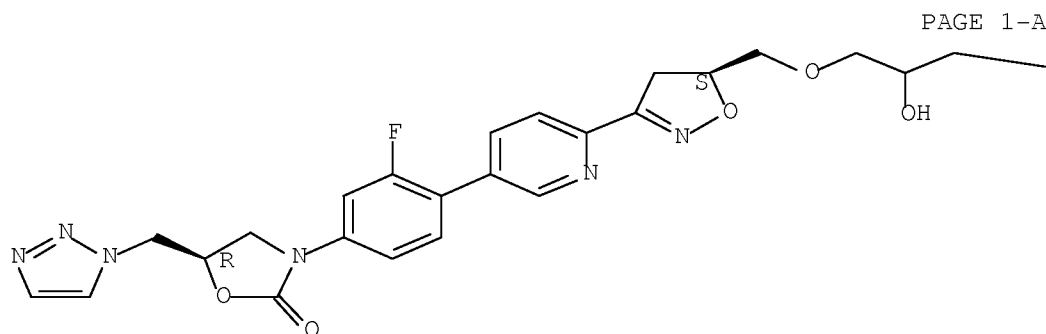
PAGE 1-B



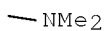
RN 870994-78-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[[3-(dimethylamino)-2-hydroxypropoxy]methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B



IT 702681-58-1P 870994-80-2P 870994-82-4P
870994-86-8P 870994-89-1P 870994-96-0P
870995-01-0P

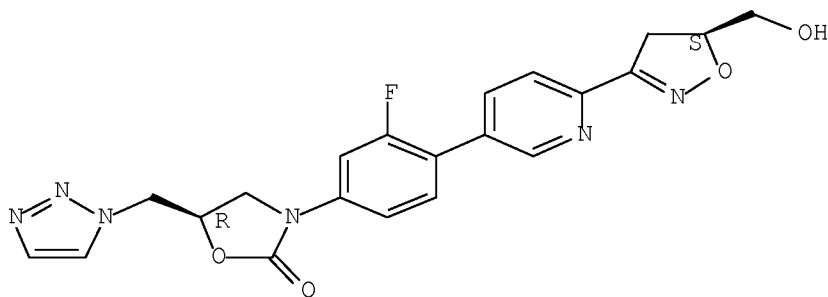
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of
dihydroisoxazolyipyridinylphenyltriazolylmethyloxazolidinone
s as MAO inhibitors for the treatment of bacterial infection)

RN 702681-58-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-
3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA
INDEX NAME)

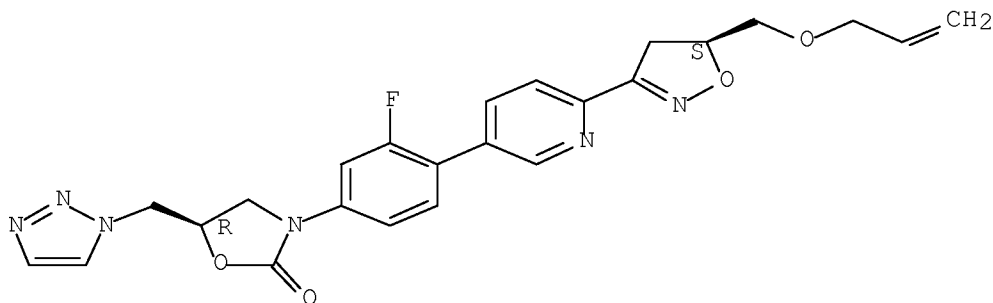
Absolute stereochemistry.



RN 870994-80-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-propen-1-yloxy)methyl]-3-
isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-,
(5R)- (CA INDEX NAME)

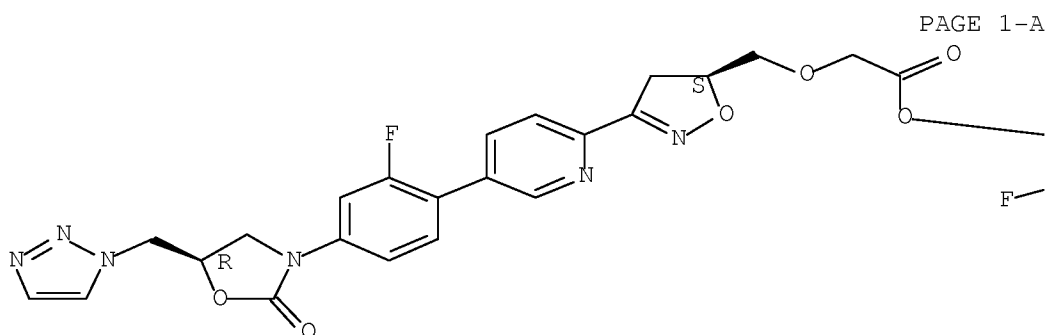
Absolute stereochemistry.



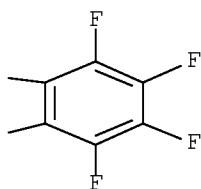
RN 870994-82-4 CAPLUS

CN Acetic acid, [[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-
ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-
isoxazolyl]methoxy]-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

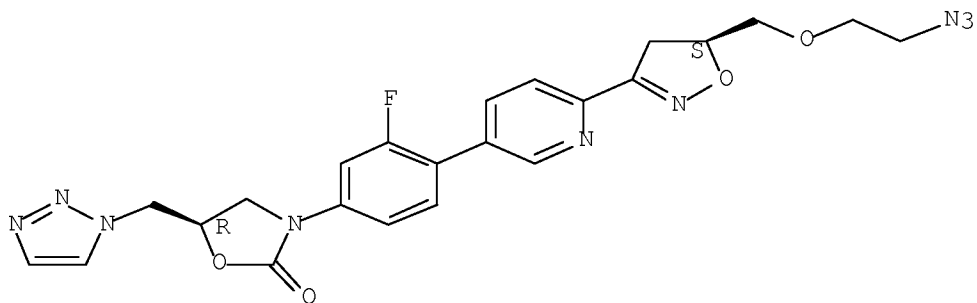


PAGE 1-B



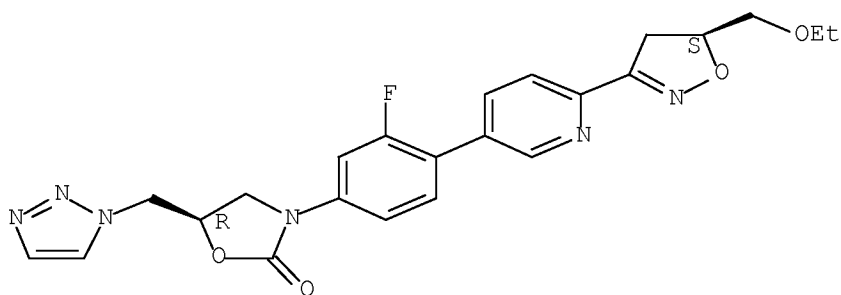
RN 870994-86-8 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(2-azidoethoxy)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 870994-89-1 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-(ethoxymethyl)-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

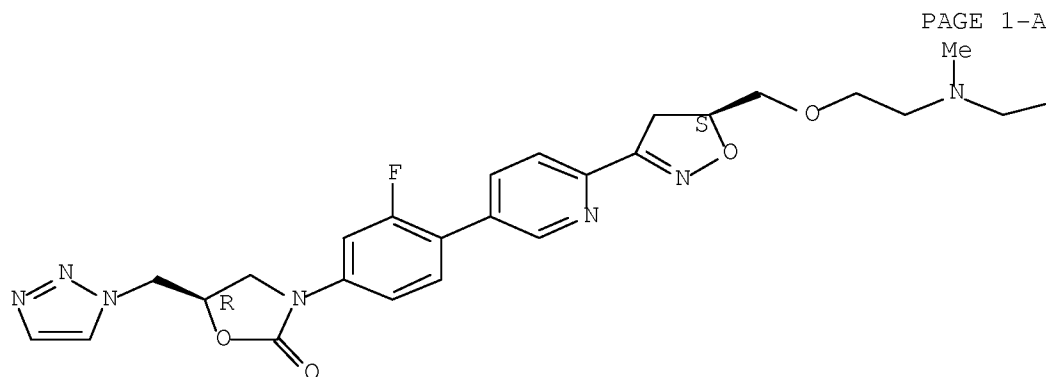
Absolute stereochemistry.



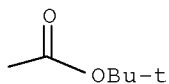
RN 870994-96-0 CAPLUS

CN Glycine, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]ethyl]-N-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

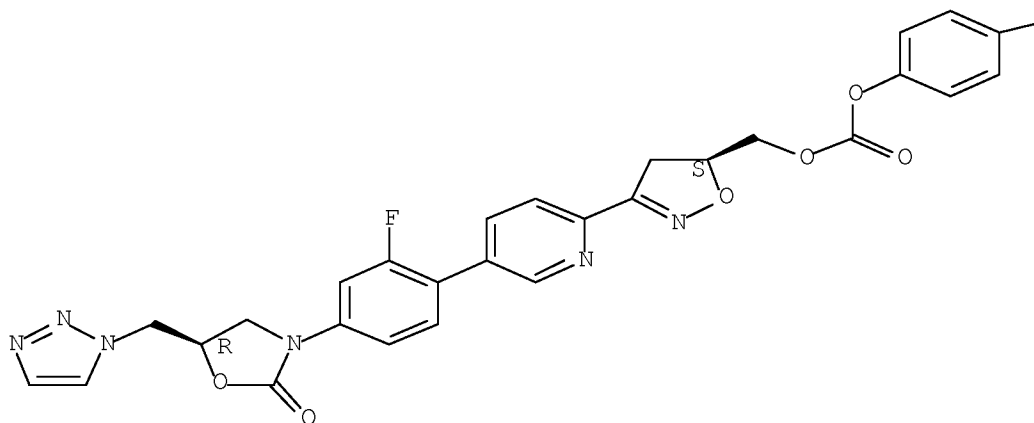


PAGE 1-B

RN 870995-01-0 CAPLUS

CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl 4-nitrophenyl ester (CA INDEX NAME)

Absolute stereochemistry.

—NO₂

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1291829 CAPLUS Full-text

DOCUMENT NUMBER: 144:36350

TITLE: Process for the preparation of aryl-substituted oxazolidinones as intermediates for antibacterial agents

INVENTOR(S): Cherryman, Janette; Cuthbert, Murray Watson; Dubiez, Jerome; Howells, Garnet Edward; Mulholland, Keith Raymond

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

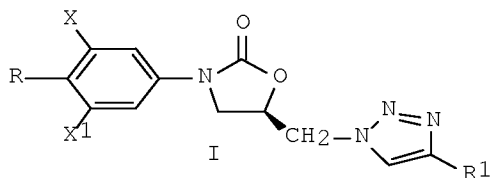
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116017	A1	20051208	WO 2005-GB2042	20050524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

EP 1753751	A1	20070221	EP 2005-746863	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1989133	A	20070627	CN 2005-80024984	20050524
JP 2008500316	T	20080110	JP 2007-514085	20050524
IN 2006MN01440	A	20070525	IN 2006-MN1440	20061127
PRIORITY APPLN. INFO.:			GB 2004-11596	A 20040525
			WO 2005-GB2042	W 20050524
OTHER SOURCE(S):			CASREACT 144:36350; MARPAT 144:36350	
GI				



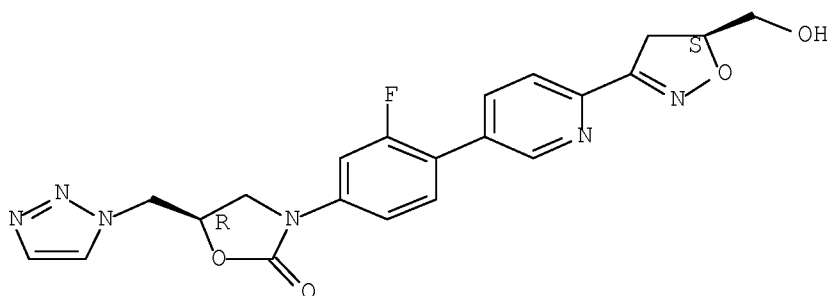
AB The invention relates to aryl(triazolylmethyl)oxazolidinones I (R is Br; X, X1 are independently H or F; R1 is H, halo, cyano, Me, cyanomethyl, fluoromethyl, difluoromethyl, trifluoromethyl or trialkylsilyl) which were prepared by bromination of I (R is H). Thus, I (R = R1 = X = H, X1 = F) was prepared from 3-fluoroaniline R-glycidyl butyrate and sodium azide and its aqueous acetic acid solution treated with 48% weight/weight aqueous hydrobromic acid and then sodium borate in water to afford brominated product I (R = Br). The latter was used to prepare I [R = 6-[(S)-5-(hydroxymethyl)- or (R)-5-(morpholinomethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl; R1 = X = H, X1 = F].

IT 702681-58-1P 870653-78-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of aryl(triazolylmethyl)oxazolidinones as intermediates for antibacterial agents)

RN 702681-58-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

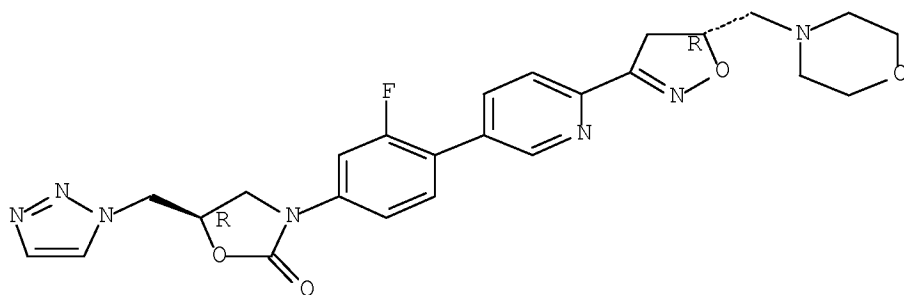
Absolute stereochemistry.



RN 870653-78-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5R)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



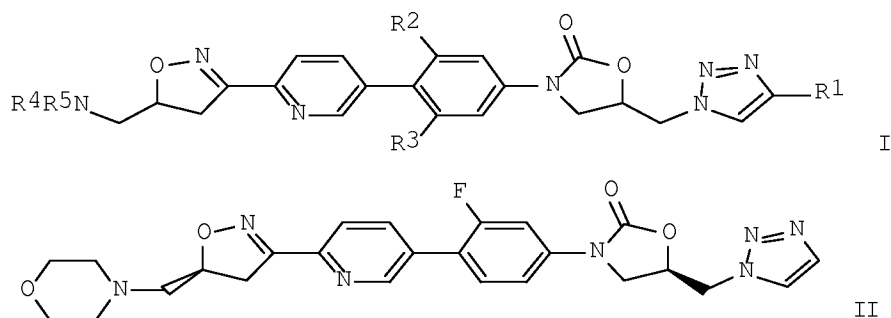
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1291814 CAPLUS Full-text
DOCUMENT NUMBER: 144:36349
TITLE: Preparation of 3-[4-[6-(4,5-dihydroisoxazol-3-yl)pyridin-3-yl]-3-phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-ones as antibacterials.
INVENTOR(S): Carcanague, Daniel Robert; Gravestock, Michael Barry
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116021	A1	20051208	WO 2005-GB2040	20050524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005247663	A1	20051208	AU 2005-247663	20050524
CA 2567454	A1	20051208	CA 2005-2567454	20050524
EP 1753756	A1	20070221	EP 2005-746731	20050524
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
CN 1989136	A	20070627	CN 2005-80025055	20050524
BR 2005011554	A	20080102	BR 2005-11554	20050524
JP 2008500315	T	20080110	JP 2007-514084	20050524
US 20080064689	A1	20080313	US 2006-569148	20061115

MX 2006PA13539	A	20070126	MX 2006-PA13539	20061122
IN 2006DN07658	A	20070817	IN 2006-DN7658	20061218
NO 2006005863	A	20070220	NO 2006-5863	20061219
KR 2007027614	A	20070309	KR 2006-727174	20061222
PRIORITY APPLN. INFO.:			GB 2004-11594	A 20040525
			GB 2005-55	A 20050105
			WO 2005-GB2040	W 20050524

OTHER SOURCE(S): CASREACT 144:36349; MARPAT 144:36349
GI



AB Title compds. [I; R1 = H, halo, Me, NCCH2, FCH2, F2CH, F3C MeS, alkynyl; R2, R3 = H, F, Cl, CF3; R4, R5 = H, Me, (substituted) allyl, Me, NCCH2, CH2CO2H, CO2H, COR6, etc.; R4R5N = (substituted) 5-6 membered (unsatd.) heterocyclyl, (substituted) imidazolyl; R6 = H, Me, cyclopropyl, methylcyclopropyl, CH2CO2H, etc.], were prepared Thus, 4-[[[(5S)-3-(5-bromopyridin-2-yl)-4,5-dihydroisoxazol-5-yl]methyl]morpholine (preparation given), (5R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given), K2CO3, and (PPh3)4Pd were heated together in DMF/H2O at 80° for 30 min. to give title compound (II). II showed a min. inhibitory concentration of 0.13 µg/mL against Streptococcus pneumoniae.

IT 870653-78-4P 870893-08-6P 870893-09-7P
870893-10-0P 870893-11-1P 870893-12-2P
870893-13-3P 870893-14-4P 870893-15-5P
870893-16-6P 870893-18-8P 870893-19-9P
870893-20-2P 870893-21-3P 870893-22-4P
870893-23-5P 870893-24-6P 870893-25-7P
870893-26-8P 870893-27-9P 870893-28-0P
870893-29-1P 870893-30-4P 870893-31-5P
870893-32-6P 870893-33-7P 870893-34-8P
870894-52-3P

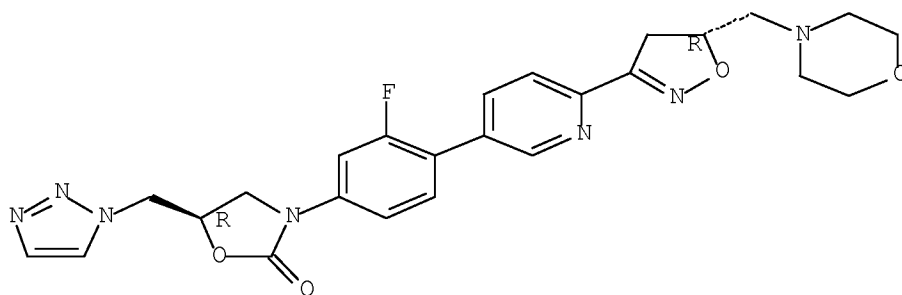
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of dihydroisoxazolylpyridinylphenyltriazolylmethyl oxazolidinones as antibacterials)

RN 870653-78-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5R)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

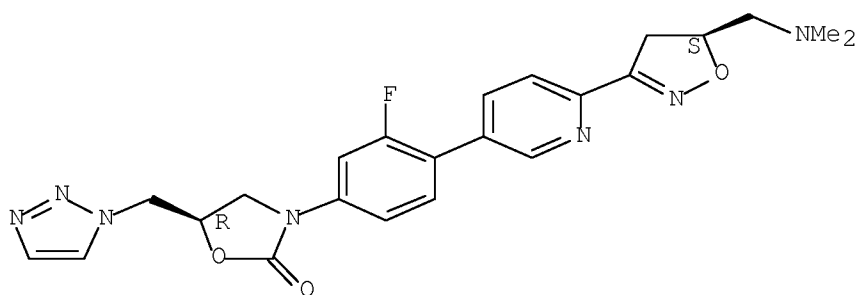
Absolute stereochemistry.



RN 870893-08-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(dimethylamino)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

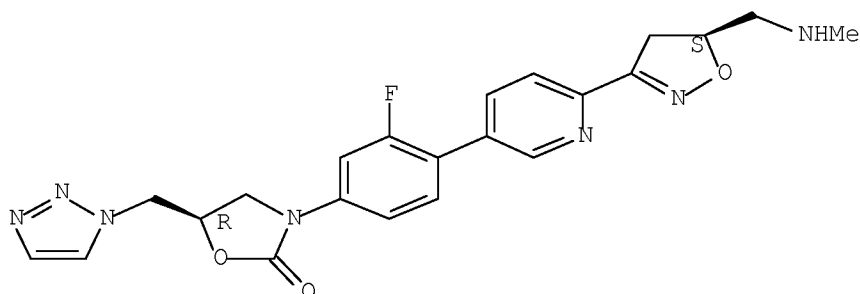
Absolute stereochemistry.



RN 870893-09-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(methylamino)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

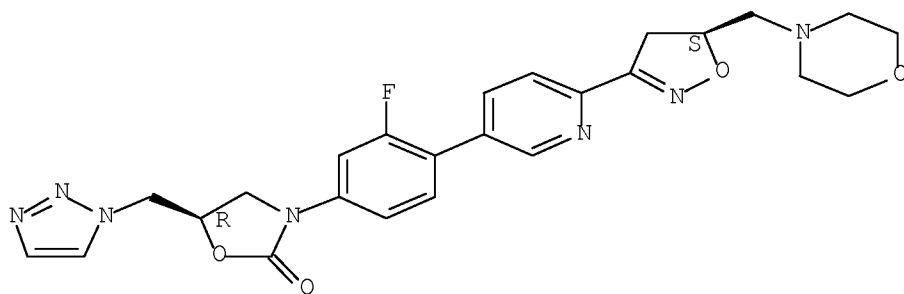
Absolute stereochemistry.



RN 870893-10-0 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

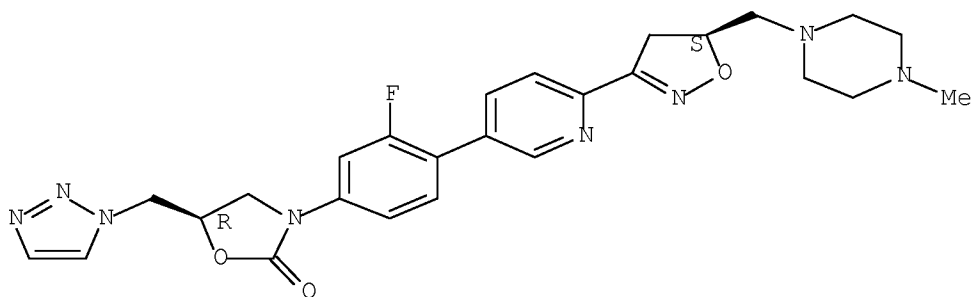
Absolute stereochemistry.



RN 870893-11-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(4-methyl-1-piperazinyl)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

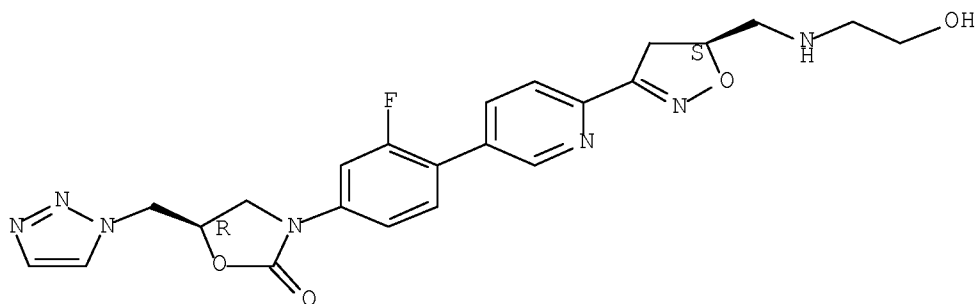
Absolute stereochemistry.



RN 870893-12-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[2-hydroxyethylamino)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

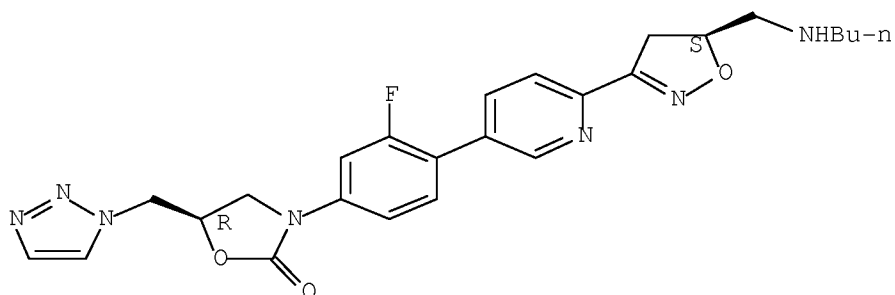


RN 870893-13-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(butylamino)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-

(5R)- (CA INDEX NAME)

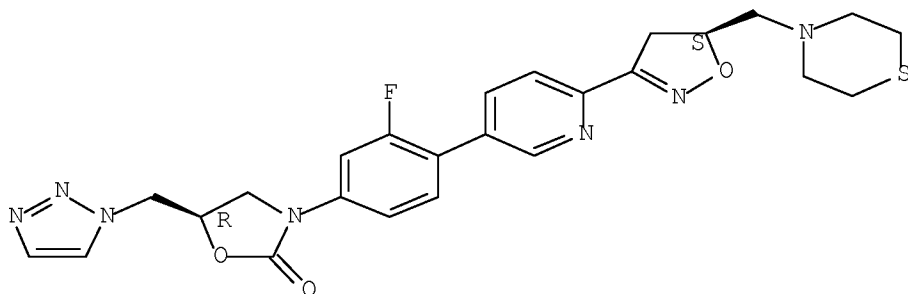
Absolute stereochemistry.



RN 870893-14-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(4-thiomorpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

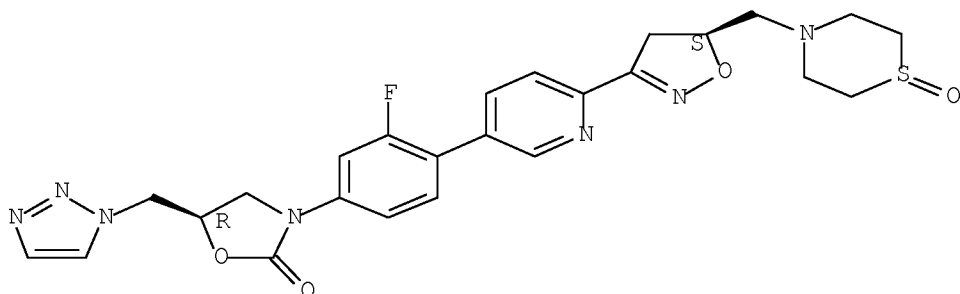
Absolute stereochemistry.



RN 870893-15-5 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(1-oxido-4-thiomorpholinyl)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

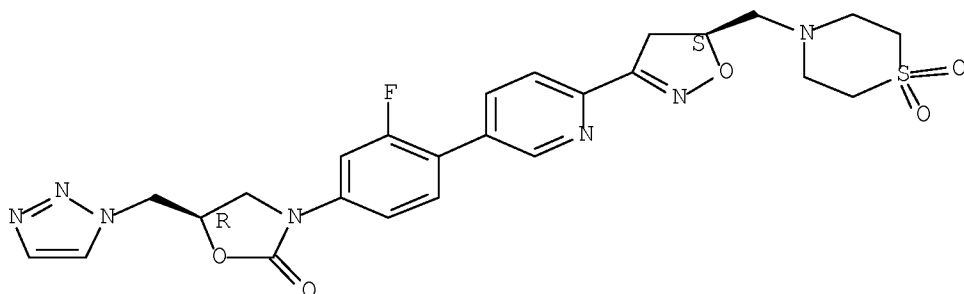
Absolute stereochemistry.



RN 870893-16-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(1,1-dioxido-4-thiomorpholinyl)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

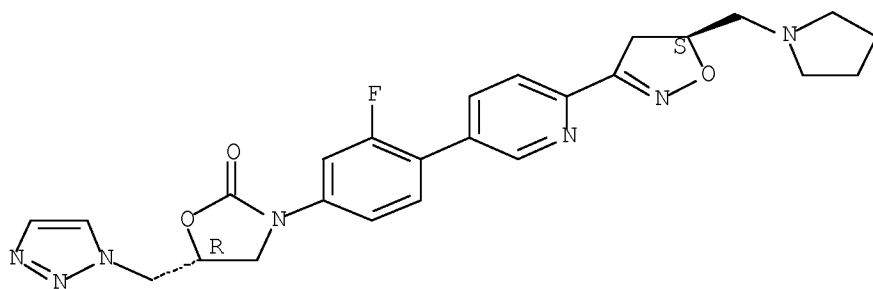
Absolute stereochemistry.



RN 870893-18-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(1-pyrrolidinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

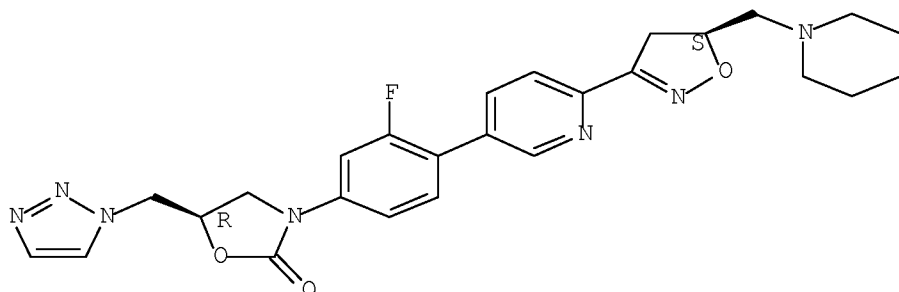
Absolute stereochemistry.



RN 870893-19-9 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(1-piperidinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

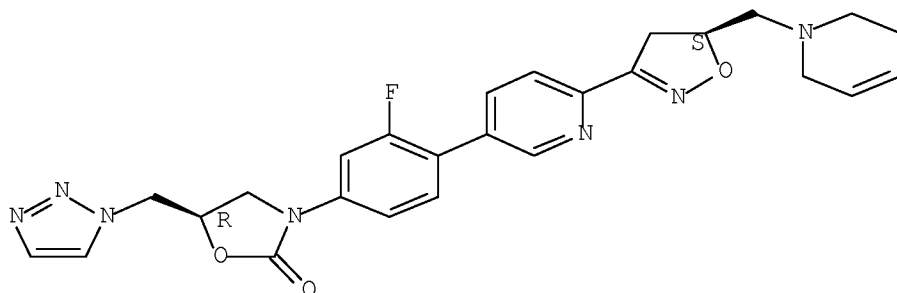
Absolute stereochemistry.



RN 870893-20-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(3,6-dihydro-1(2H)-pyridinyl)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

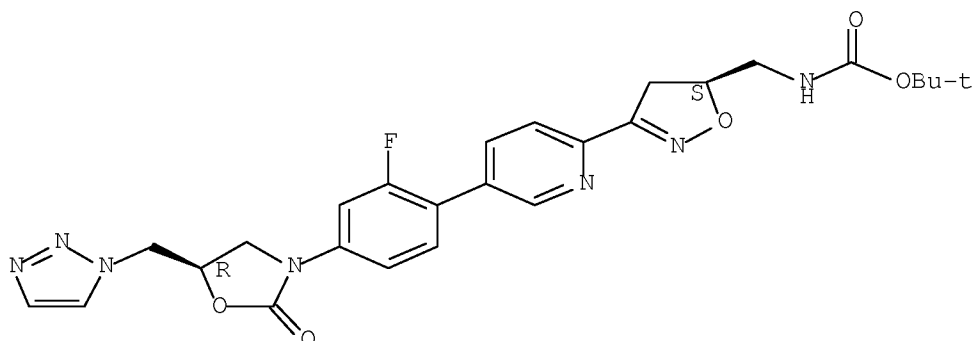
Absolute stereochemistry.



RN 870893-21-3 CAPLUS

CN Carbamic acid, [[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

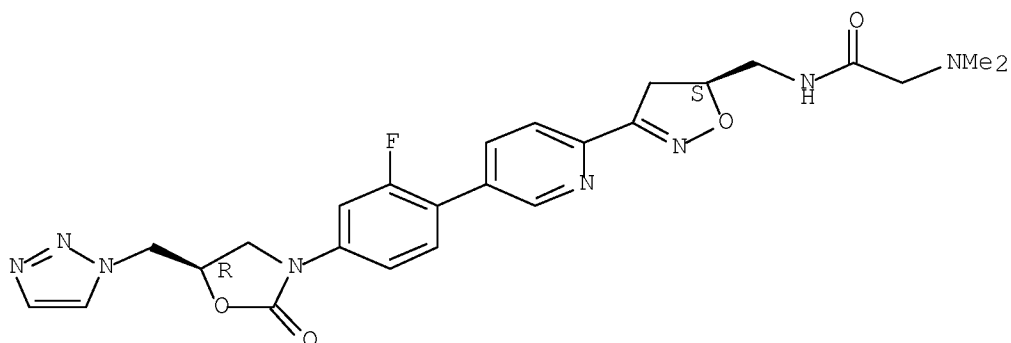
Absolute stereochemistry.



RN 870893-22-4 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]- (CA INDEX NAME)

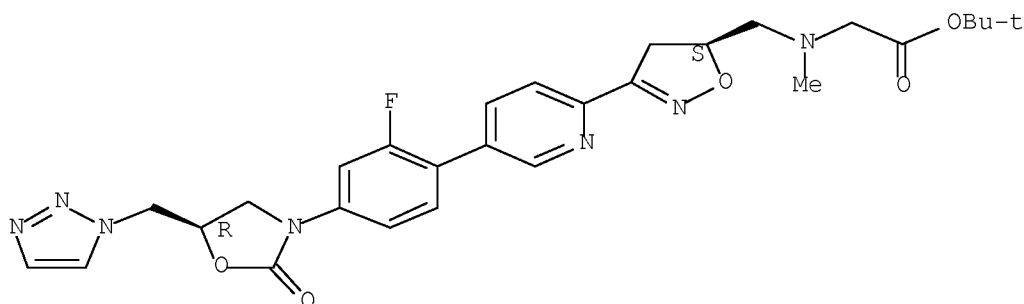
Absolute stereochemistry.



RN 870893-23-5 CAPLUS

CN Glycine, N-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-N-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

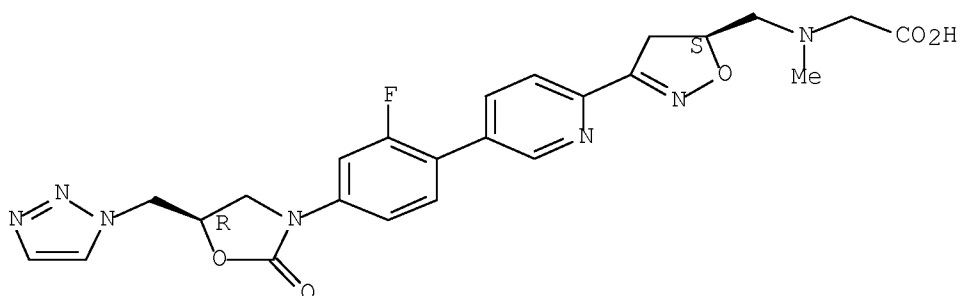
Absolute stereochemistry.



RN 870893-24-6 CAPLUS

CN Glycine, N-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-N-methyl- (CA INDEX NAME)

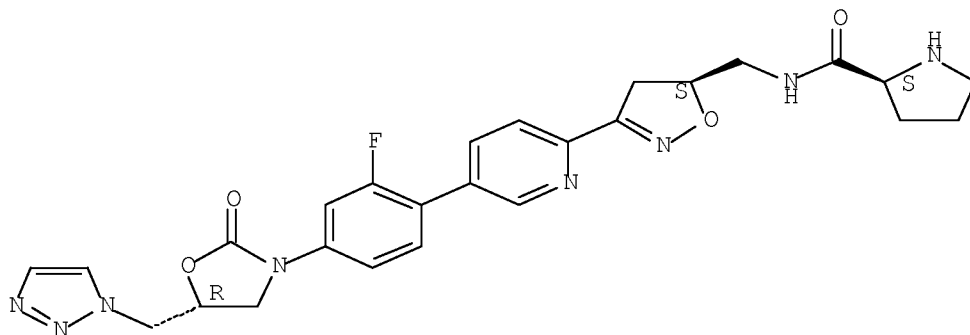
Absolute stereochemistry.



RN 870893-25-7 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-, (2S)- (CA INDEX NAME)

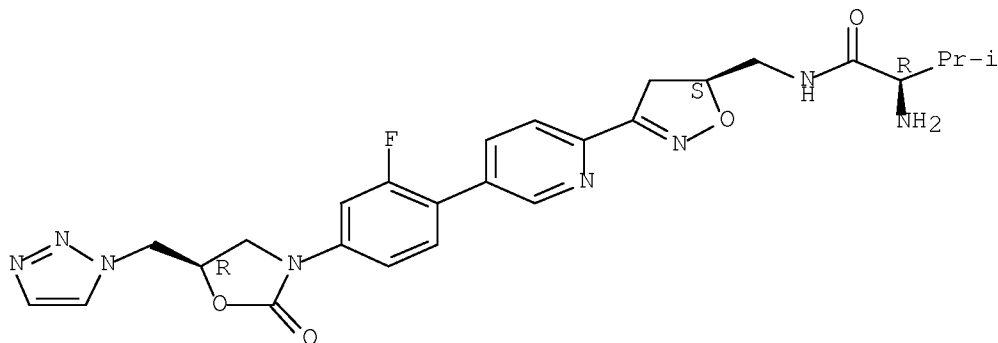
Absolute stereochemistry.



RN 870893-26-8 CAPLUS

CN Butanamide, 2-amino-N-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-3-methyl-, (2R)- (CA INDEX NAME)

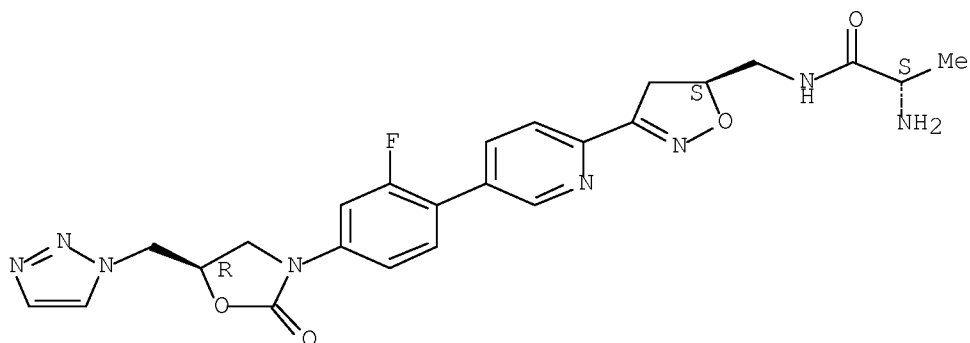
Absolute stereochemistry.



RN 870893-27-9 CAPLUS

CN Propanamide, 2-amino-N-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-, (2S)- (CA INDEX NAME)

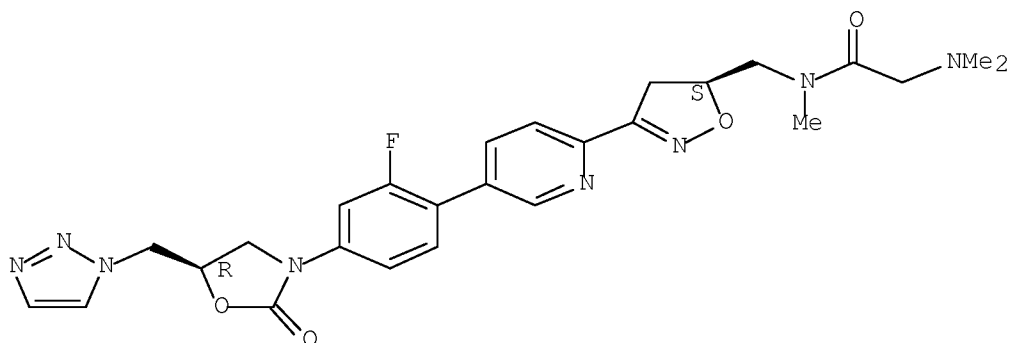
Absolute stereochemistry.



RN 870893-28-0 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-N-methyl- (CA INDEX NAME)

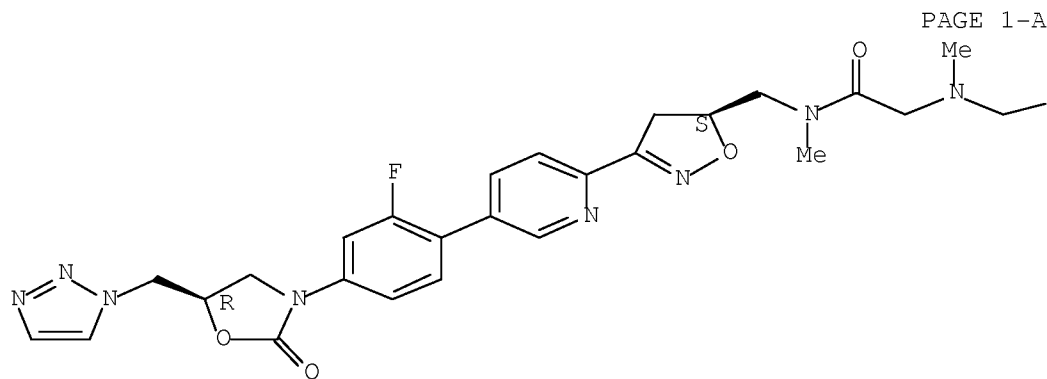
Absolute stereochemistry.



RN 870893-29-1 CAPLUS

CN Glycine, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]methylamino]-2-oxoethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

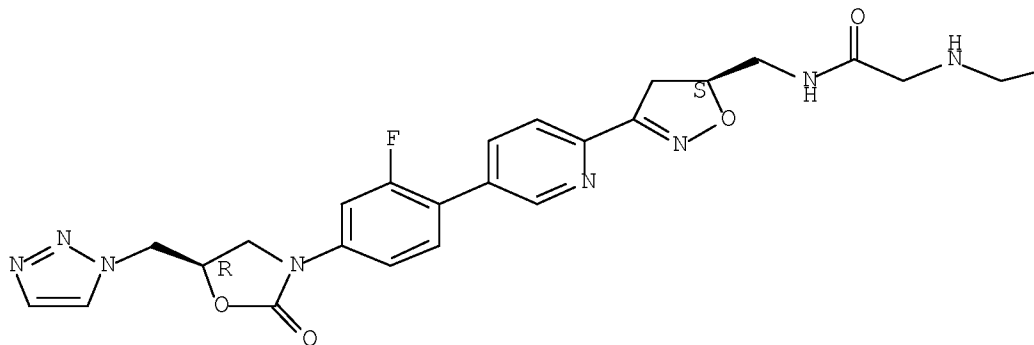
PAGE 1-B

—CO₂H

RN 870893-30-4 CAPLUS

CN Glycine, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

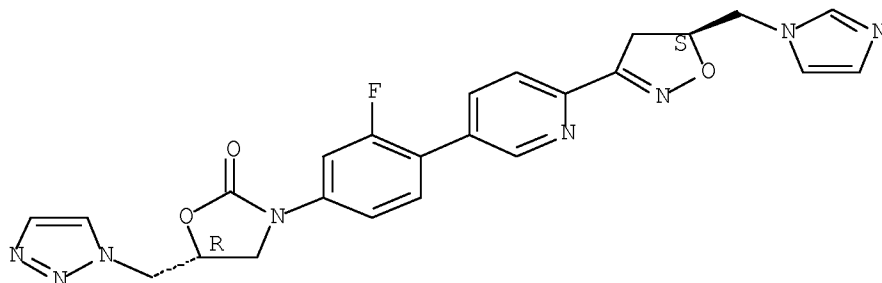


—CO₂H

RN 870893-31-5 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(1H-imidazol-1-ylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

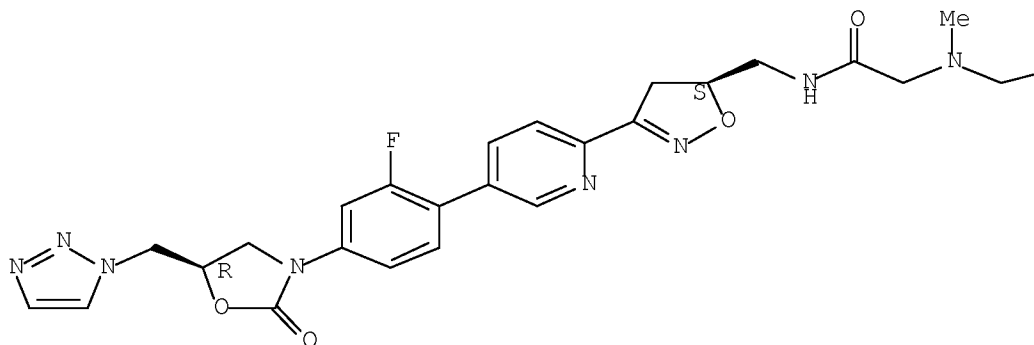
Absolute stereochemistry.



RN 870893-32-6 CAPLUS

CN Glycine, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-2-oxoethyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

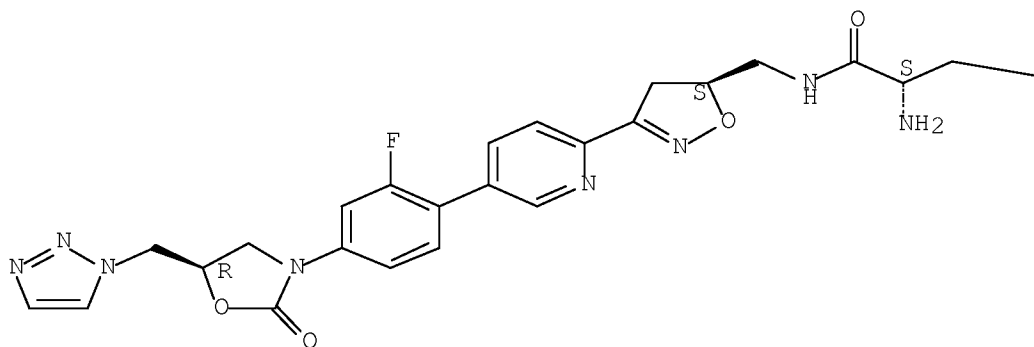


—CO₂H

RN 870893-33-7 CAPLUS

CN Butanoic acid, 3-amino-4-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-4-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



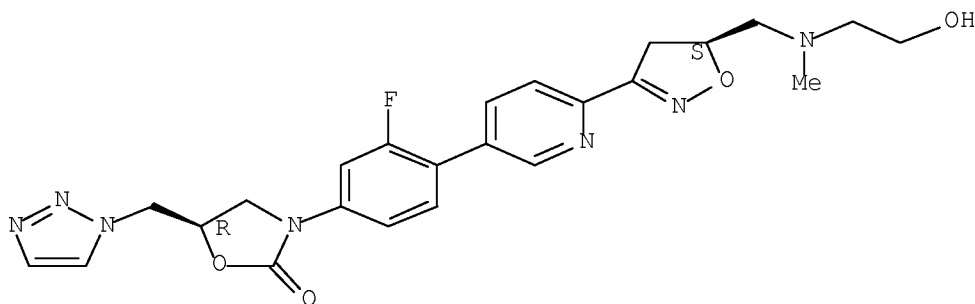
—CO₂H

RN 870893-34-8 CAPLUS

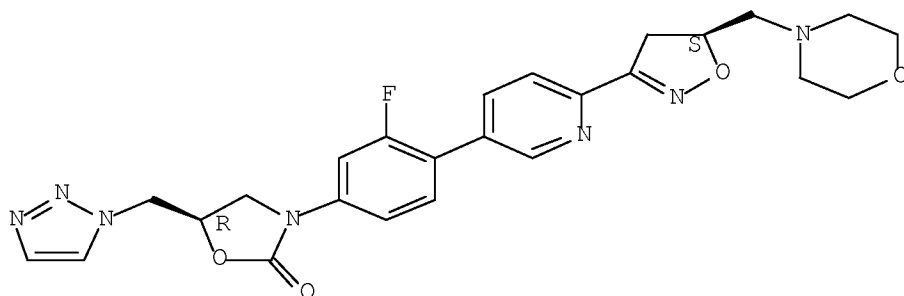
CN Pentanoic acid, 4-amino-5-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-5-oxo-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.



Absolute stereochemistry.

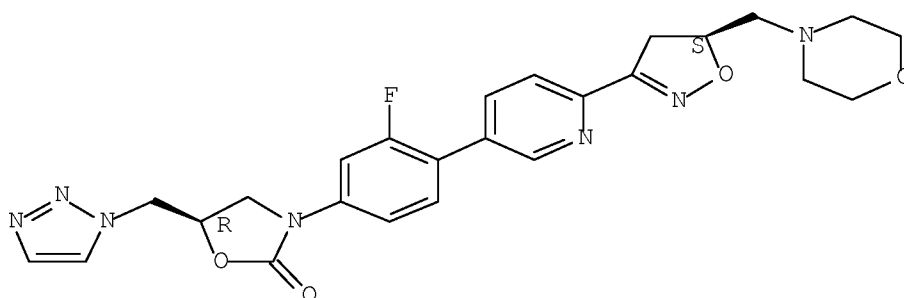


● HCl

RN 870893-72-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrobromide (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



● HBr

RN 870893-73-5 CAPLUS

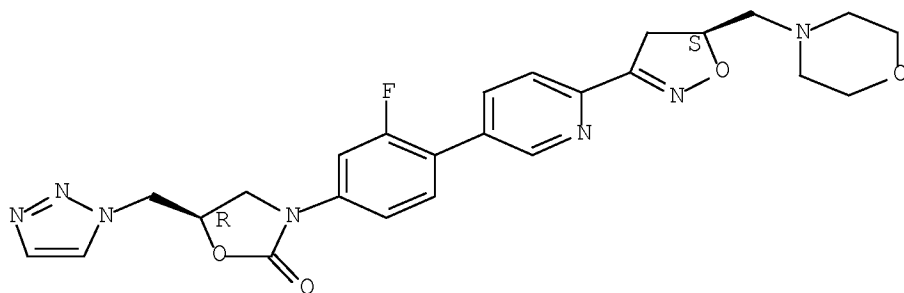
CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 870893-10-0

CMF C25 H26 F N7 O4

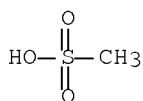
Absolute stereochemistry.



CM 2

CRN 75-75-2

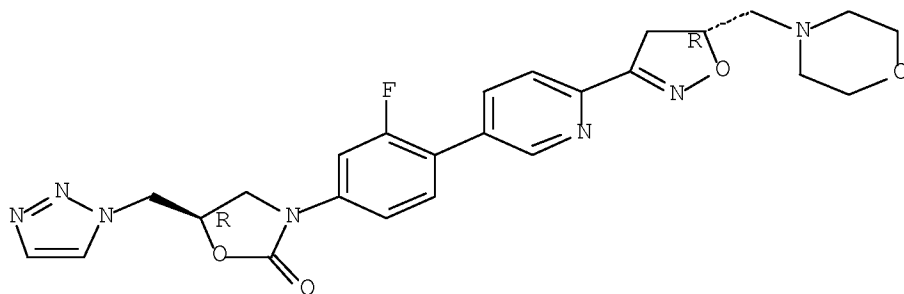
CMF C H4 O3 S



RN 870893-74-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5R)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

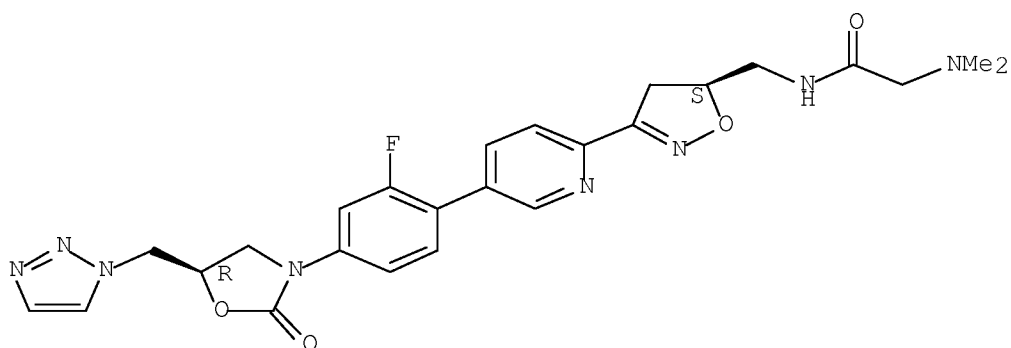


● HCl

RN 870893-75-7 CAPLUS

CN Acetamide, 2-(dimethylamino)-N-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

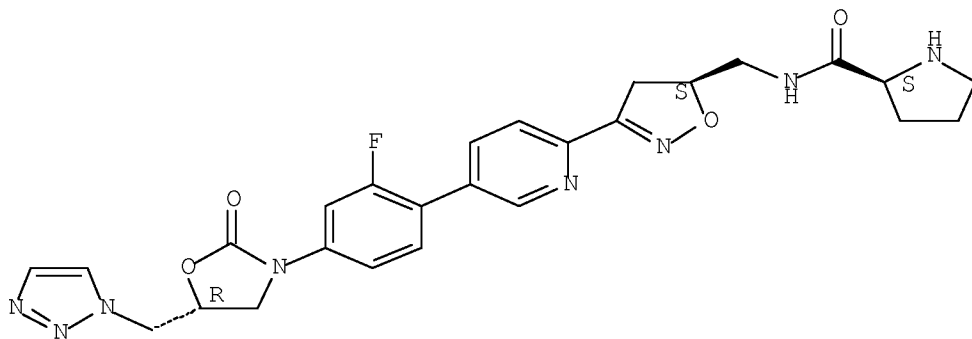


● HCl

RN 870893-76-8 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry.

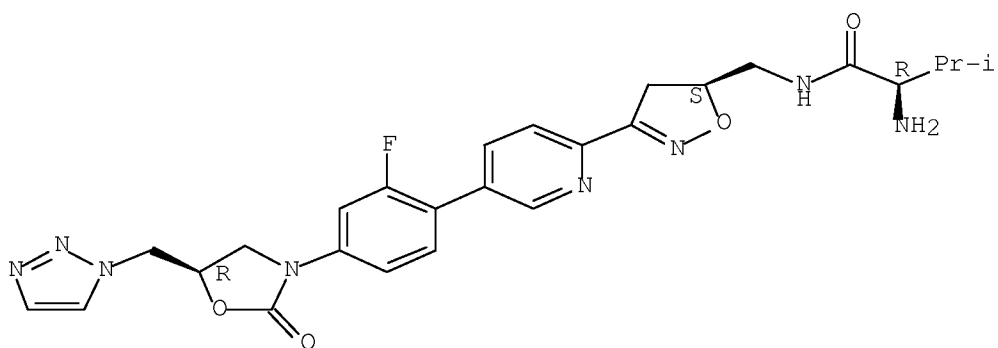


● HCl

RN 870893-77-9 CAPLUS

CN Butanamide, 2-amino-N-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-3-methyl-, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.

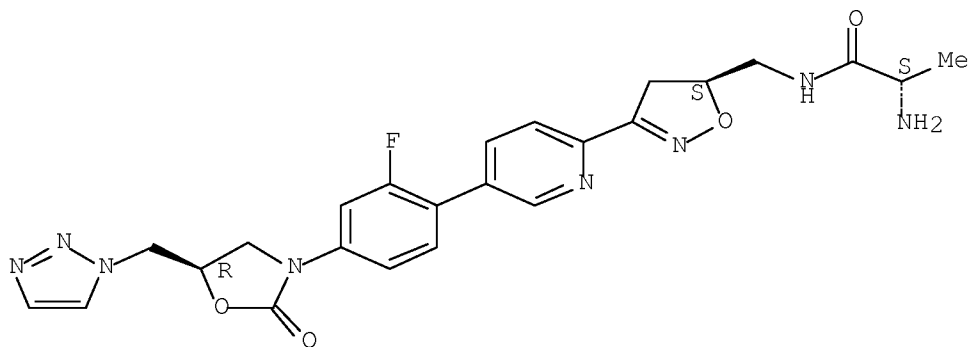


● HCl

RN 870893-78-0 CAPLUS

CN Propanamide, 2-amino-N-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]-, hydrochloride (1:1), (2S)- (CA INDEX NAME)

Absolute stereochemistry.

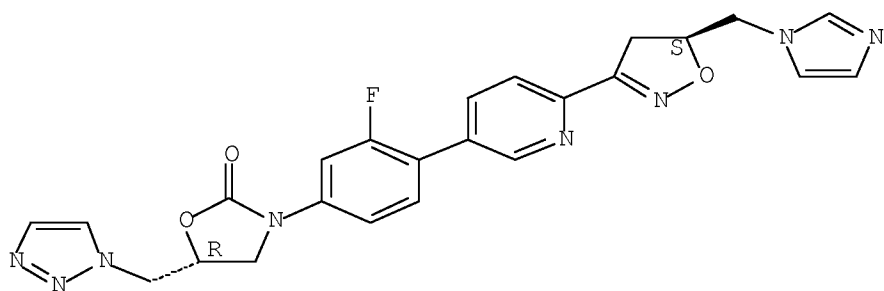


● HCl

RN 870893-79-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(1H-imidazol-1-ylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 870893-58-6P 870893-61-1P 870893-63-3P
870893-65-5P 870893-66-6P 870893-68-8P
870893-69-9P 870893-70-2P

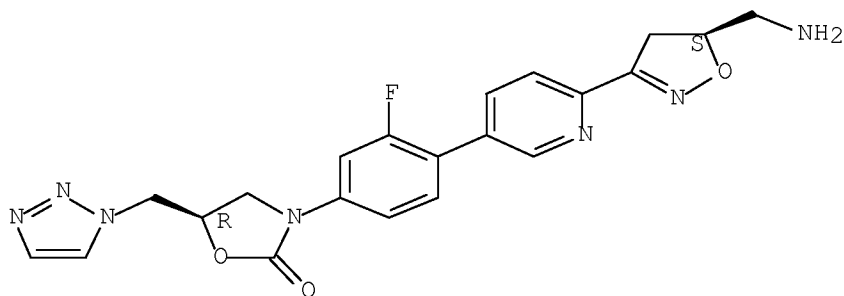
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of
dihydroisoxazolylpyridinylphenyltriazolylmethyloxazolidinone
s as antibacterials)

RN 870893-58-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-(aminomethyl)-4,5-dihydro-3-isoxazolyl]-3-
pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA
INDEX NAME)

Absolute stereochemistry.

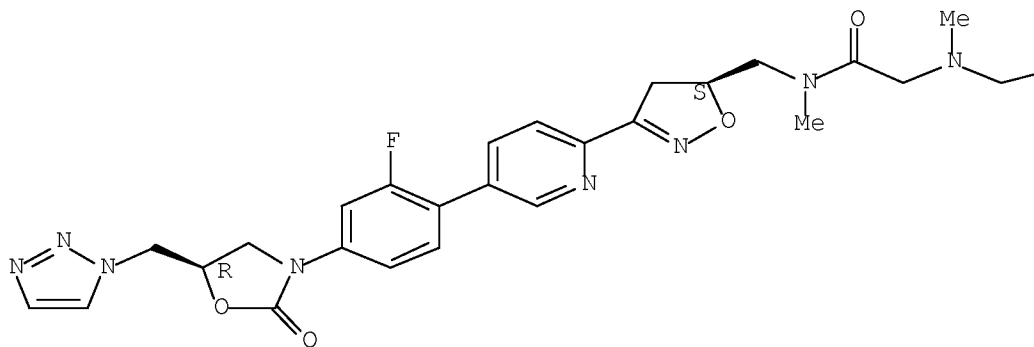


RN 870893-61-1 CAPLUS

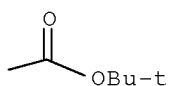
CN Glycine, N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-
ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-
isoxazolyl]methyl]methylamino]-2-oxoethyl]-N-methyl-, 1,1-dimethylethyl
ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

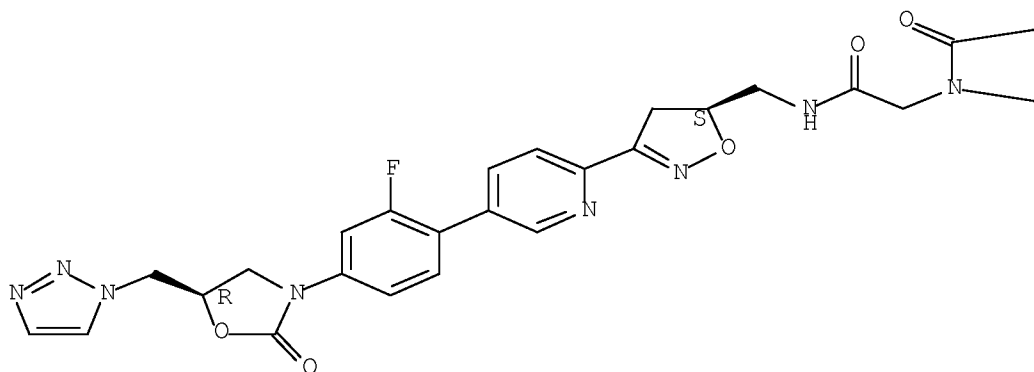


RN 870893-63-3 CAPLUS

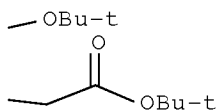
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-N-[2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

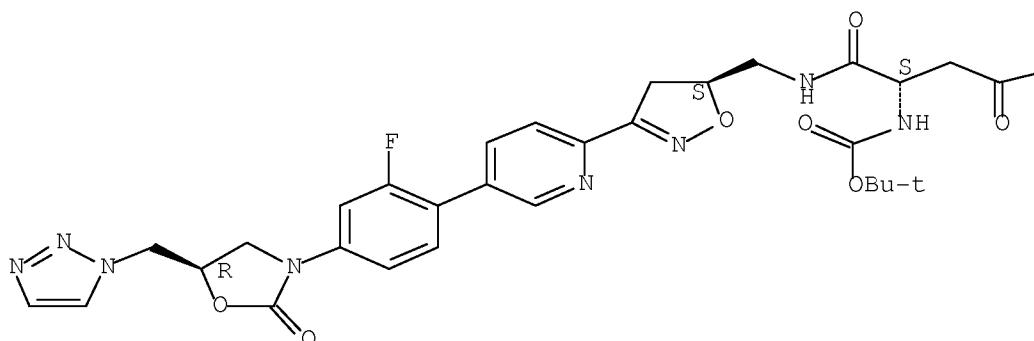


RN 870893-65-5 CAPLUS

CN Butanoic acid, 3-[[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-4-oxo-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

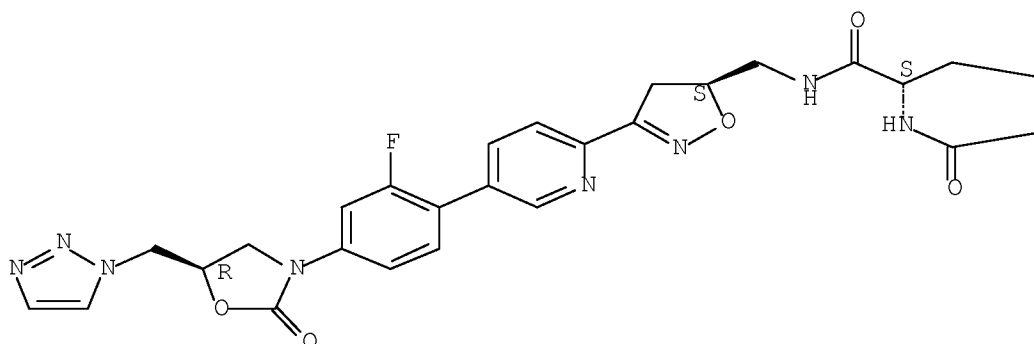
OBu-t

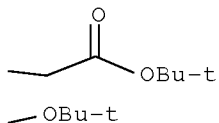
RN 870893-66-6 CAPLUS

CN Pentanoic acid, 4-[[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

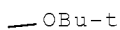
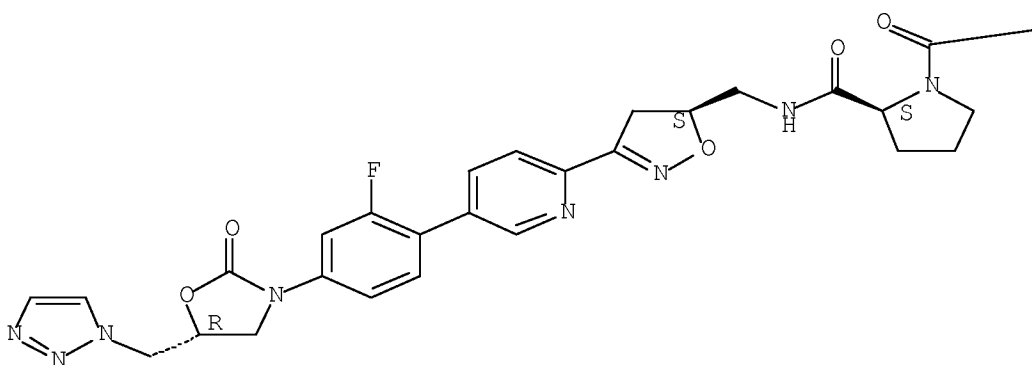




RN 870893-68-8 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

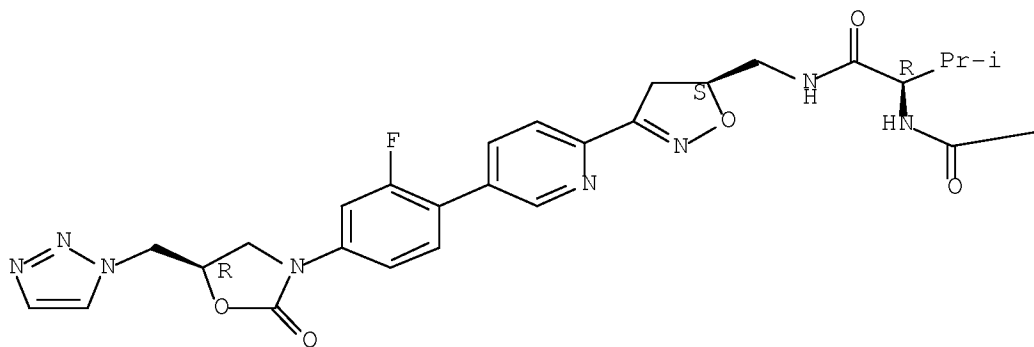


RN 870893-69-9 CAPLUS

CN Carbamic acid, [(1R)-1-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]carbonyl]-2-methylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

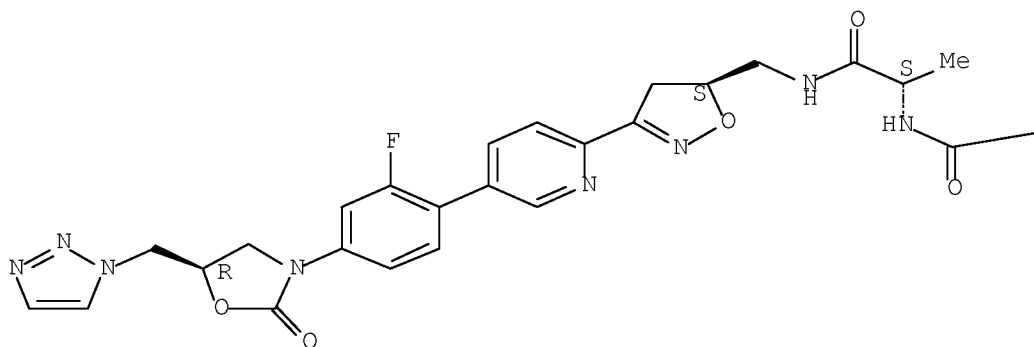
— OBU-t

RN 870893-70-2 CAPLUS

CN Carbamic acid, [(1S)-2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl]amino]-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



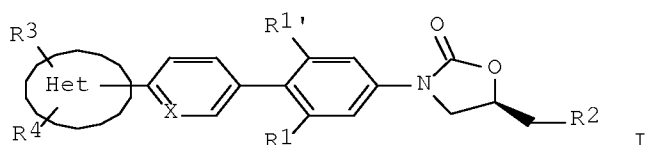
PAGE 1-B

— OBU-t

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:564663 CAPLUS Full-text
 DOCUMENT NUMBER: 143:97343
 TITLE: Preparation of oxazolidinone broad-spectrum antibiotics
 INVENTOR(S): Rhee, Jae Keol; Im, Weon Bin; Cho, Chong Hwang; Choi, Sung Hak; Lee, Tae Ho
 PATENT ASSIGNEE(S): Dong-A Pharm.Co., Ltd., S. Korea
 SOURCE: PCT Int. Appl., 85 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058886	A1	20050630	WO 2004-KR3327	20041217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2005061271	A	20050622	KR 2004-58809	20040727
AU 2004299413	A1	20050630	AU 2004-299413	20041217
CA 2549062	A1	20050630	CA 2004-2549062	20041217
EP 1699784	A1	20060913	EP 2004-808458	20041217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1894242	A	20070110	CN 2004-80037612	20041217
BR 2004017800	A	20070410	BR 2004-17800	20041217
JP 2007514737	T	20070607	JP 2006-545238	20041217
US 20070155798	A1	20070705	US 2006-596412	20060613
MX 2006PA06955	A	20061219	MX 2006-PA6955	20060616
IN 2006CN02167	A	20070608	IN 2006-CN2167	20060616
PRIORITY APPLN. INFO.:			KR 2003-93342	A 20031218
			KR 2004-58809	A 20040727
			WO 2004-KR3327	W 20041217
OTHER SOURCE(S):			CASREACT 143:97343; MARPAT 143:97343	
GI				



AB Title compds. I [X = C, N; R1-1' = H, F; R2 = amino, alkoxy, triazolyl, etc.; R3-4 = H, alkyl, etc.; Het = heterocyclic ring, heteroarom. ring, etc.] are prepared For instance, (R)-3-[4-[2-(2-methyltetrazol-5-yl)pyridin- 5-yl]-3-fluorophenyl]-5-hydroxymethyloxazolidin-2-one (II) is prepared from 2-(2-methyltetrazol-5-yl)-5-bromopyridine and (R)-3-(4-tributylstannyl-3-fluorophenyl)-2-oxo-5-oxazolidinylmethanol (preparation given). II exhibits MIC50 = 0.5 µg/mL against MRSA and 0.25 µg/mL against VRE. I show inhibitory activity against a broad spectrum of bacteria and lower toxicity. The amino acid or phosphate prodrugs of the invention show good water solubility Further, the derivs. of the present invention may exert potent antibacterial activity vs. various human and animal pathogens, including Gram-pos. bacteria such as Staphylococci, Enterococci and Streptococci, anaerobic microorganisms such as Bacteroides and Clostridia, and acid-resistant microorganisms such as Mycobacterium tuberculosis and Mycobacterium avium.

IT 856866-70-1P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolidinone broad-spectrum antibiotics effective against MRSA and VRE)

RN 856866-70-1 CAPLUS

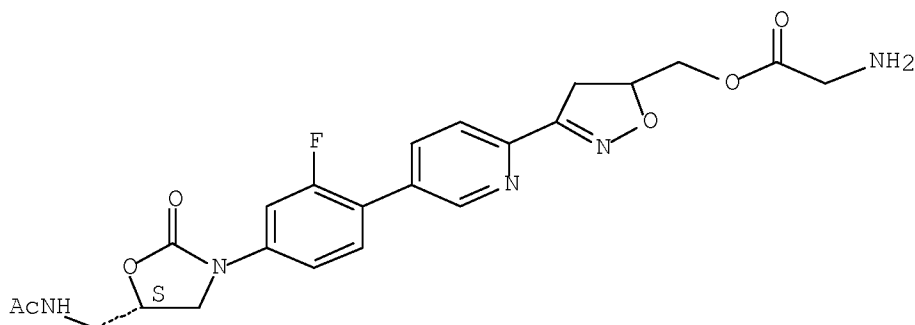
CN Glycine, [3-[5-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 856866-69-8

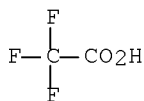
CMF C23 H24 F N5 O6

Absolute stereochemistry.



CM 2

CRN 76-05-1

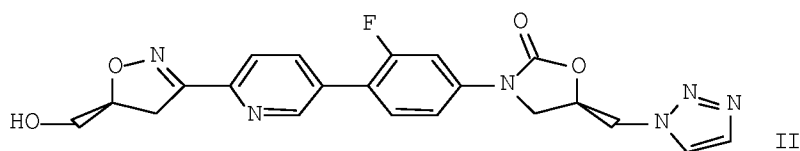
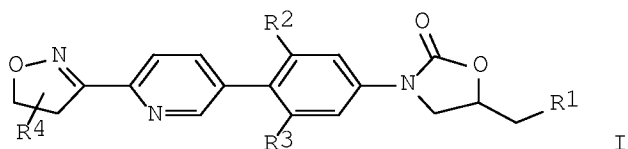


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:756715 CAPLUS Full-text
 DOCUMENT NUMBER: 141:260739
 TITLE: Preparation of hydroxymethyl dihydroisoxazole derivatives useful as antibiotic agents
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Carcanague, Daniel Robert
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 107 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078753	A1	20040916	WO 2004-GB730	20040224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004218206	A1	20040916	AU 2004-218206	20040224
CA 2517706	A1	20040916	CA 2004-2517706	20040224
EP 1599471	A1	20051130	EP 2004-713945	20040224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007835	A	20060214	BR 2004-7835	20040224
CN 1753888	A	20060329	CN 2004-80005423	20040224
JP 2006519247	T	20060824	JP 2006-505893	20040224
IN 2005DN03554	A	20070824	IN 2005-DN3554	20050810
US 20060270637	A1	20061130	US 2005-546373	20050819
US 7192974	B2	20070320		
ZA 2005006789	A	20060531	ZA 2005-6789	20050824
MX 2005PA09205	A	20051018	MX 2005-PA9205	20050829
NO 2005004523	A	20051201	NO 2005-4523	20050930
PRIORITY APPLN. INFO.:			GB 2003-4723	A 20030301
			GB 2003-18607	A 20030808
			WO 2004-GB730	W 20040224

OTHER SOURCE(S): MARPAT 141:260739
 GI



AB The title compds. I [R1 = -NH(C=W)R5 or (substituted)1,2,3-Triazolyl; W = O or S; R2, R3 = H, F, Cl, CF3, OMe, SMe, and Et; R5 = H, alkyl, Me, 5-halo-2-thienyl, etc.; R4 = hydroxymethyl] were prepared as antibacterial agents. For example, compound II was prepared from (5R)-3-(3-fluorophenyl)-5-hydroxymethyl-oxazolidin-2-one in a multi-step synthesis. Antibacterial properties of II against several types of bacteria were determined [MIC($\mu\text{g/mL}$): methicillin sensitive and quinolone sensitive staphylococcus aureus (0.25), methicillin resistant and quinolone resistant staphylococcus aureus (0.5), streptococcus pneumoniae (0.02), haemophilus influenza (4), and Moraxella catarrhalis (0.5)].

IT 702681-58-1P

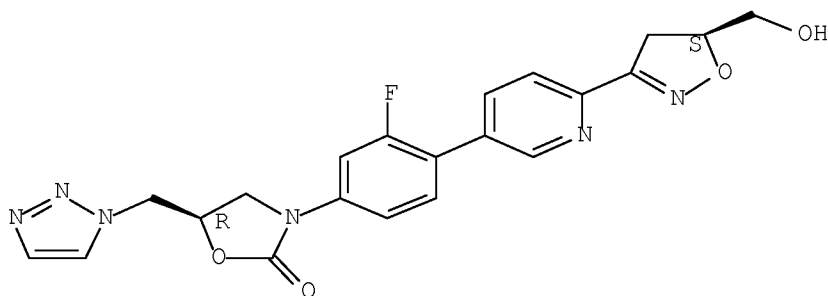
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

RN 702681-58-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 756873-54-8P 756873-55-9P 756873-56-0P
756873-57-1P

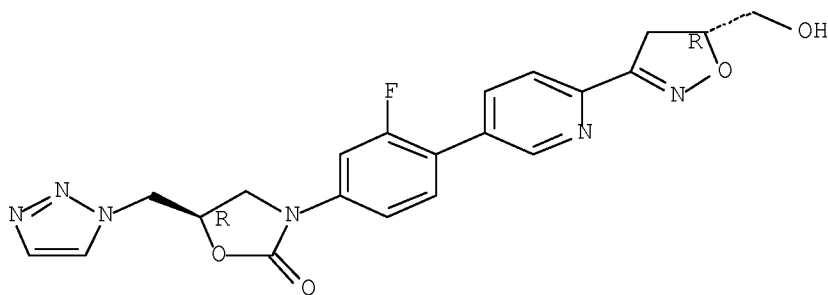
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

RN 756873-54-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5R)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

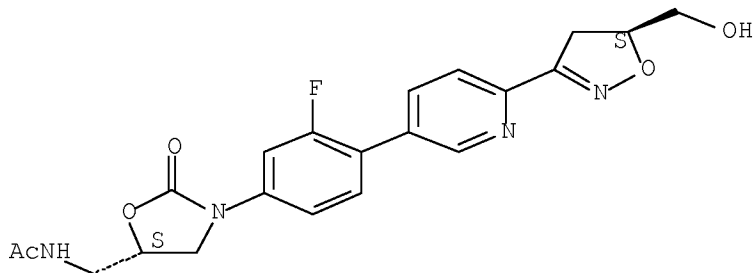
Absolute stereochemistry.



RN 756873-55-9 CAPLUS

CN Acetamide, N-[[[(5S)-3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

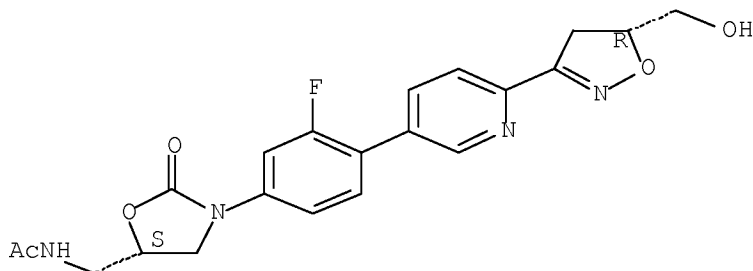
Absolute stereochemistry.



RN 756873-56-0 CAPLUS

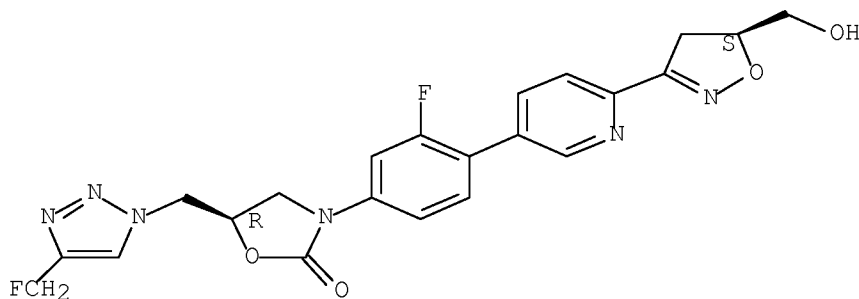
CN Acetamide, N-[[[(5S)-3-[4-[6-[(5R)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 756873-57-1 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (CA INDEX NAME)

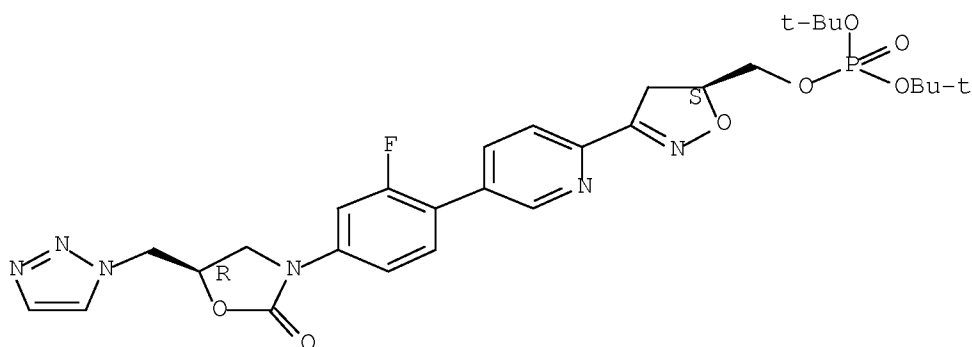
Absolute stereochemistry.



IT ~~756874-06-3P~~ ~~756874-09-6P~~
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

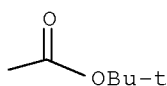
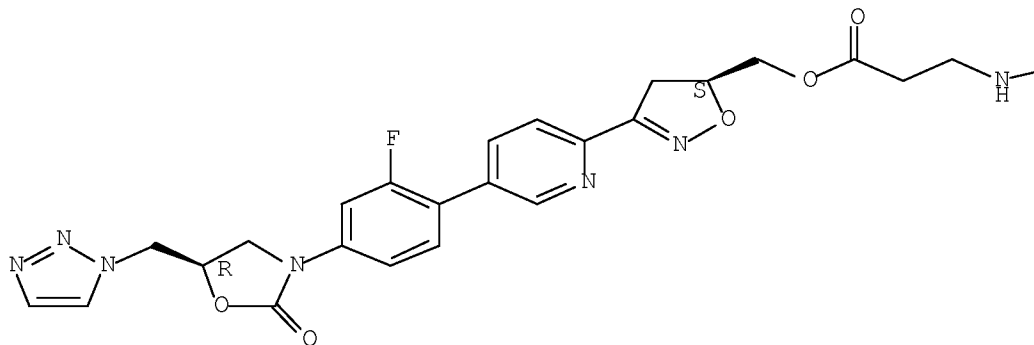
RN 756874-06-3 CAPLUS
 CN Phosphoric acid, bis(1,1-dimethylethyl) [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



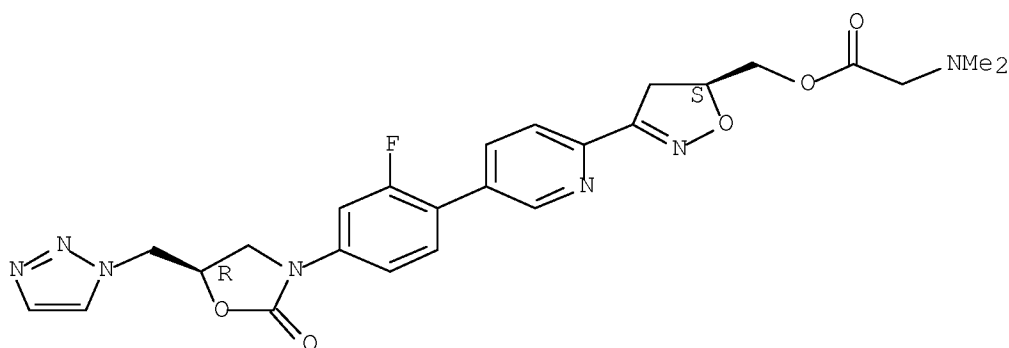
RN 756874-09-6 CAPLUS
 CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 756873-76-4P 756873-84-4P 756873-87-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (pro-drug; preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)
 RN 756873-76-4 CAPLUS
 CN Glycine, N,N-dimethyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

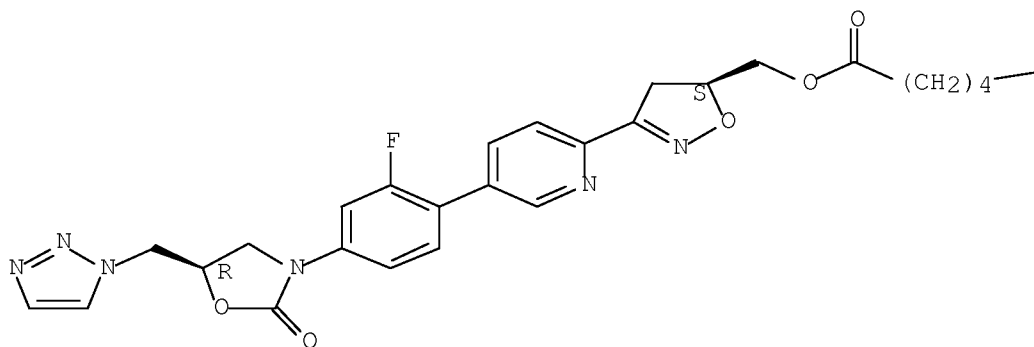


● HCl

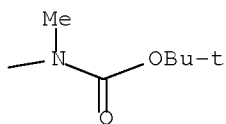
RN 756873-84-4 CAPLUS
 CN Pentanoic acid, 5-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

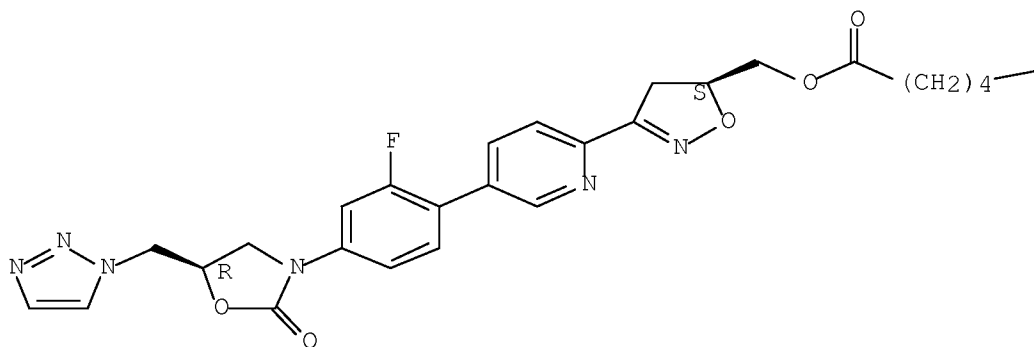


RN 756873-87-7 CAPLUS

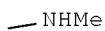
CN Pentanoic acid, 5-(methylamino)-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 702681-56-9P 702681-66-1P 702682-78-8P
 756873-58-2P 756873-59-3P 756873-60-6P
 756873-61-7P 756873-62-8P 756873-63-9P
 756873-64-0P 756873-65-1P 756873-66-2P
 756873-67-3P 756873-68-4P 756873-69-5P
 756873-70-8P 756873-71-9P 756873-72-0P
 756873-73-1P 756873-74-2P 756873-75-3P
 756873-77-5P 756873-78-6P 756873-79-7P
 756873-80-0P 756873-81-1P 756873-82-2P
 756873-83-3P 756873-85-5P 756873-86-6P
 756873-88-8P 756873-89-9P 756873-91-3P
 756873-92-4P 756873-93-5P 756873-94-6P
 756873-95-7P 756873-96-8P 756873-97-9P
 756873-98-0P 756873-99-1P 756874-00-7P
 756874-01-8P 756874-02-9P 756874-03-0P
 756874-04-1P 756874-05-2P

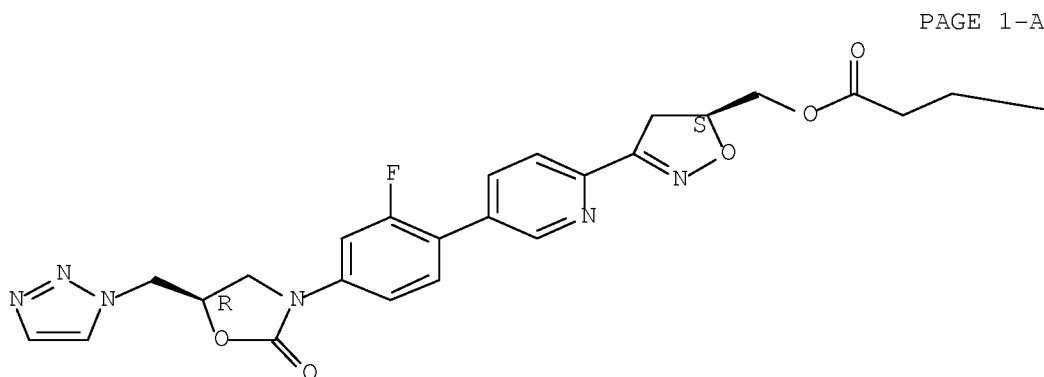
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pro-drug; preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

RN 702681-56-9 CAPLUS

CN β -Alanine, N,N-diethyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



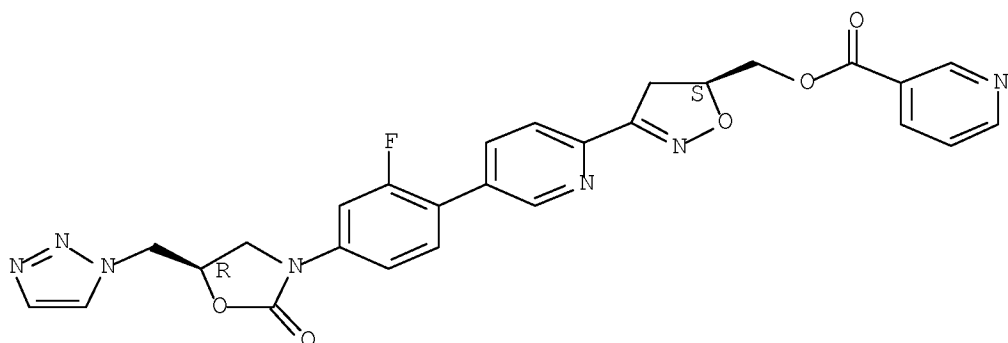
PAGE 1-B

—NEt₂

RN 702681-66-1 CAPLUS

CN 3-Pyridinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

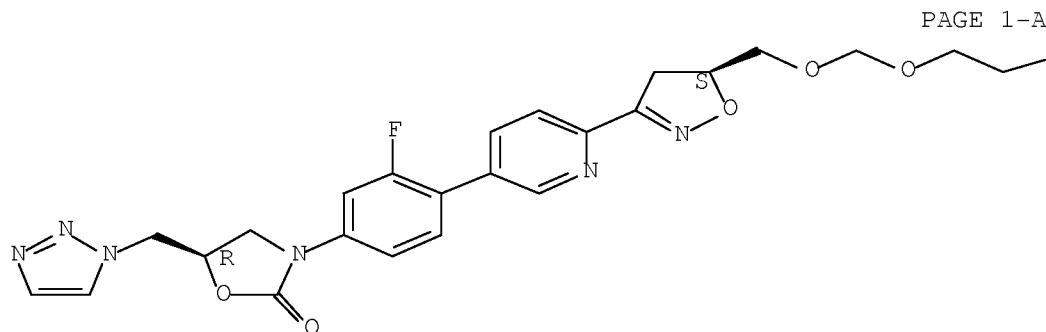
Absolute stereochemistry.



RN 702682-78-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-methoxyethoxy)methoxy)methyl]-3-isoxazolyll]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

—OMe

PAGE 1-B

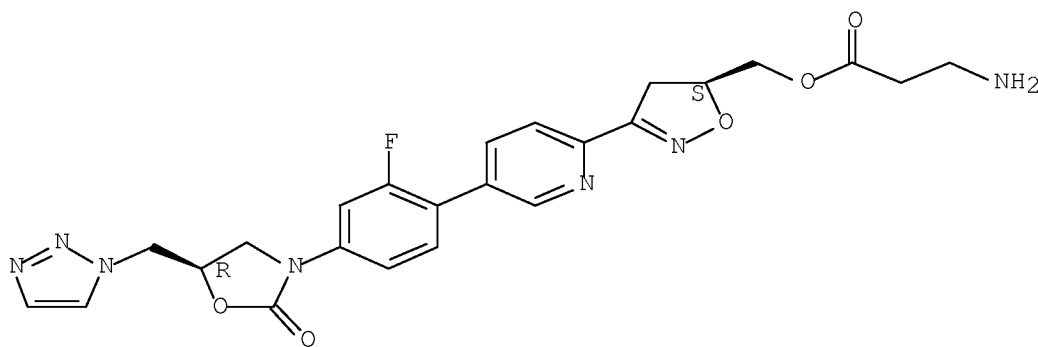
RN 756873-58-2 CAPLUS

CN Hexanedioic acid, 1-ethyl 6-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyllmethyl] ester (CA INDEX NAME)

Absolute stereochemistry.

CN β -Alanine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

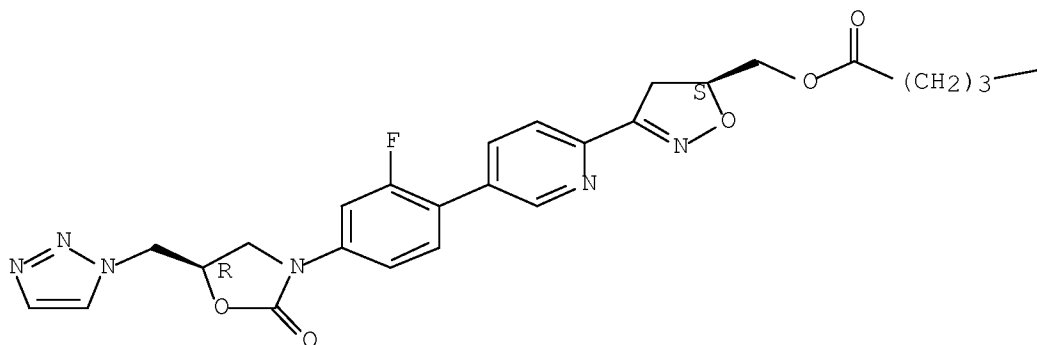
Absolute stereochemistry.



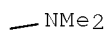
CN Butanoic acid, 4-(dimethylamino)-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

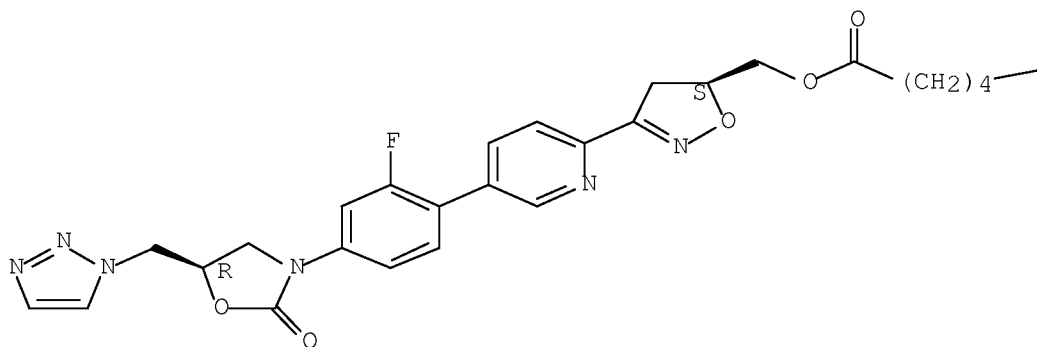


RN 756873-61-7 CAPLUS

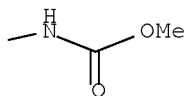
CN Pentanoic acid, 5-[(methoxycarbonyl)amino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

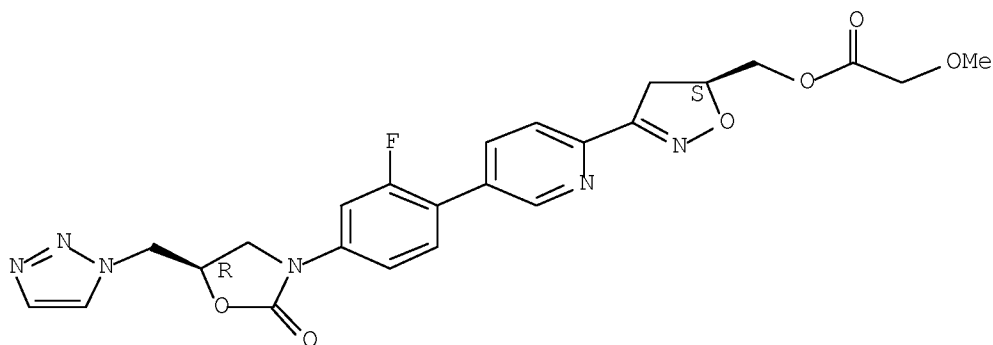


RN 756873-62-8 CAPLUS

CN Acetic acid, 2-methoxy-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-

isoxazolyl)methyl ester (CA INDEX NAME)

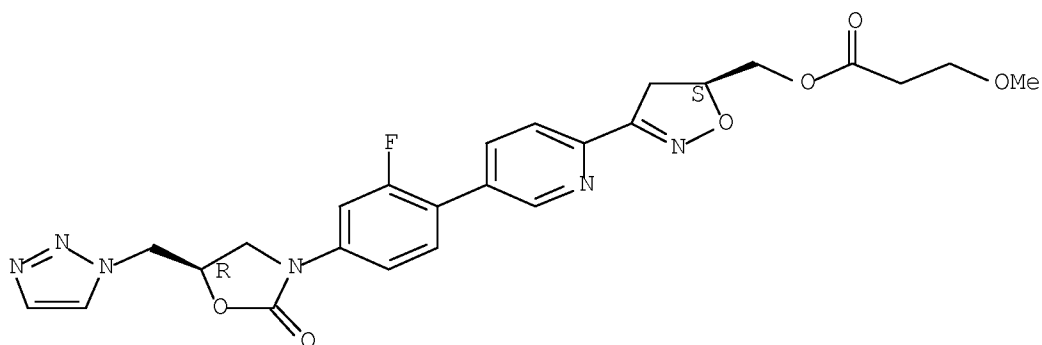
Absolute stereochemistry.



RN 756873-63-9 CAPLUS

CN Propanoic acid, 3-methoxy-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (CA INDEX NAME)

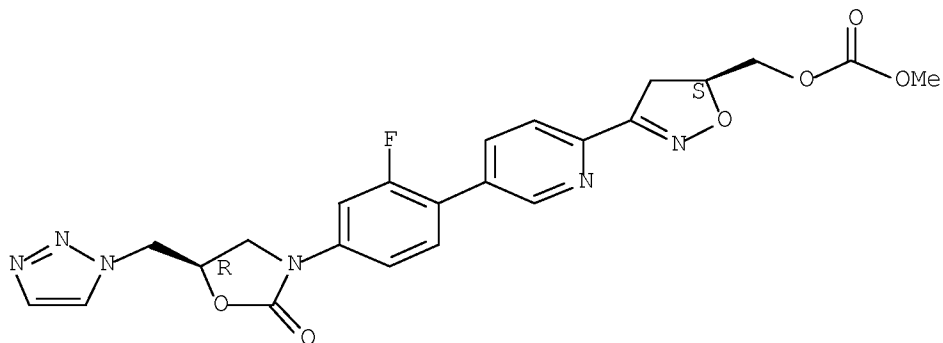
Absolute stereochemistry.



RN 756873-64-0 CAPLUS

CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl methyl ester (CA INDEX NAME)

Absolute stereochemistry.

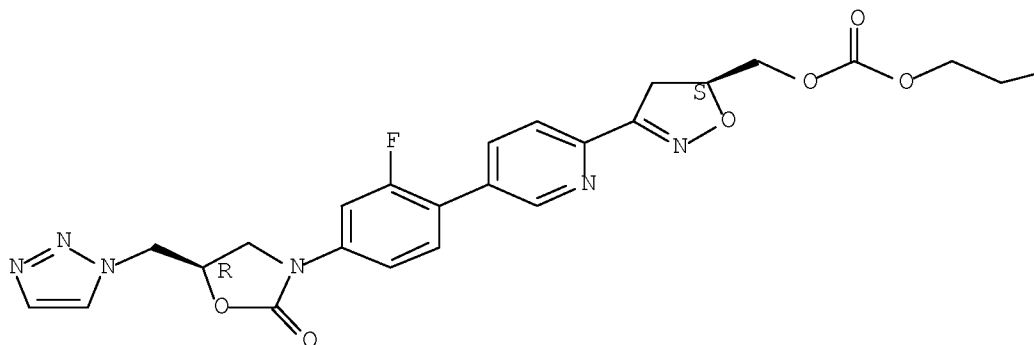


RN 756873-65-1 CAPLUS

CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl 2-methoxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

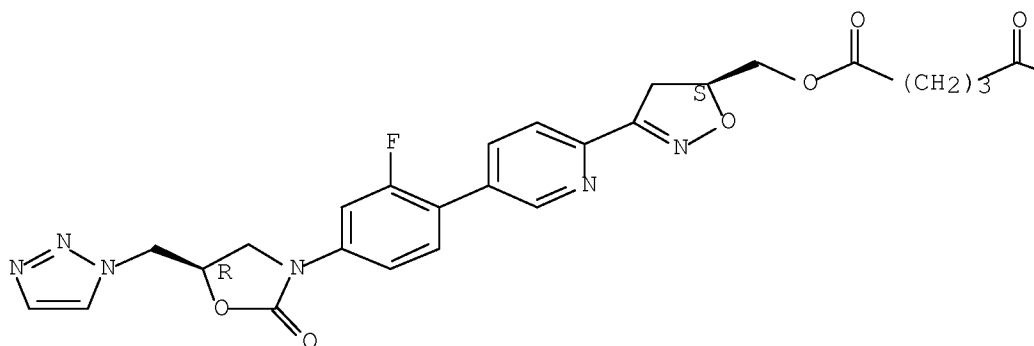
—OMe

RN 756873-66-2 CAPLUS

CN Pentanedioic acid, 1-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] 5-methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

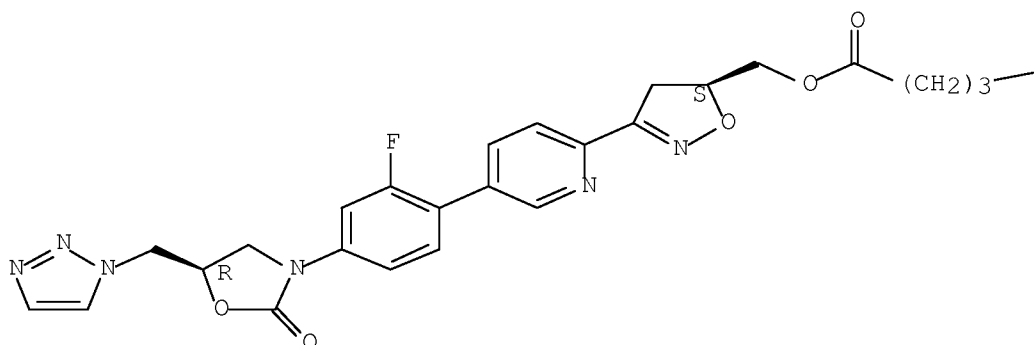


—OMe

RN 756873-67-3 CAPLUS

CN Butanoic acid, 4-methoxy-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

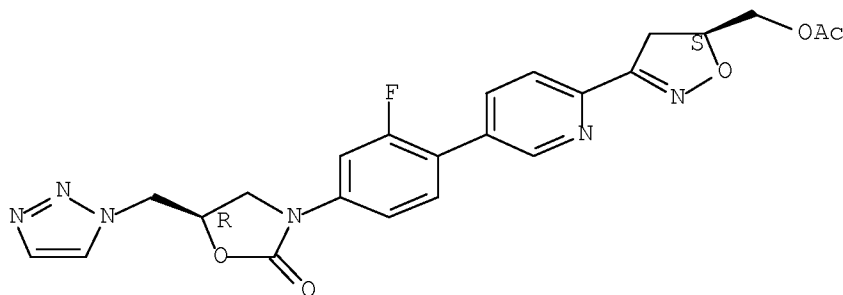


—OMe

RN 756873-68-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(acetyloxy)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

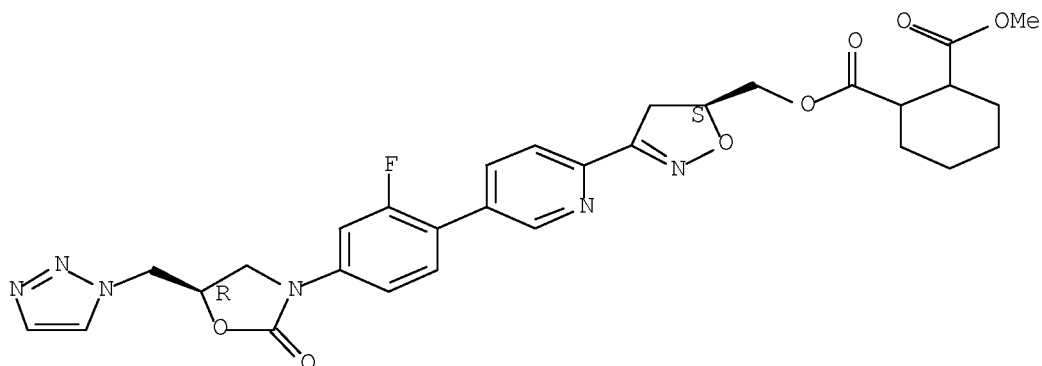


RN 756873-69-5 CAPLUS

CN 1,2-Cyclohexanedicarboxylic acid, 1-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-

(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] 2-methyl ester (CA INDEX NAME)

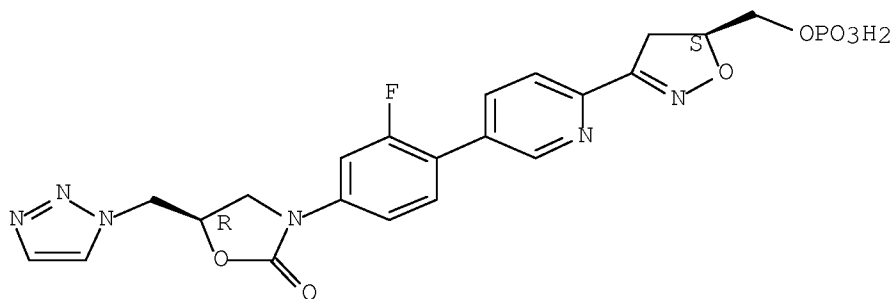
Absolute stereochemistry.



RN 756873-70-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(phosphonoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, ammoniate (1:2), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

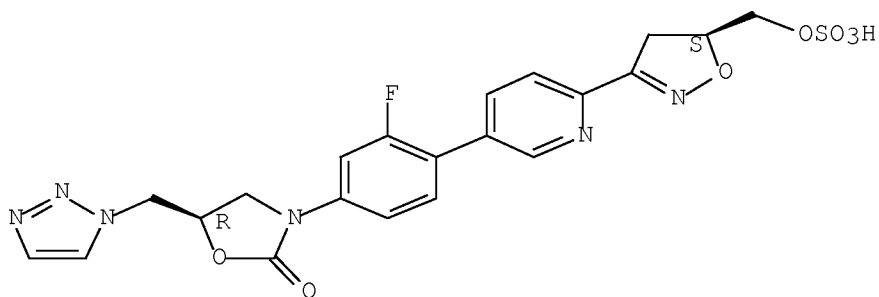


● 2 NH₃

RN 756873-71-9 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(sulfooxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

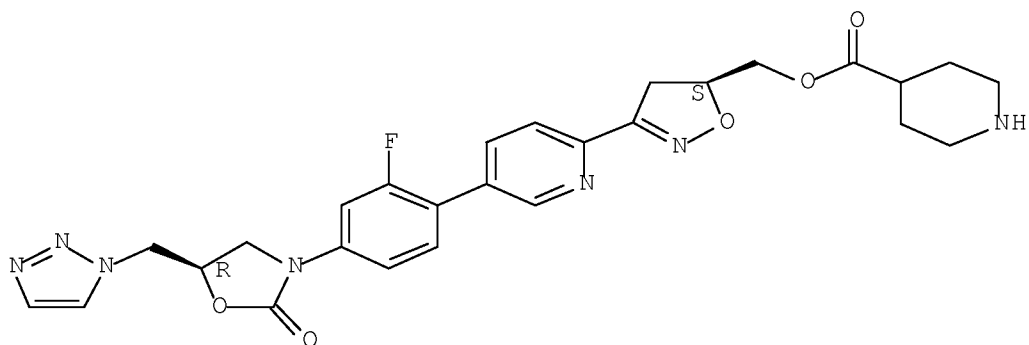
Absolute stereochemistry.



RN 756873-72-0 CAPLUS

CN 4-Piperidinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

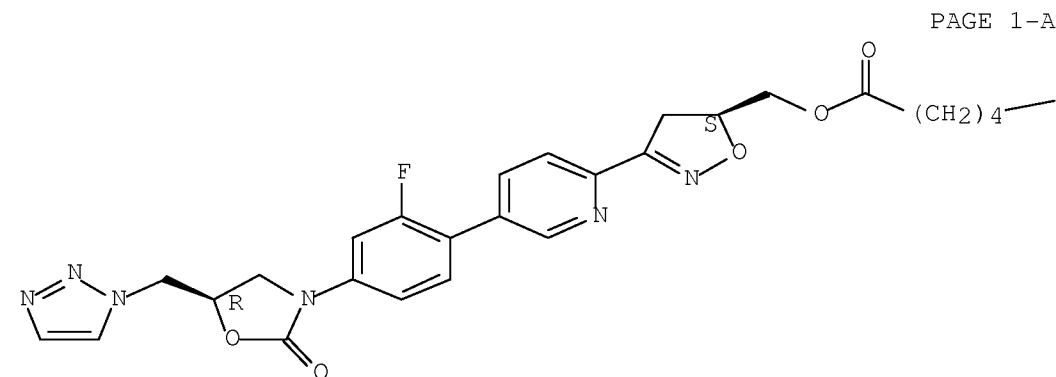
Absolute stereochemistry.



RN 756873-73-1 CAPLUS

CN Pentanoic acid, 5-(dimethylamino)-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



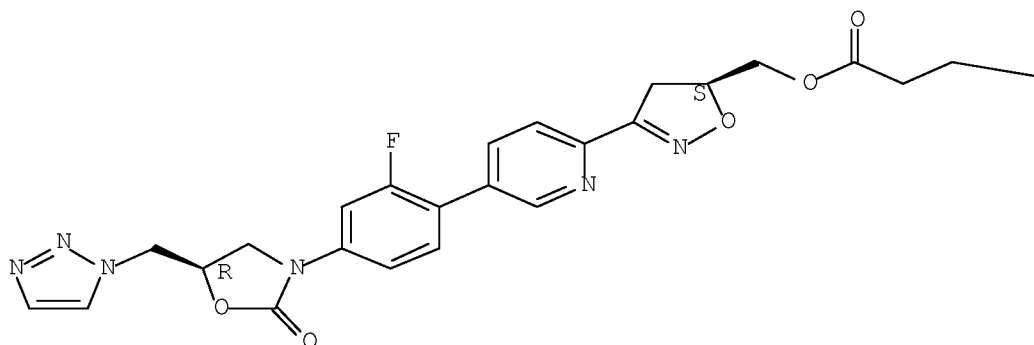
PAGE 1-A

—NMe₂

RN 756873-74-2 CAPLUS

CN Butanedioic acid, 1-[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester (CA INDEX NAME)

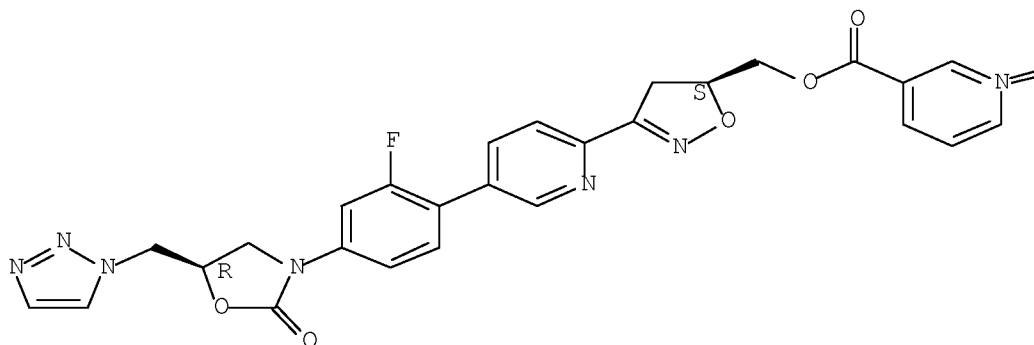
Absolute stereochemistry.

—CO₂H

RN 756873-75-3 CAPLUS

CN 3-Pyridinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, 1-oxide (CA INDEX NAME)

Absolute stereochemistry.

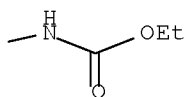
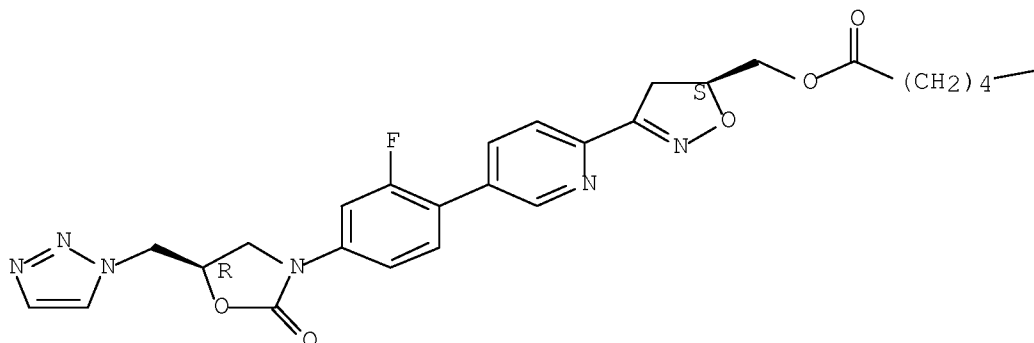




RN 756873-77-5 CAPLUS

CN Pentanoic acid, 5-[(ethoxycarbonyl)amino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

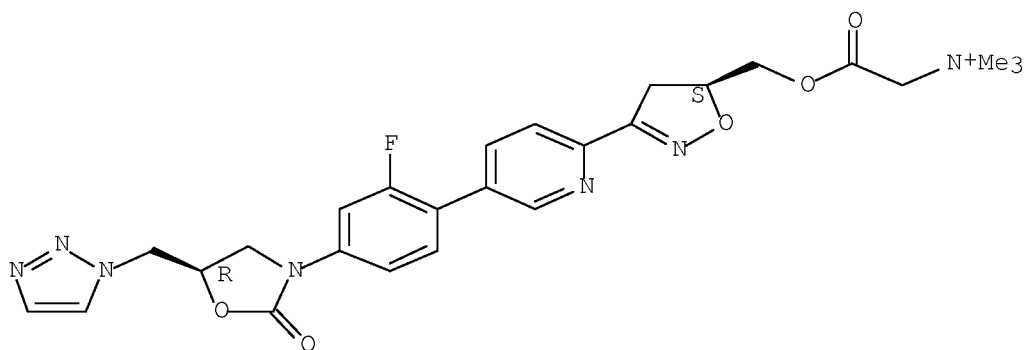
Absolute stereochemistry.



RN 756873-78-6 CAPLUS

CN Ethanaminium, 2-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]-N,N,N-trimethyl-2-oxo-, chloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



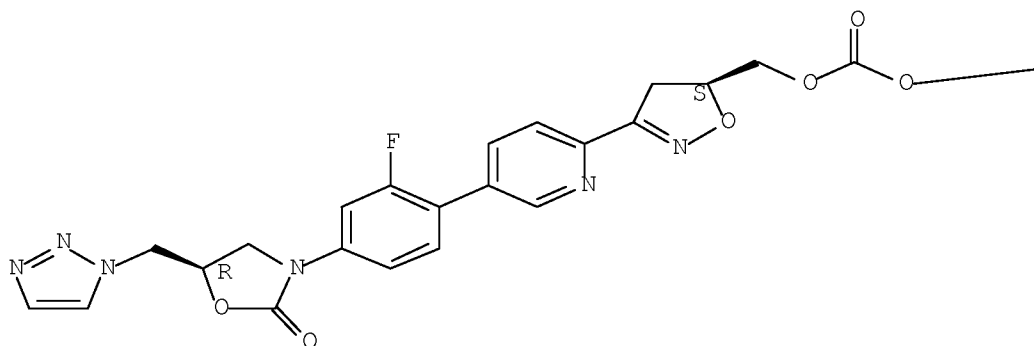
● Cl-

RN 756873-79-7 CAPLUS

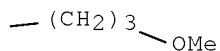
CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl 3-methoxypropyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



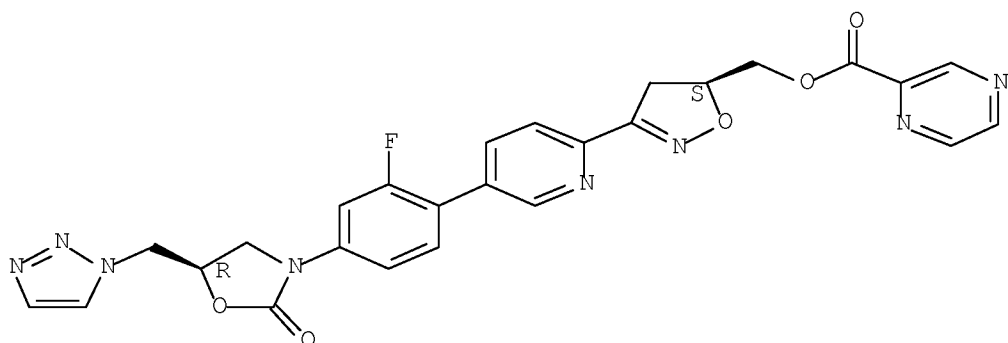
PAGE 1-B



RN 756873-80-0 CAPLUS

CN 2-Pyrazinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

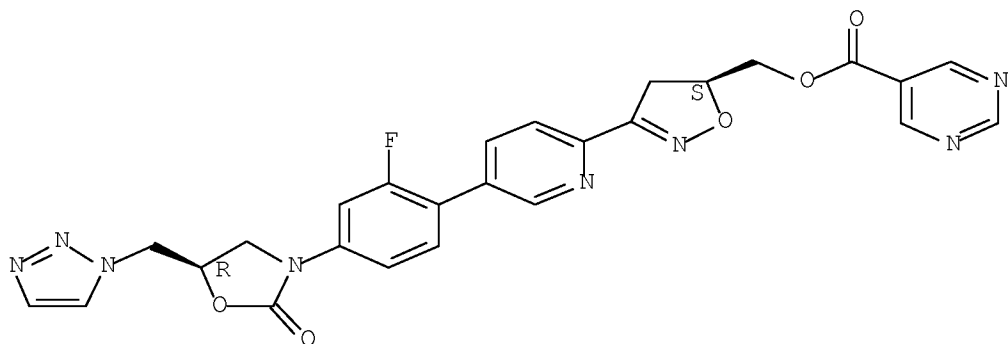
Absolute stereochemistry.



RN 756873-81-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

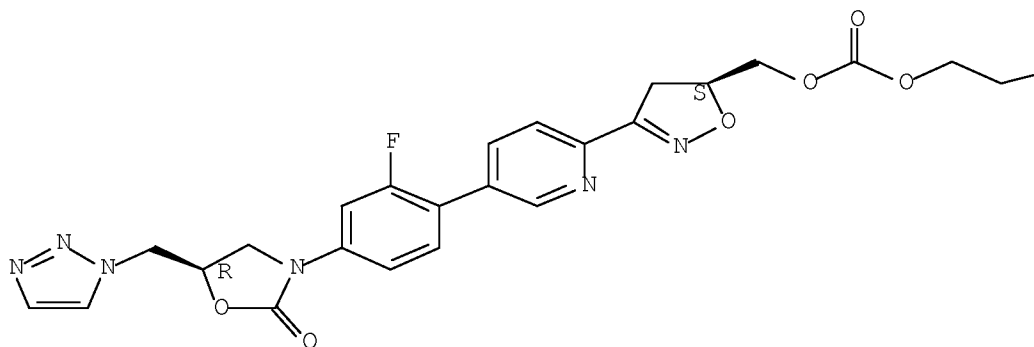


RN 756873-82-2 CAPLUS

CN Ethanaminium, 2-[[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]carbonyl]oxy]-N,N,N-trimethyl-, chloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



● Cl⁻

PAGE 1-B

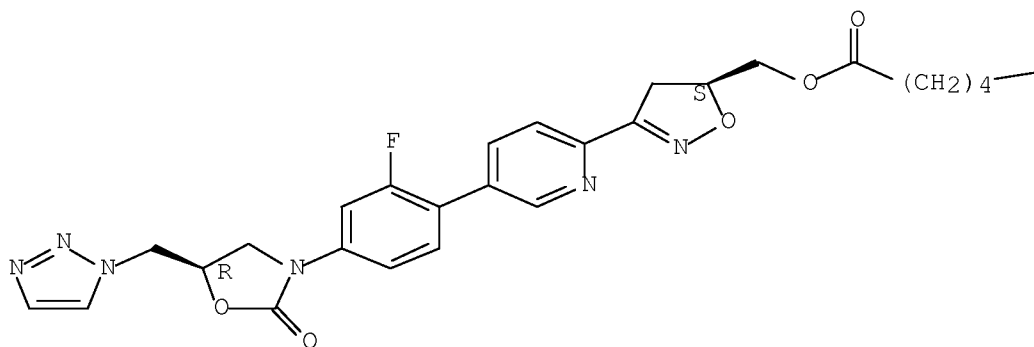
— N⁺Me₃

RN 756873-83-3 CAPLUS

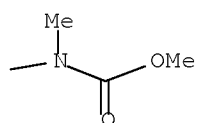
CN Pentanoic acid, 5-[(methoxycarbonyl)methylamino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

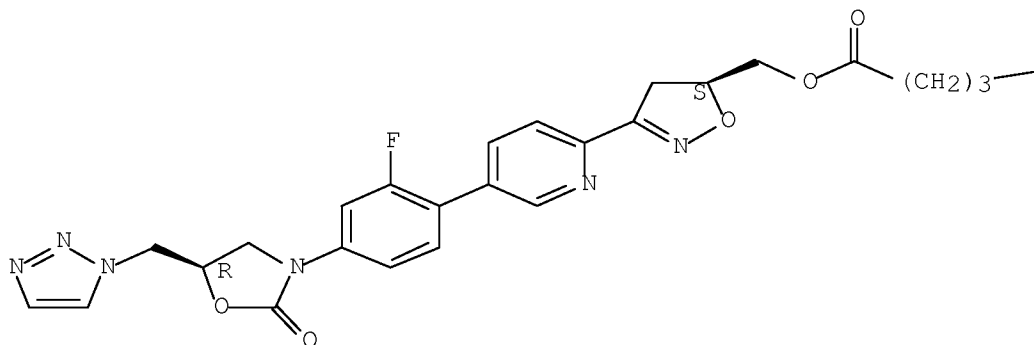


RN 756873-85-5 CAPLUS

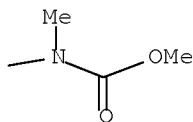
CN Butanoic acid, 4-[(methoxycarbonyl)methylamino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

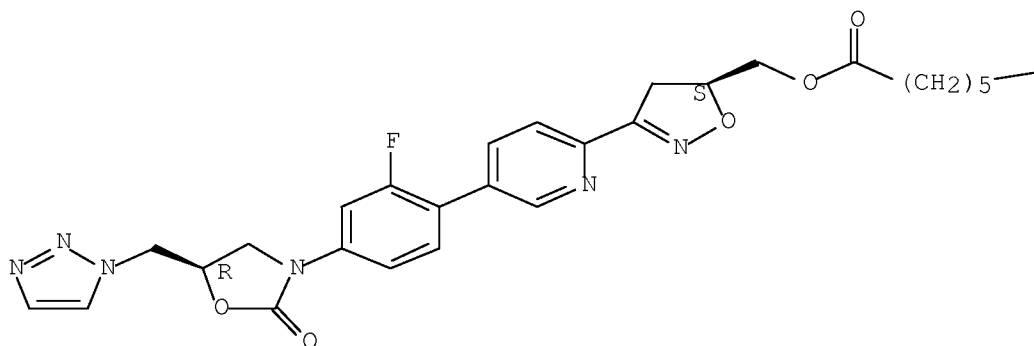


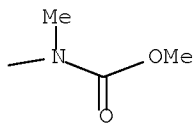
RN 756873-86-6 CAPLUS

CN Hexanoic acid, 6-[(methoxycarbonyl)methylamino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

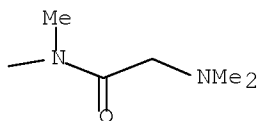
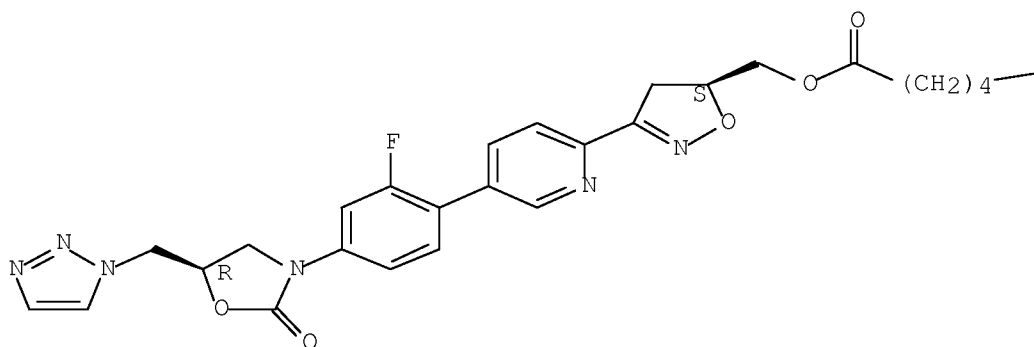




RN 756873-88-8 CAPLUS

CN Pentanoic acid, 5-[[2-(dimethylamino)acetyl]methylamino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

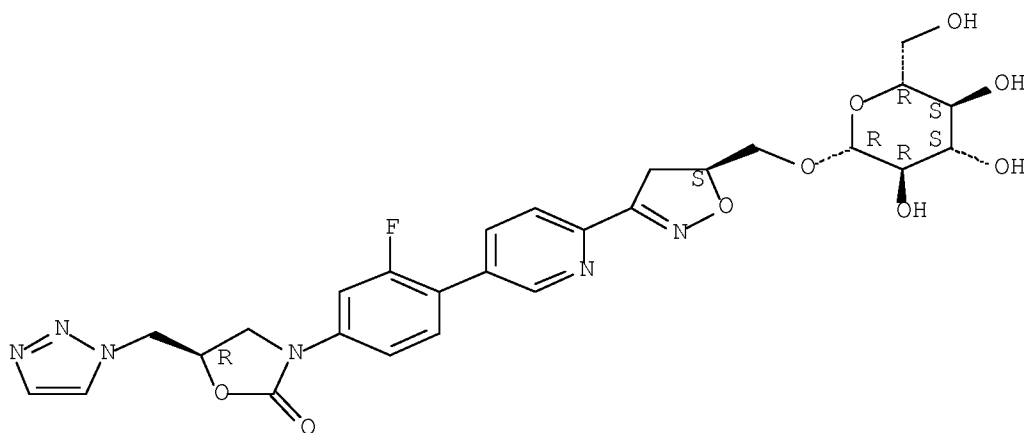
Absolute stereochemistry.



RN 756873-89-9 CAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(5S)-5-[(β-D-glucopyranosyloxy)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

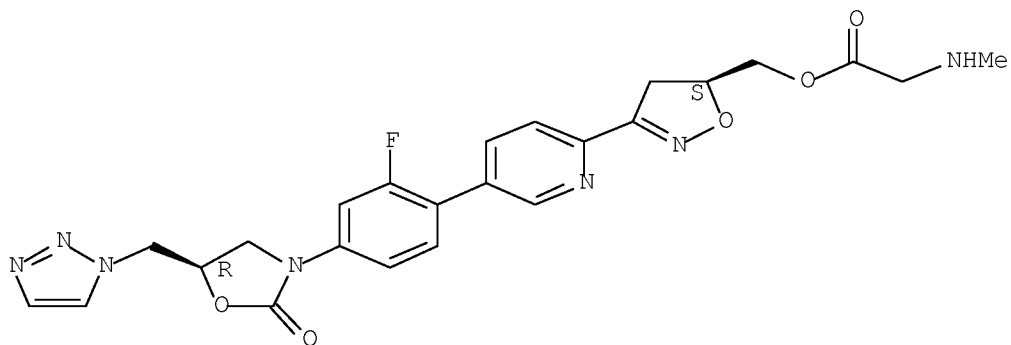
Absolute stereochemistry.



RN 756873-91-3 CAPLUS

CN Glycine, N-methyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

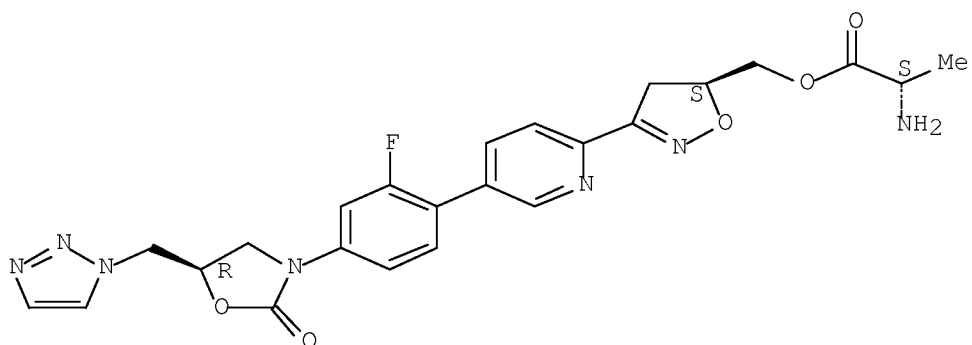
Absolute stereochemistry.



RN 756873-92-4 CAPLUS

CN L-Alanine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

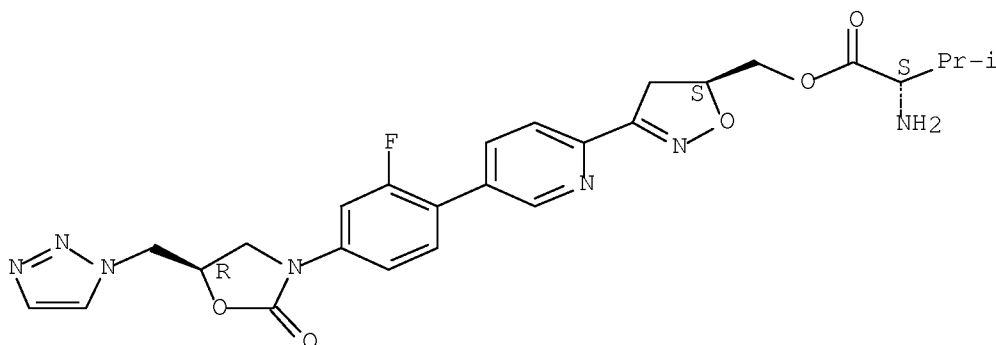
Absolute stereochemistry.



RN 756873-93-5 CAPLUS

CN L-Valine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

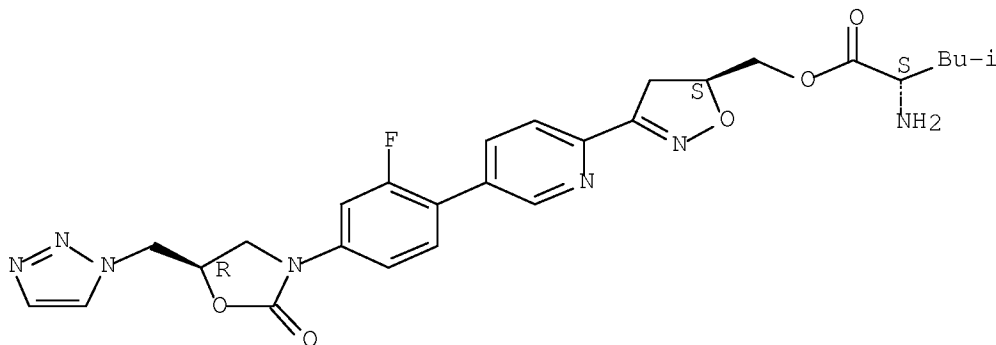


● HCl

RN 756873-94-6 CAPLUS

CN L-Leucine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

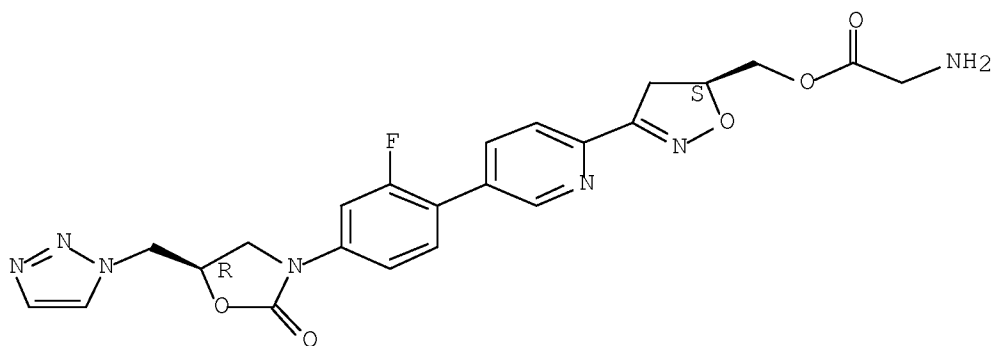


● HCl

RN 756873-95-7 CAPLUS

CN Glycine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

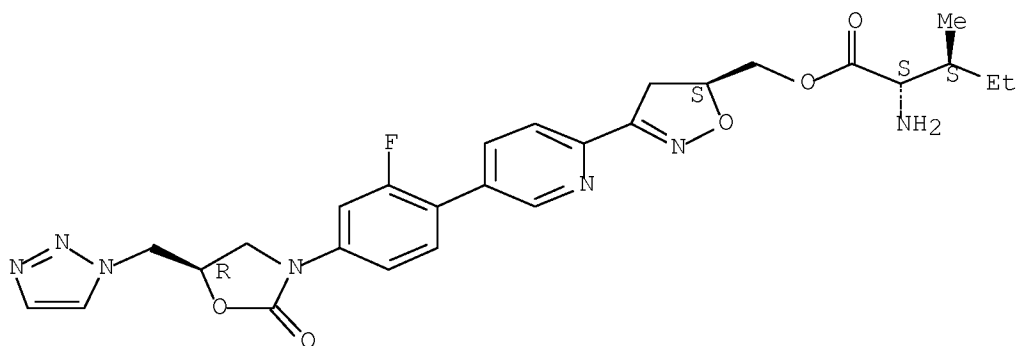
Absolute stereochemistry.



RN 756873-96-8 CAPLUS

CN L-Isoleucine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

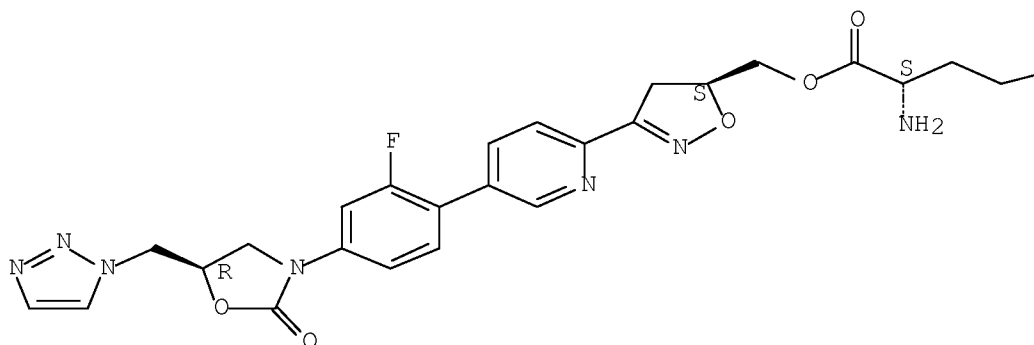


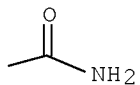
RN 756873-97-9 CAPLUS

CN L-Glutamine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

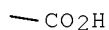
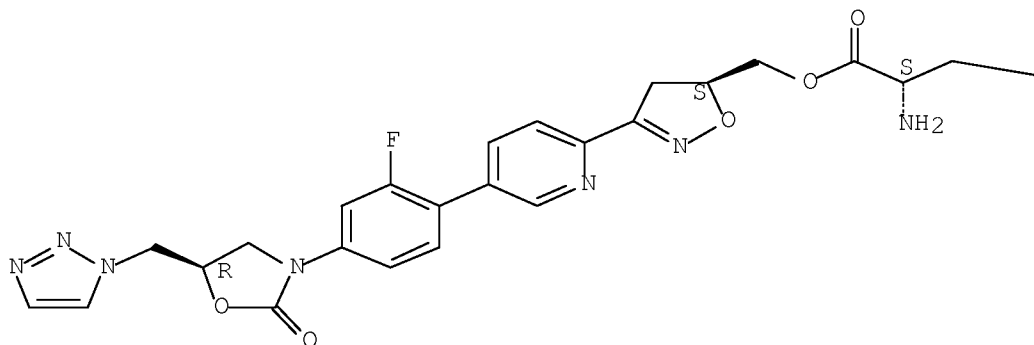




RN 756873-98-0 CAPLUS

CN L-Aspartic acid, 1-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester (CA INDEX NAME)

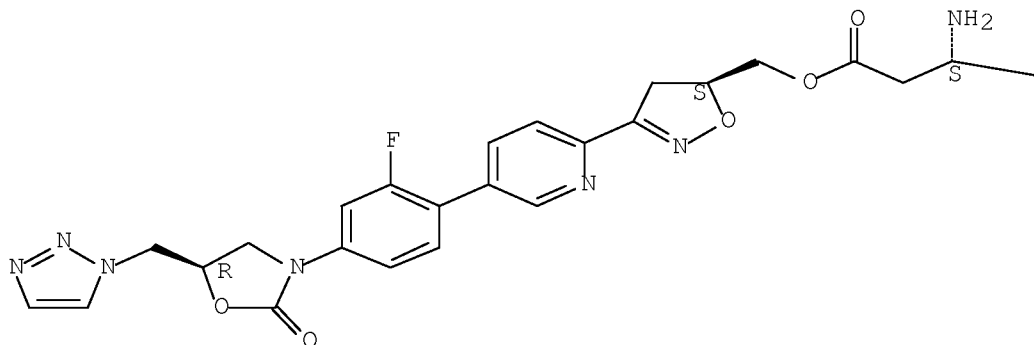
Absolute stereochemistry.



RN 756873-99-1 CAPLUS

CN L-Aspartic acid, 4-[[[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B

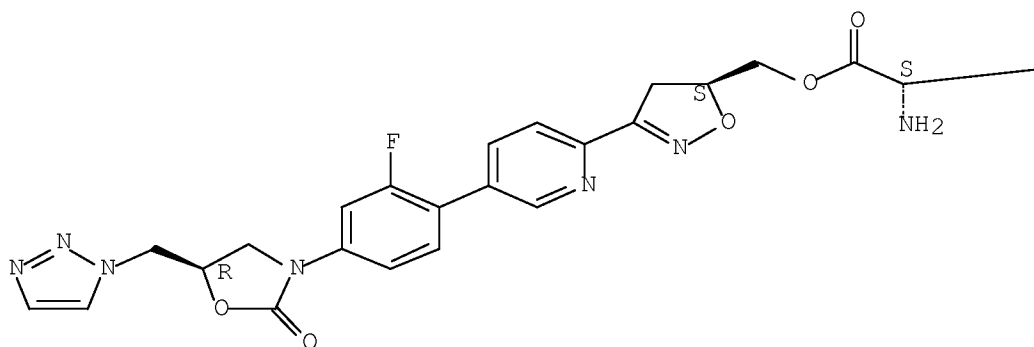
—CO₂H

RN 756874-00-7 CAPLUS

CN L-Lysine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



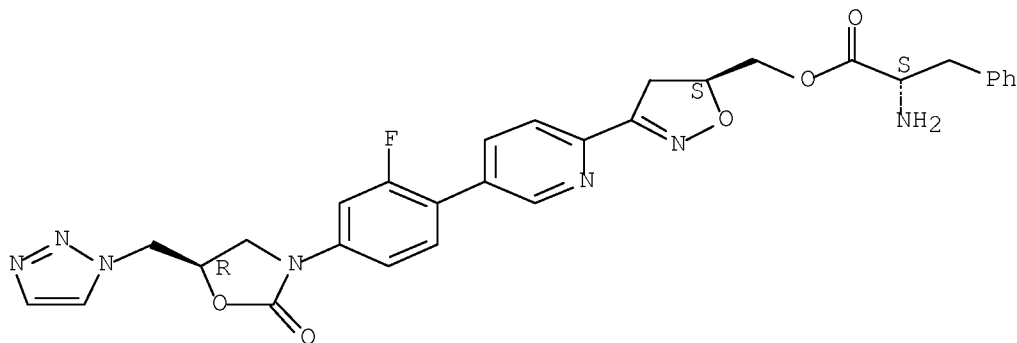
PAGE 1-B

—(CH₂)₄—NH₂

RN 756874-01-8 CAPLUS

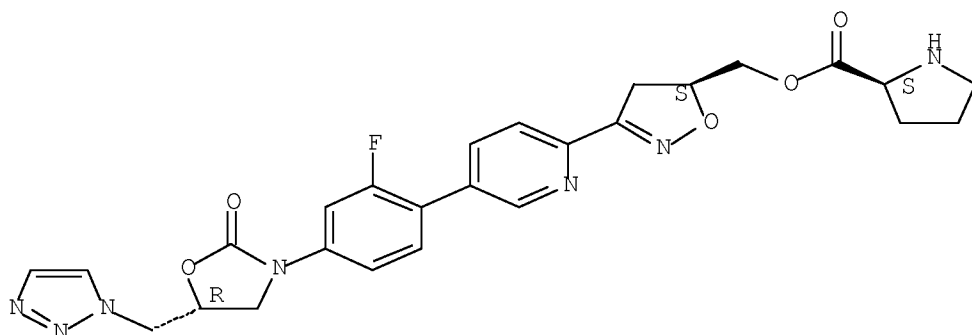
CN L-Phenylalanine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



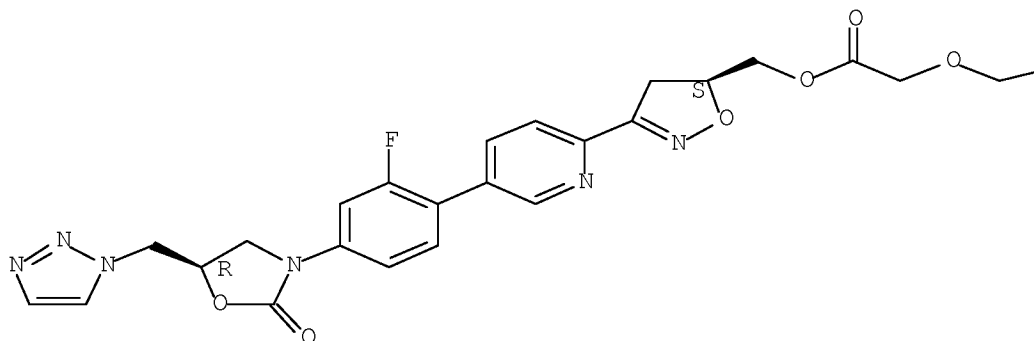
RN 756874-02-9 CAPLUS
 CN L-Proline, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

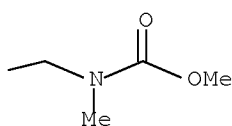


RN 756874-03-0 CAPLUS
 CN Acetic acid, 2-[2-[(methoxycarbonyl)methylamino]ethoxy]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A



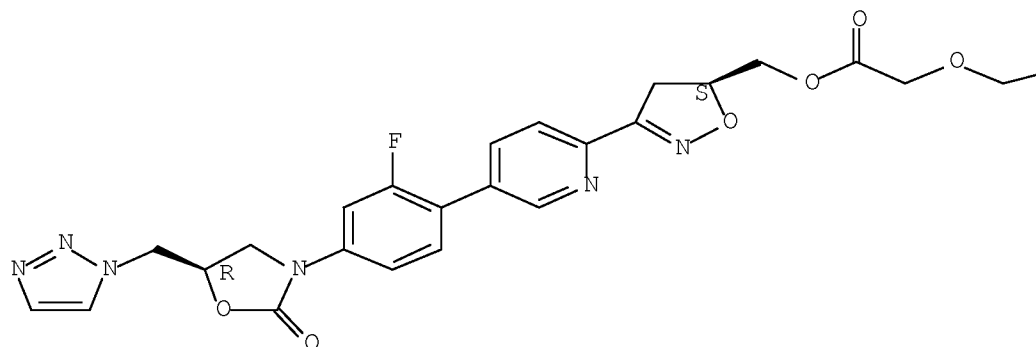
PAGE 1-B

RN 756874-04-1 CAPLUS

CN Acetic acid, 2-[2-(methylamino)ethoxy]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, hydrochloride (1:1) (CA INDEX NAME)

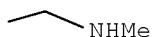
Absolute stereochemistry.

PAGE 1-A



● HCl

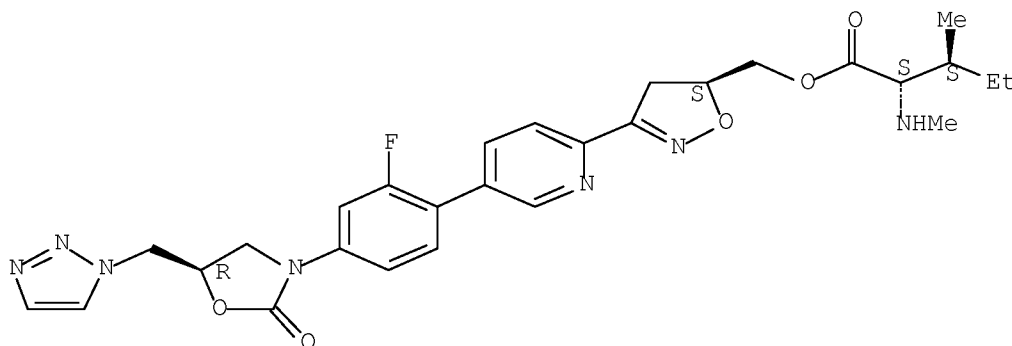
PAGE 1-B



RN 756874-05-2 CAPLUS

CN L-Isoleucine, N-methyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:609963 CAPLUS Full-text

DOCUMENT NUMBER: 141:140424

TITLE: Preparation of 3-aryl-2-oxo-5-oxazolidinecarboxamides and analogs as antibacterial agents

INVENTOR(S): Thomas, Richard Charles; Poel, Toni-jo; Barbachyn, Michael Robert; Gordeev, Mikhail Fedor; Luehr, Gary W.; Renslo, Adam; Singh, Upinder; Josyula, Vara Prasad Venkata Nagendra

PATENT ASSIGNEE(S): Pfizer, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 169 pp., Cont.-in-part of U.S. Ser. No. 373,286.

CODEN: USXXCO

DOCUMENT TYPE: Patent

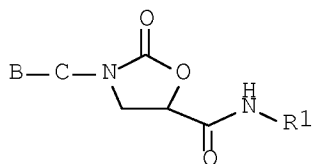
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

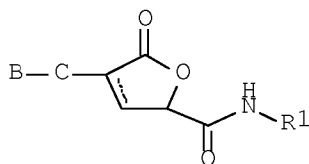
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040147760	A1	20040729	US 2003-646440	20030822
US 7141588	B2	20061128		
US 20040044052	A1	20040304	US 2003-373286	20030224
US 6919329	B2	20050719		
US 20070015801	A1	20070118	US 2006-524754	20060921
PRIORITY APPLN. INFO.:			US 2002-359495P	P 20020225
			US 2003-373286	A2 20030224
			US 2003-646440	A3 20030822

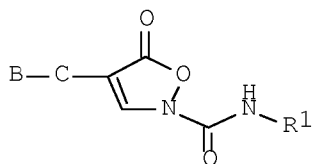
OTHER SOURCE(S): MARPAT 141:140424
GI



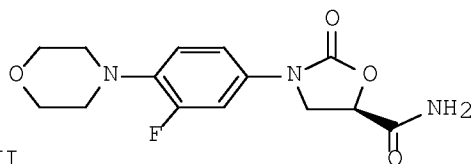
I



II



III



IV

AB Title compds. of formula I, II, and III [wherein B = (un)substituted cycloalkyl, cycloalkenyl, aryl, or heterocycllyl; C = (hetero)aryl (un)substituted with 1-3 R2; or BC = (un)substituted bicyclic heterocycle; R1 = H, OH, cycloalkyl, NH2, or (un)substituted alkyl, alkoxy, or alkenyl; R2 = independently H, NH2, NO2, CN, halo, or (un)substituted alkyl; with provisos; and pharmaceutically acceptable salts thereof] were prepared as antibacterial agents. Examples include syntheses and biol. data for 91 compds. For

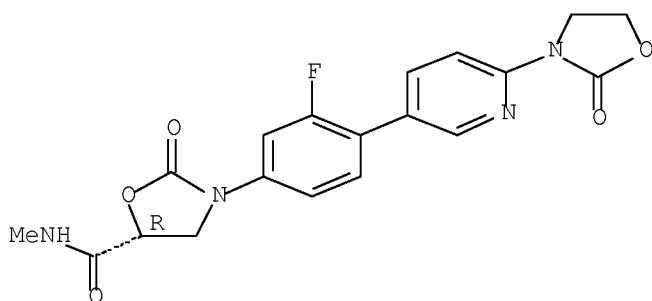
instance, benzyl 3-fluoro-4-(4-morpholinyl)phenylcarbamate was stirred with BuLi in THF at -78° for 30 min. Cycloaddn. with potassium (2R)-glycidate gave the 2-oxo-5-oxazolidinecarboxylic acid, which was amidated using oxalyl chloride and ammonium to afford (R)-(-)-IV. Compds. of the invention inhibited growth of Staphylococcus aureus with MIC values ranging from 0.5 µg/mL to 16 µg/mL. Thus, I, II, and III and their pharmaceutical compns. are useful for the treatment of microbial infections.

IT 725261-21-2F, (5R)-N-Methyl-3-[3-Fluoro-4-[6-(2-oxooxazolidin-3-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide
 725261-22-3P, (5R)-3-[3-Fluoro-4-[6-(2-oxooxazolidin-3-yl)pyridin-3-yl]phenyl]-2-oxooxazolidine-5-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 3-aryl-2-oxo-5-oxazolidinecarboxamides and analogs as antibacterial agents)

RN 725261-21-2 CAPLUS

CN 5-Oxazolidinecarboxamide, 3-[3-fluoro-4-[6-(2-oxo-3-oxazolidinyl)-3-pyridinyl]phenyl]-N-methyl-2-oxo-, (5R)- (CA INDEX NAME)

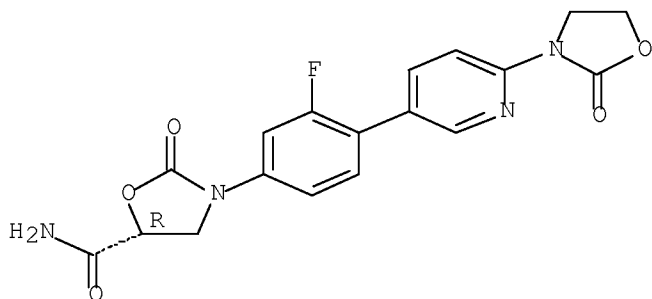
Absolute stereochemistry.



RN 725261-22-3 CAPLUS

CN 5-Oxazolidinecarboxamide, 3-[3-fluoro-4-[6-(2-oxo-3-oxazolidinyl)-3-pyridinyl]phenyl]-2-oxo-, (5R)- (CA INDEX NAME)

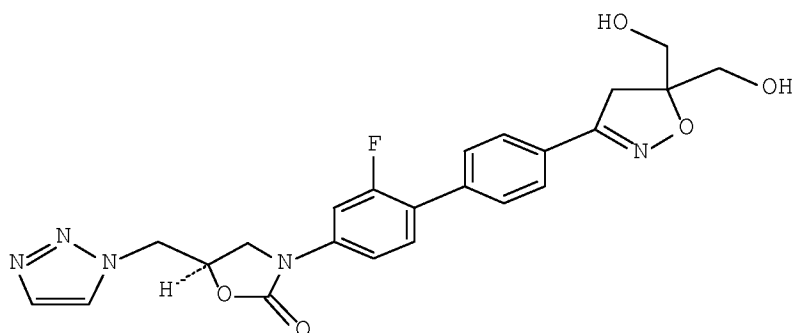
Absolute stereochemistry.



REFERENCE COUNT: 98 THERE ARE 98 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2004:467903 CAPLUS Full-text
 DOCUMENT NUMBER: 141:38599
 TITLE: Preparation of oxazolidinone/isoxazoline derivatives
 as antibacterial agents
 INVENTOR(S): Carcanague, Daniel Robert; Gravestock, Michael Barry;
 Hales, Neil James; Hauck, Sheila Irene; Weber, Thomas
 Peter
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 185 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048392	A1	20040610	WO 2003-GB5087	20031124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2507468	A1	20040610	CA 2003-2507468	20031124
AU 2003302404	A1	20040618	AU 2003-302404	20031124
EP 1567532	A1	20050831	EP 2003-811807	20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016688	A	20051018	BR 2003-16688	20031124
CN 1742015	A	20060301	CN 2003-80109196	20031124
JP 2006508192	T	20060309	JP 2005-510253	20031124
NZ 540147	A	20080328	NZ 2003-540147	20031124
MX 2005PA05651	A	20050727	MX 2005-PA5651	20050526
NO 2005002534	A	20050822	NO 2005-2534	20050526
ZA 2005004308	A	20060426	ZA 2005-4308	20050526
US 20060116400	A1	20060601	US 2005-536686	20050527
PRIORITY APPLN. INFO.:			GB 2002-27702	A 20021128
			GB 2003-4725	A 20030301
			GB 2003-18608	A 20030808
			WO 2003-GB5087	W 20031124
OTHER SOURCE(S):	MARPAT	141:38599		
GI				



II

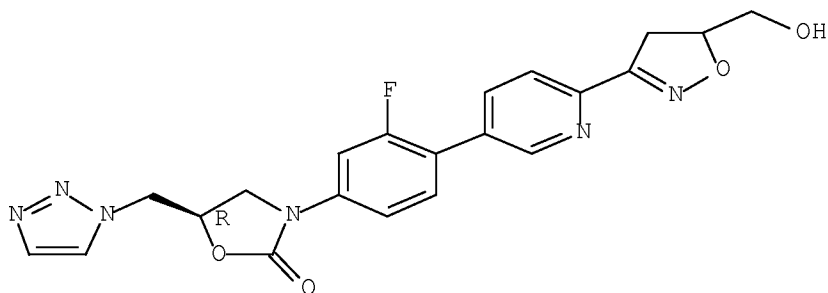
AB Title compds. (R1a)m-A-C-B-CH2-R1b [C = biaryl group; A, B = dihydroisoxazole, oxazolidinone; R1a = CN, carboxy, alkoxy, carbonyl, aryl, etc.; m = 0-2; R1b = OH, silyloxy, etc.; I] are prepared For instance, (5R)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given) is coupled to 5,5-bis[[(tert-butyl dimethylsilyl)oxy]methyl]-3-[4-(trimethylstannyl)phenyl]-4,5-dihydroisoxazole (preparation given) (NMP, (furan-2-yl)3P, dba3Pd2) and the resulting adduct desilylated (THF, TBAF) to give II. II exhibits MIC = 0.5 µg/mL against Staphylococcus aureus; compds. of the invention are antibacterial agents.

IT 501940-91-6P 702680-40-8P 702680-89-5P
702680-93-1P 702681-83-2P, (5R)-3-[4-[6-[(5S)-5-((1R)-1,2-Dihydroxyethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinone/isoxazoline derivs. as antibacterial agents)

RN 501940-91-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

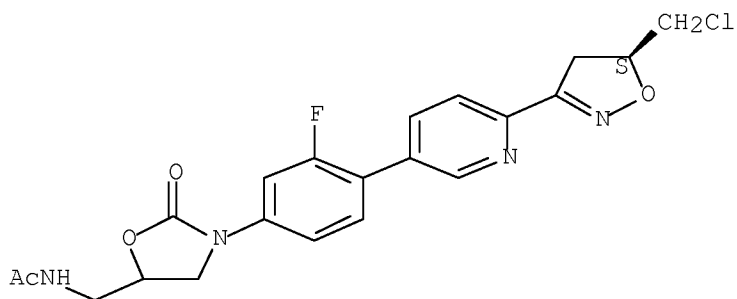
Absolute stereochemistry.



RN 702680-40-8 CAPLUS

CN Acetamide, N-[[3-[4-[6-[(5S)-5-(chloromethyl)-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

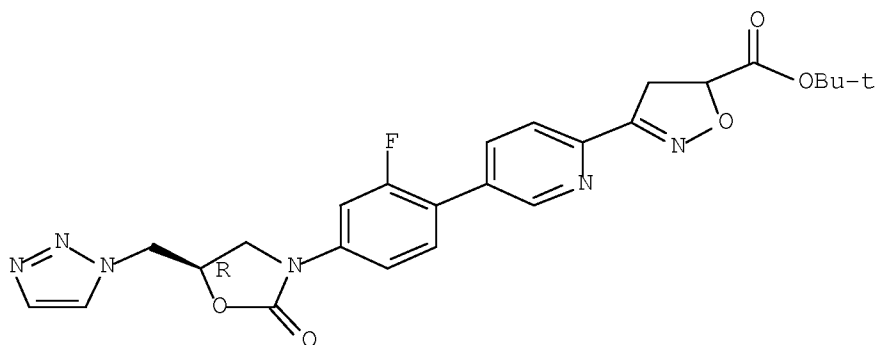
Absolute stereochemistry.



RN 702680-89-5 CAPLUS

CN 5-Isioxazolecaboxylic acid, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)

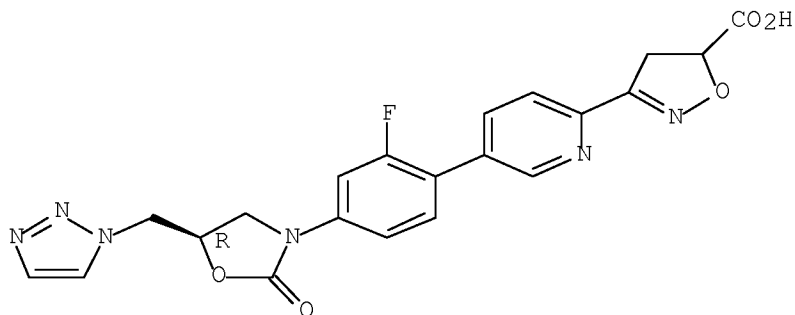
Absolute stereochemistry.



RN 702680-93-1 CAPLUS

CN 5-Isioxazolecaboxylic acid, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro- (CA INDEX NAME)

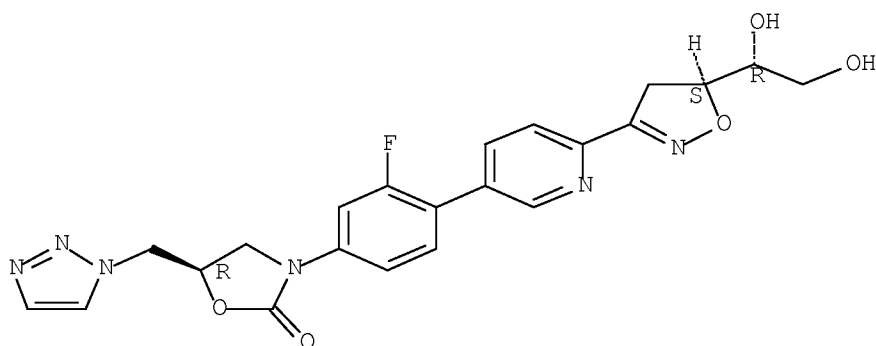
Absolute stereochemistry.



RN 702681-83-2 CAPLUS

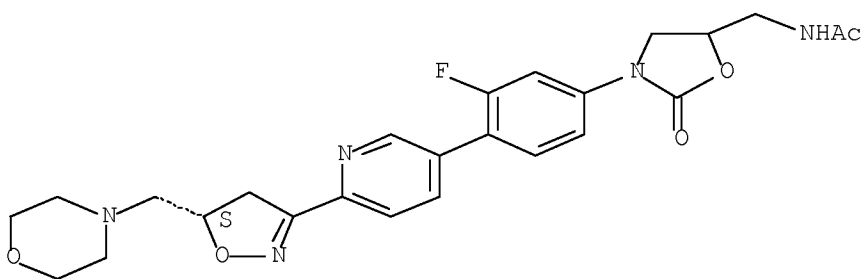
CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(1R)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 702680-44-2P 702680-46-4P 702680-51-1P
 702680-61-3P 702680-65-7P 702680-69-1P
 702680-73-7P, (5R)-3-[3-Fluoro-4-[6-[5,5-bis(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]phenyl]-5-[(4-fluoromethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 702680-81-7P,
 N-[[(5S)-3-[3-Fluoro-4-[6-[5,5-bis(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide
 702680-95-3P 702680-99-7P 702681-01-4P
 702681-07-0P 702681-11-6P 702681-15-0P
 702681-20-7P 702681-22-9P 702681-26-3P
 702681-31-0P 702681-39-8P 702681-40-1P
 702681-42-3P 702681-44-5P 702681-47-8P
 702681-54-7P 702681-56-9P 702681-61-6P
 702681-64-9P 702681-66-1P 702681-69-4P
 702681-71-8P 702681-73-0P 702681-87-6P,
 (5R)-3-[4-[6-[(5R)-5-((1R)-1,2-Dihydroxyethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702681-97-8P, (5R)-3-[4-[6-[(5S)-5-((1S)-1,2-Dihydroxyethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-01-7P,
 (5R)-3-[4-[6-[(5R)-5-((1S)-1,2-Dihydroxyethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-13-1P, (5R)-3-[4-[6-[5,5-Bis(hydroxymethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-21-1P
 702682-26-6P 702682-29-9P 702682-32-4P
 702682-43-7P, (5R)-3-[3-Fluoro-4-[6-[(5S)-5-[(2-hydroxyethyl)thio]methyl]-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-56-2P
 702682-72-2P 702682-78-8P 702682-88-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of oxazolidinone/isoxazoline derivs. as antibacterial agents)
 RN 702680-44-2 CAPLUS
 CN Acetamide, N-[[3-[4-[6-[(5S)-4,5-dihydro-5-(4-morpholinylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

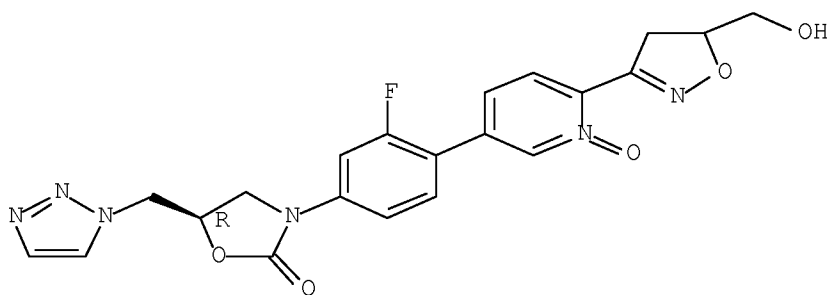
Absolute stereochemistry.



RN 702680-46-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-1-oxido-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

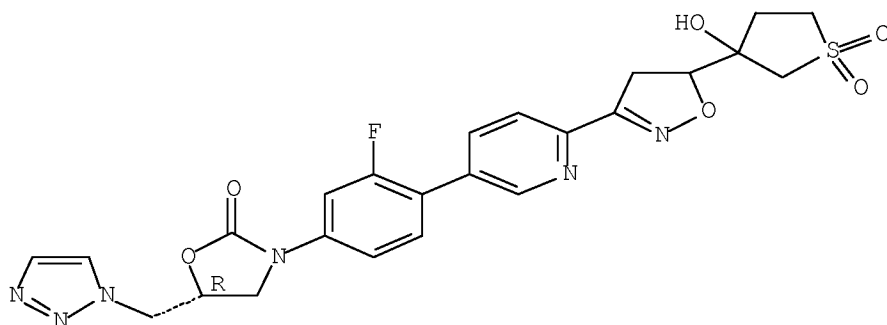
Absolute stereochemistry.



RN 702680-51-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(tetrahydro-3-hydroxy-1,1-dioxido-3-thienyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

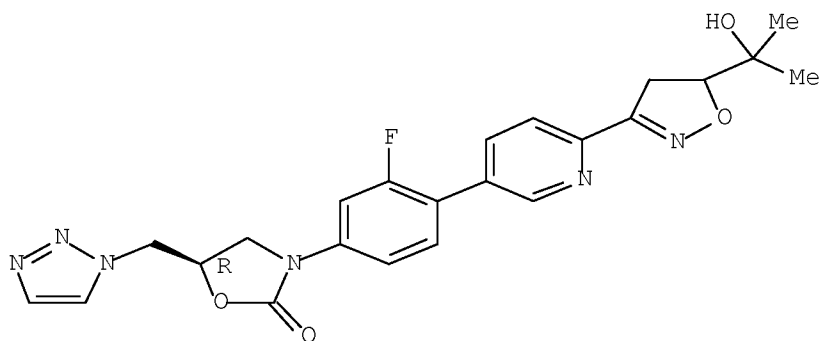
Absolute stereochemistry.



RN 702680-61-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(1-hydroxy-1-methylethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

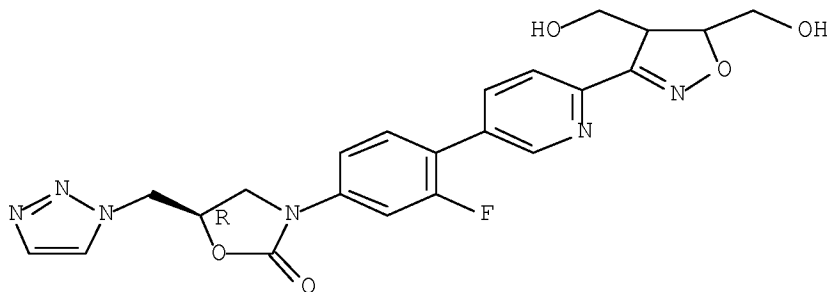
Absolute stereochemistry.



RN 702680-65-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-4,5-bis(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

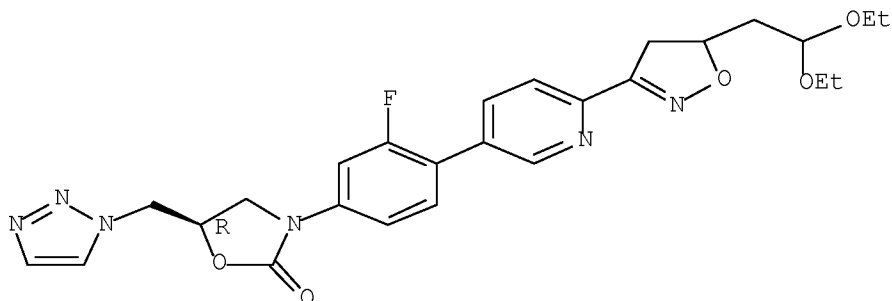
Absolute stereochemistry.



RN 702680-69-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[5-(2,2-diethoxyethyl)-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

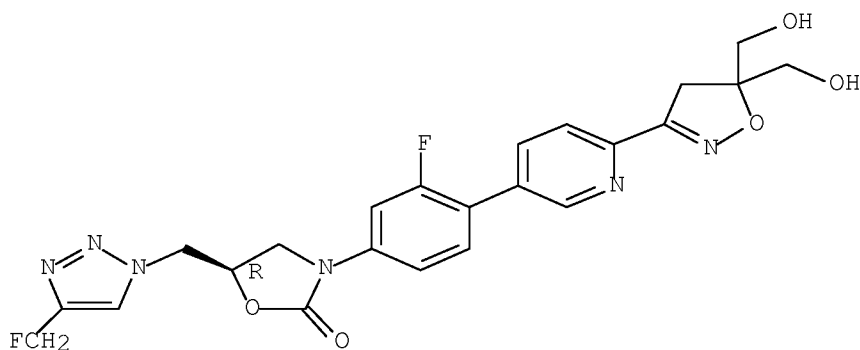


RN 702680-73-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

3-pyridinyl]-3-fluorophenyl]-5-[[4-(fluoromethyl)-1H-1,2,3-triazol-1-yl]methyl]-, (5R)- (CA INDEX NAME)

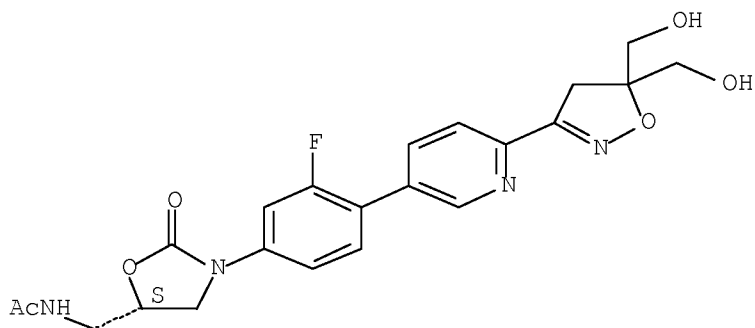
Absolute stereochemistry.



RN 702680-81-7 CAPLUS

CN Acetamide, N-[[[(5S)-3-[4-[6-[4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

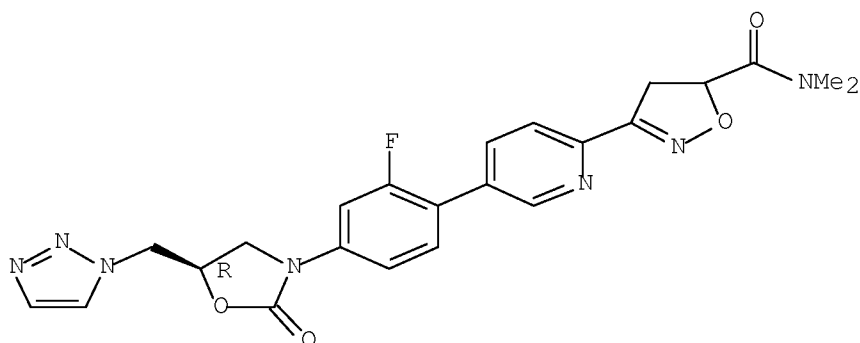
Absolute stereochemistry.



RN 702680-95-3 CAPLUS

CN 5-Isioxazolecarboxamide, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-N,N-dimethyl- (CA INDEX NAME)

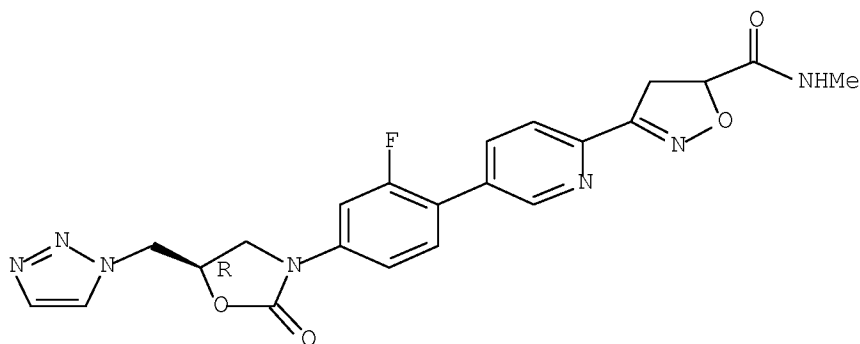
Absolute stereochemistry.



RN 702680-99-7 CAPLUS

CN 5-Isioxazolecarboxamide, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-N-methyl- (CA INDEX NAME)

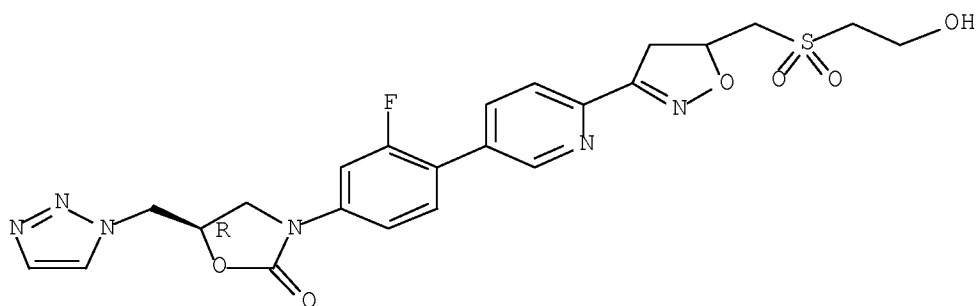
Absolute stereochemistry.



RN 702681-01-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[[(2-hydroxyethyl) sulfonyl]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

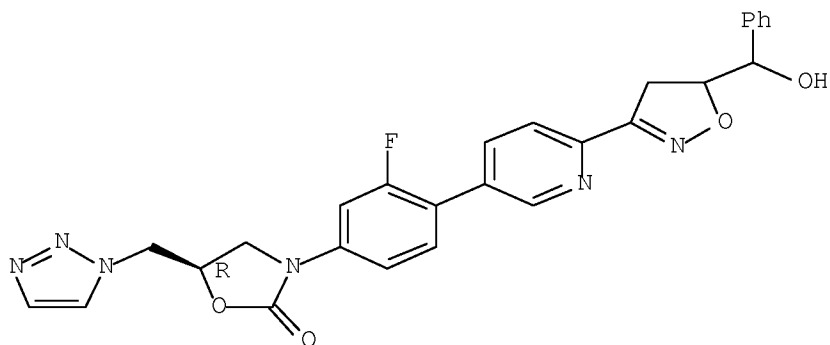


RN 702681-07-0 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxyphenylmethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-

(5R)- (CA INDEX NAME)

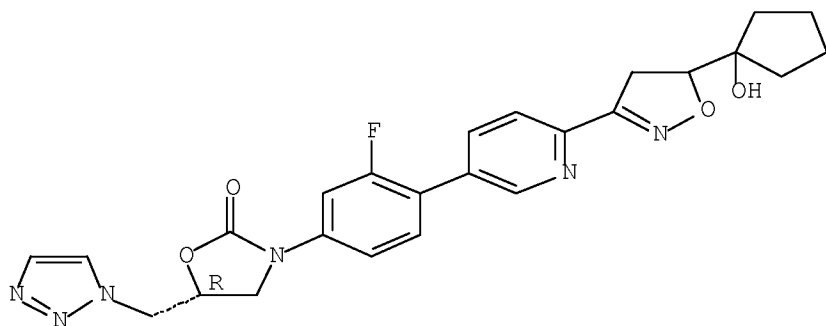
Absolute stereochemistry.



RN 702681-11-6 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(1-hydroxycyclopentyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

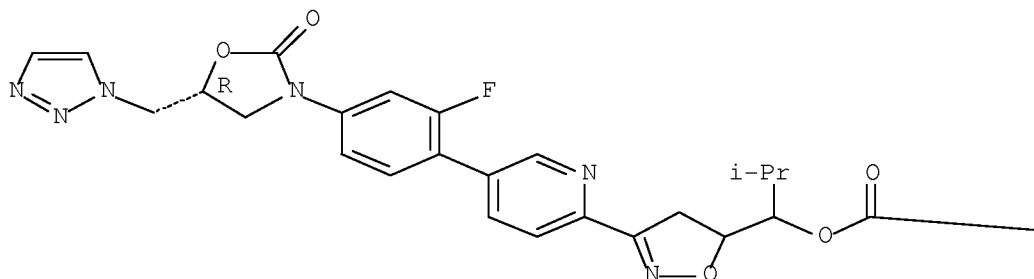


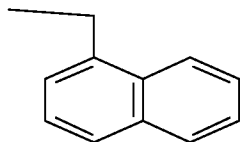
RN 702681-15-0 CAPLUS

CN 1-Naphthaleneacetic acid, 1-[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-methylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

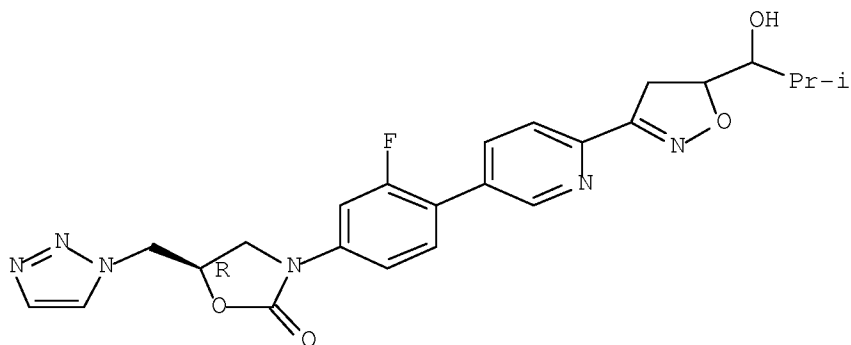




RN 702681-20-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(1-hydroxy-2-methylpropyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

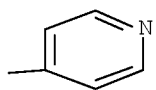
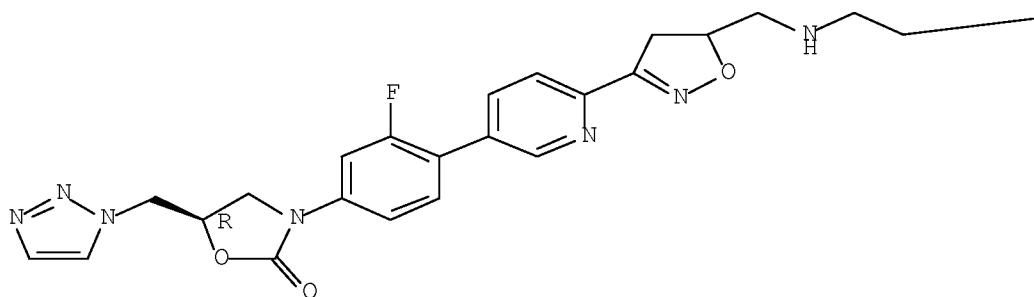
Absolute stereochemistry.



RN 702681-22-9 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[[[2-(4-pyridinyl)ethyl]amino]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

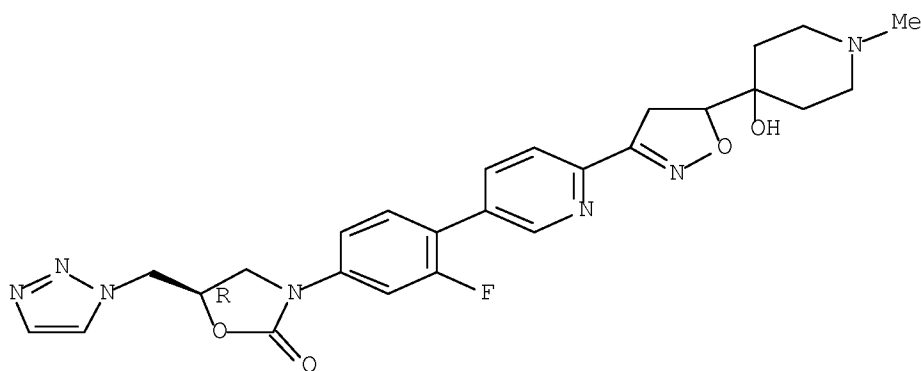
Absolute stereochemistry.



RN 702681-26-3 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(4-hydroxy-1-methyl-4-piperidinyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

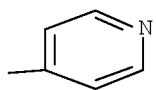
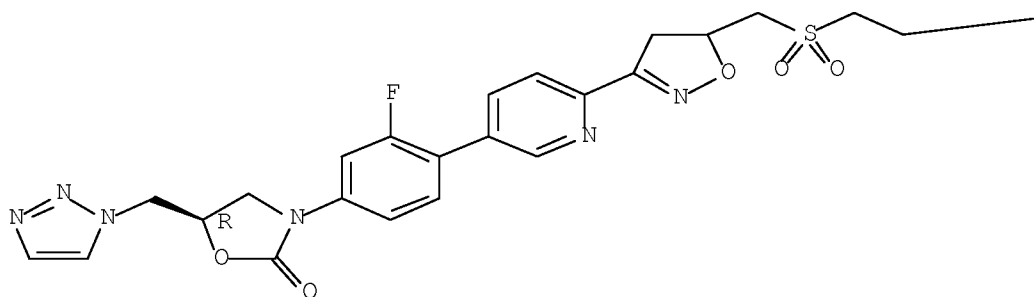
Absolute stereochemistry.



RN 702681-31-0 CAPLUS

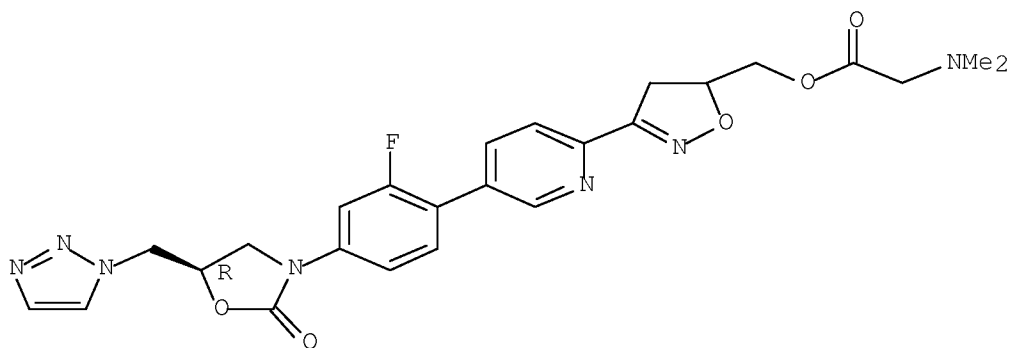
CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[[[2-(4-pyridinyl)ethyl]sulfonyl]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 702681-39-8 CAPLUS
 CN Glycine, N,N-dimethyl-, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

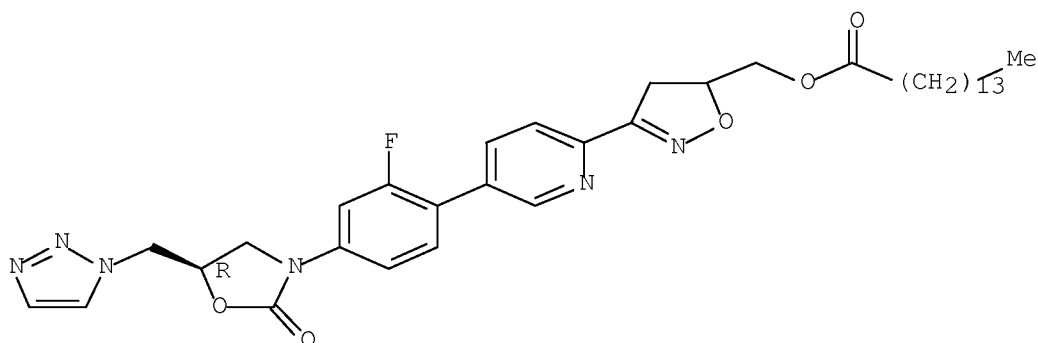
Absolute stereochemistry.



● HCl

RN 702681-40-1 CAPLUS
 CN Pentadecanoic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

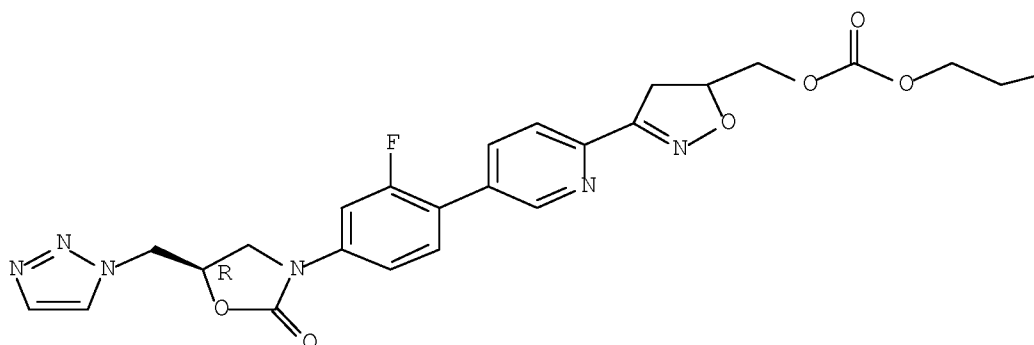


RN 702681-42-3 CAPLUS

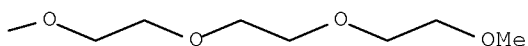
CN 2,5,8,11,14-Pentaoxapentadecanoic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



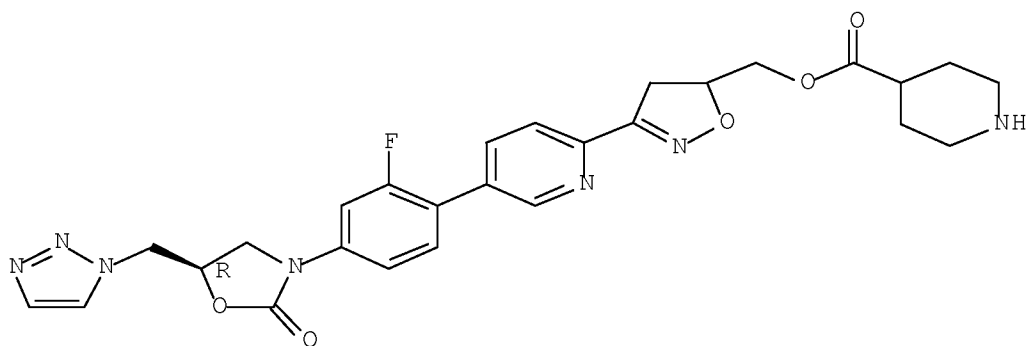
PAGE 1-B



RN 702681-44-5 CAPLUS

CN 4-Piperidinecarboxylic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

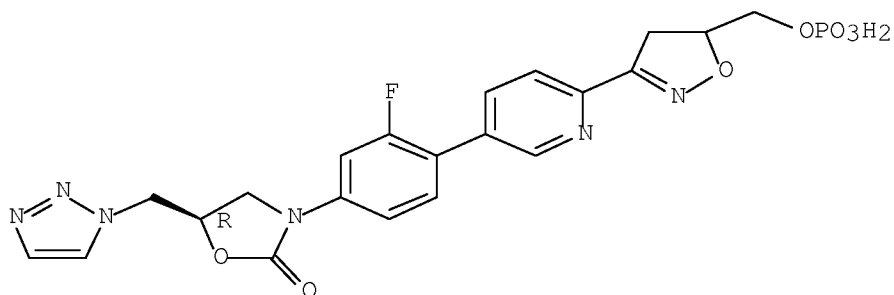
Absolute stereochemistry.



RN 702681-47-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[(phosphonooxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, diammonium salt, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

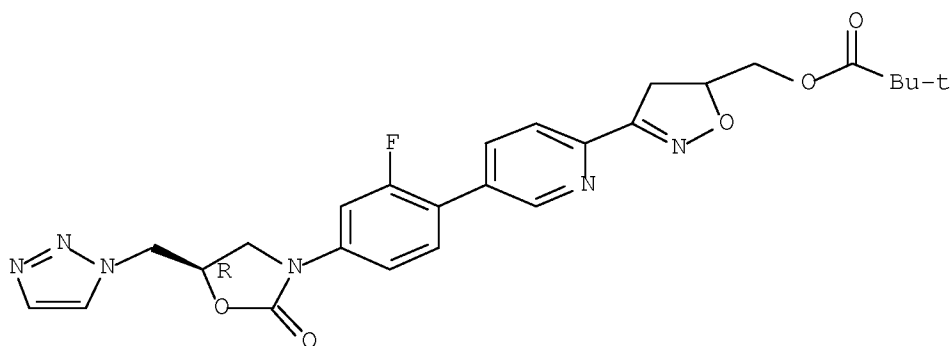


● 2 NH₃

RN 702681-54-7 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

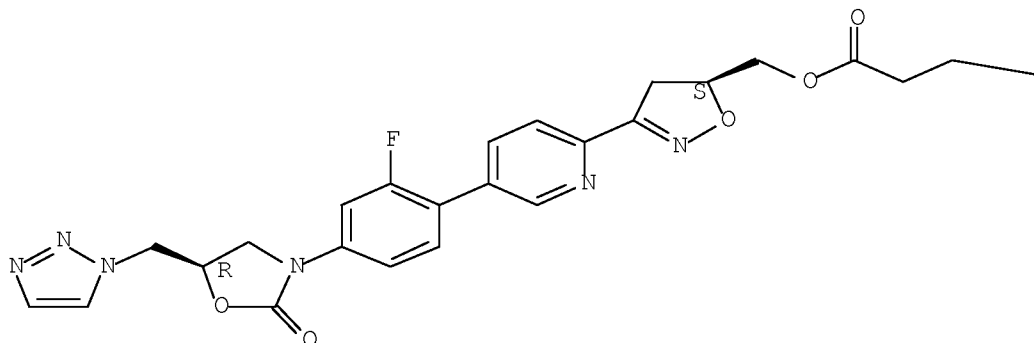


RN 702681-56-9 CAPLUS

CN β -Alanine, N,N-diethyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—NEt₂

RN 702681-61-6 CAPLUS

CN Butanedioic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

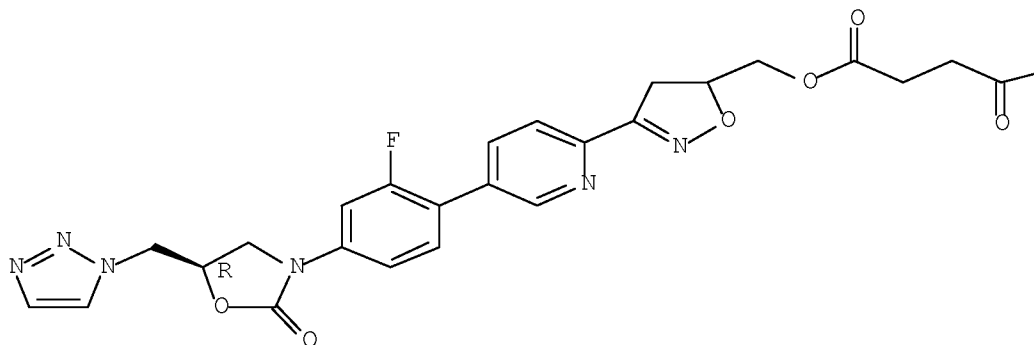
CM 1

CRN 702681-60-5

CMF C26 H25 F N6 O7

Absolute stereochemistry.

PAGE 1-A

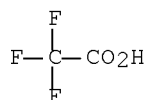


—OMe

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 702681-64-9 CAPLUS

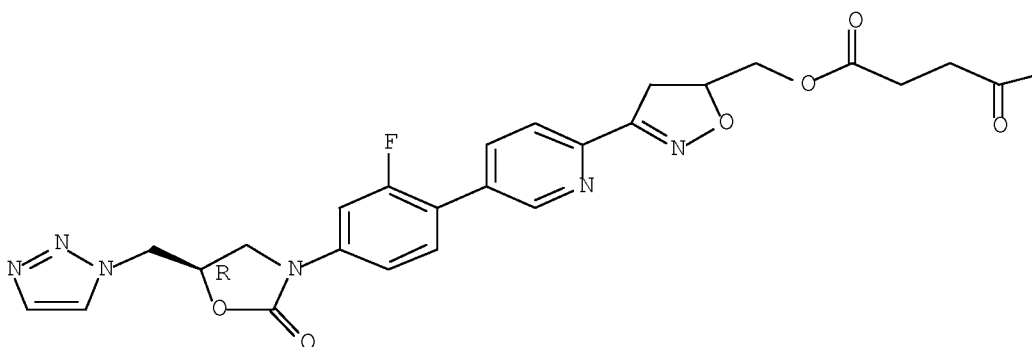
CN Butanedioic acid, ethyl [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 702681-63-8

CMF C27 H27 F N6 O7

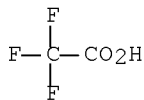
Absolute stereochemistry.



—OEt

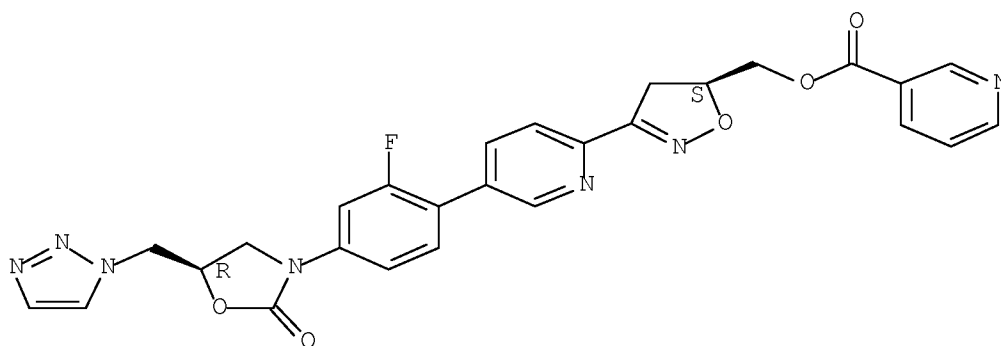
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 702681-66-1 CAPLUS
CN 3-Pyridinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

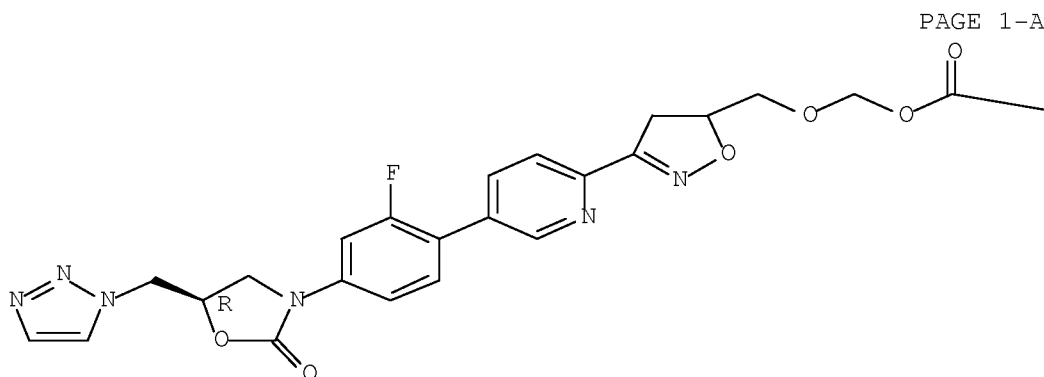


RN 702681-69-4 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 702681-68-3
CMF C27 H29 F N6 O6

Absolute stereochemistry.



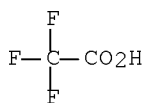
PAGE 1-A

—Bu-t

CM 2

CRN 76-05-1

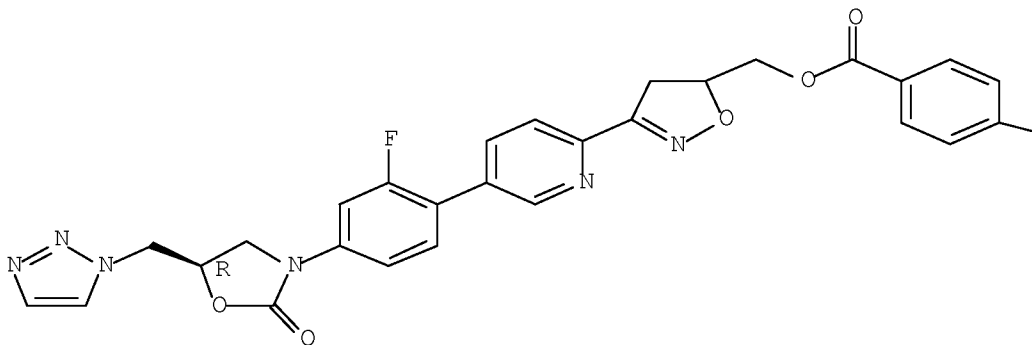
CMF C2 H F3 O2



RN 702681-71-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[[(4-nitrobenzoyl)oxy]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

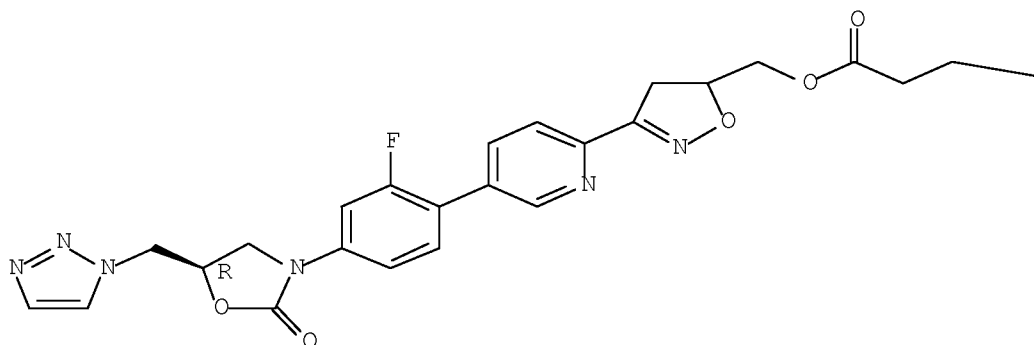


—NO2

RN 702681-73-0 CAPLUS
 CN Butanedioic acid, mono[[3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

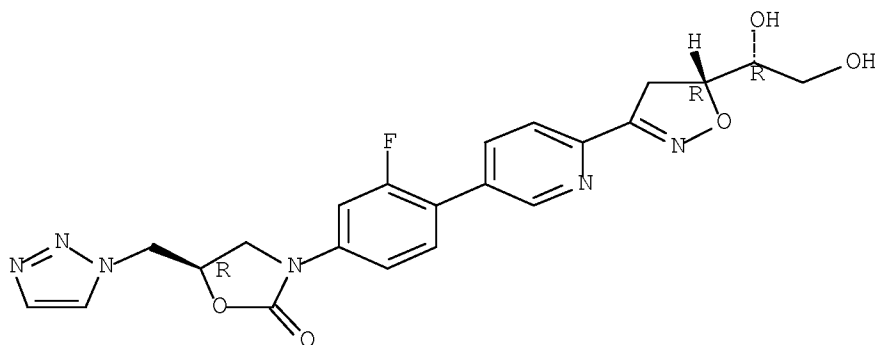


PAGE 1-B

—CO₂H

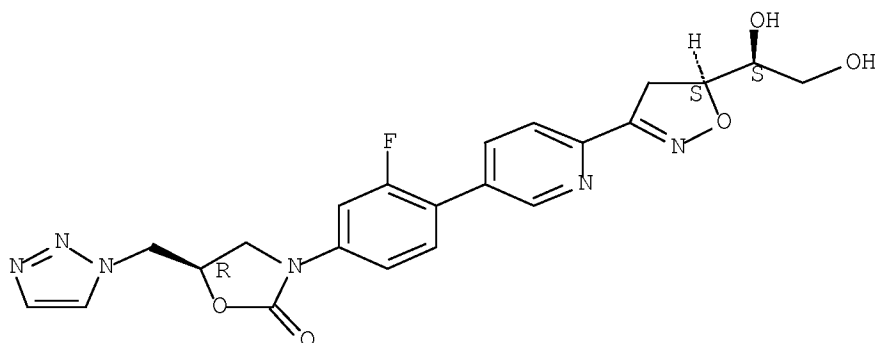
RN 702681-87-6 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5R)-5-[(1R)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 702681-97-8 CAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(1S)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

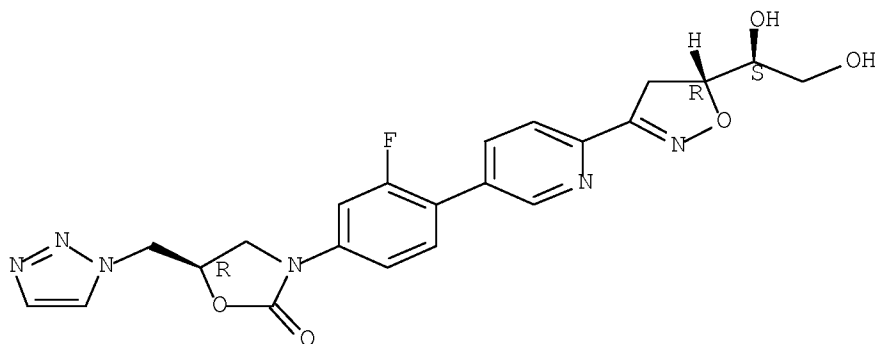
Absolute stereochemistry.



RN 702682-01-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5R)-5-[(1S)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

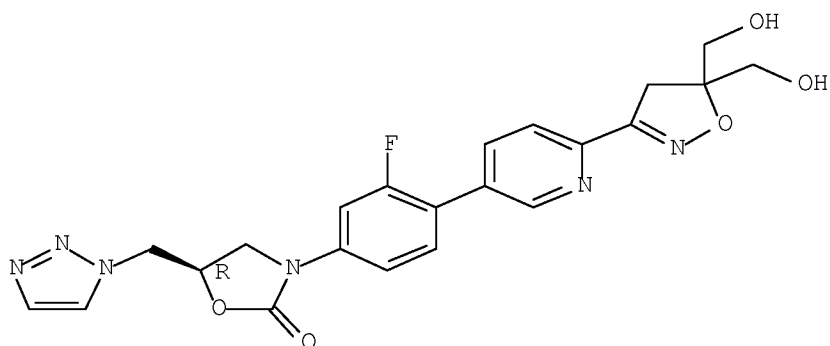
Absolute stereochemistry.



RN 702682-13-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



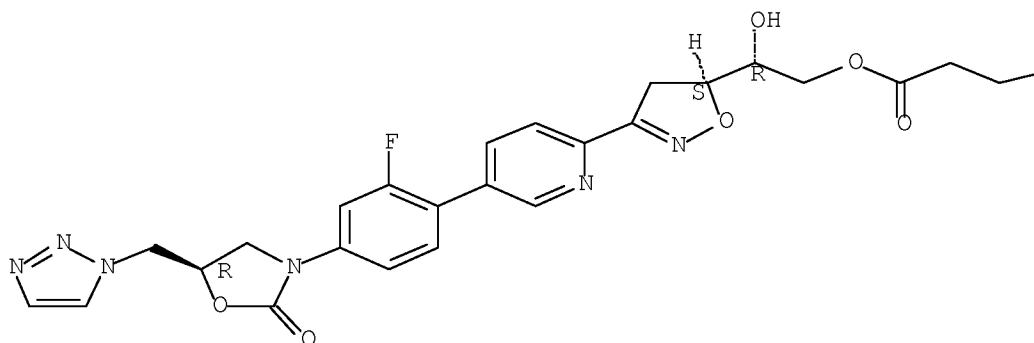
RN 702682-21-1 CAPLUS

CN Propanoic acid, 3-methoxy-, (2R)-2-[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-]]]]

(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-hydroxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

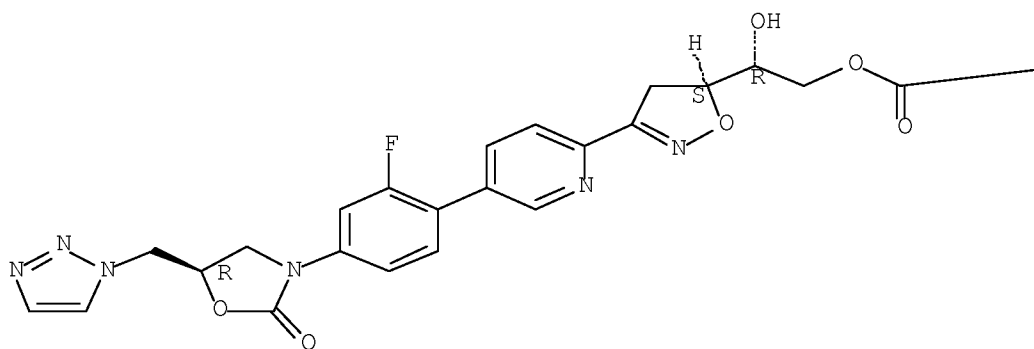
—OMe

RN 702682-26-6 CAPLUS

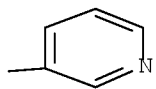
CN 3-Pyridinecarboxylic acid, (2R)-2-[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-hydroxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

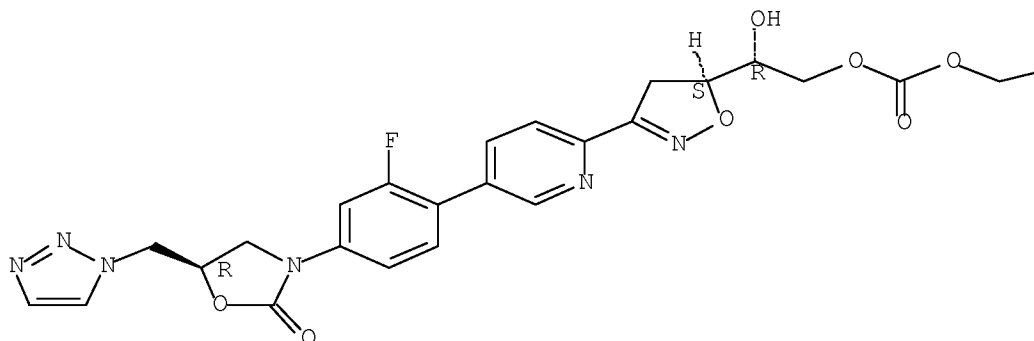


RN 702682-29-9 CAPLUS

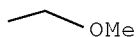
CN Carbonic acid, (2R)-2-[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-hydroxyethyl 2-methoxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



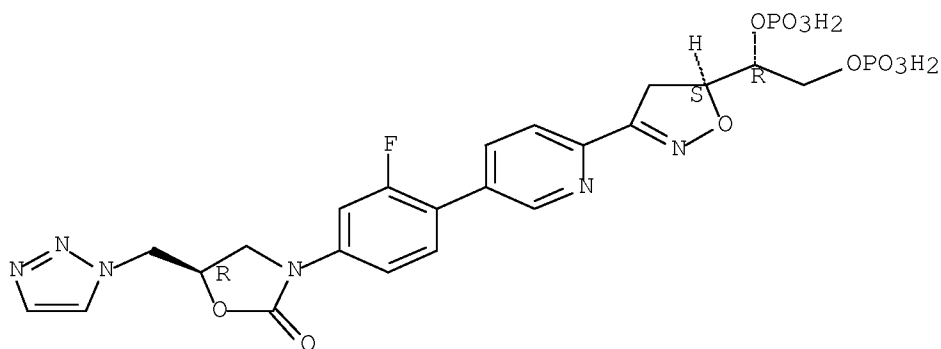
PAGE 1-B



RN 702682-32-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[5-[(1R)-1,2-bis(phosphonooxy)ethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, tetraammonium salt, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

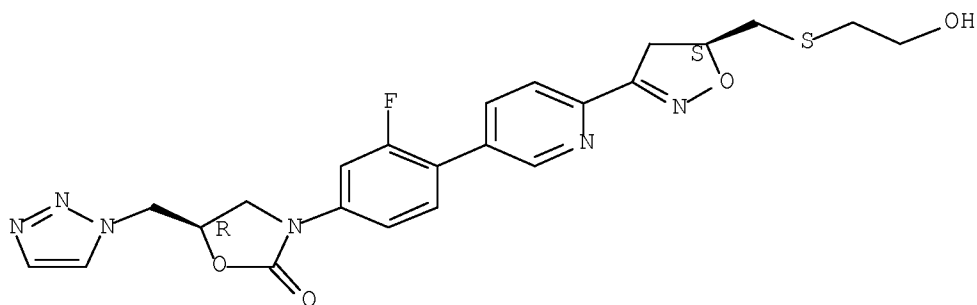


● 4 NH₃

RN 702682-43-7 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[2-(hydroxyethyl)thio]methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

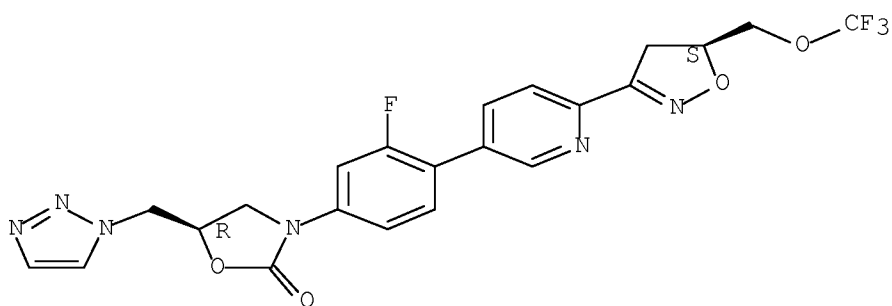
Absolute stereochemistry.



RN 702682-56-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(trifluoromethoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

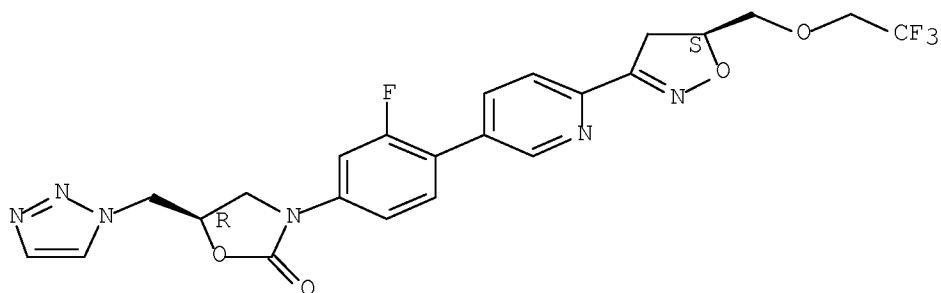
Absolute stereochemistry.



RN 702682-72-2 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2,2,2-trifluoroethoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

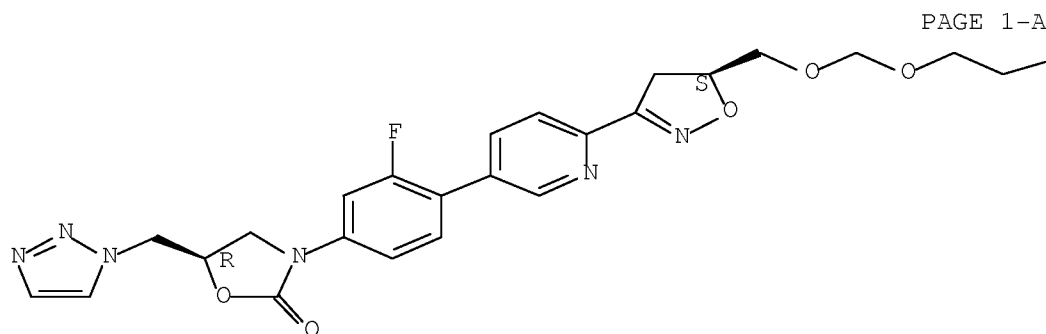


RN 702682-78-8 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[[(2-

methoxyethoxy)methoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



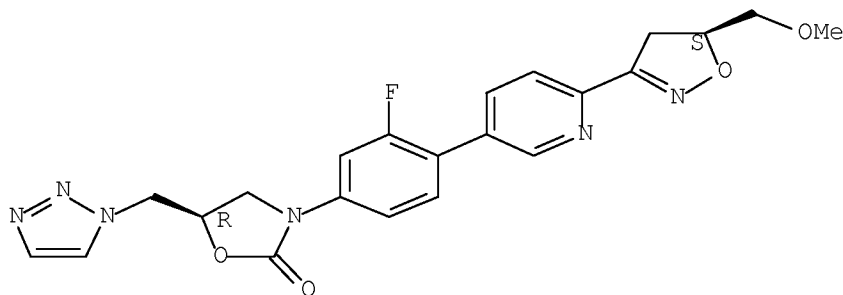
—OMe

PAGE 1-B

RN 702682-88-0 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(methoxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 702680-42-0

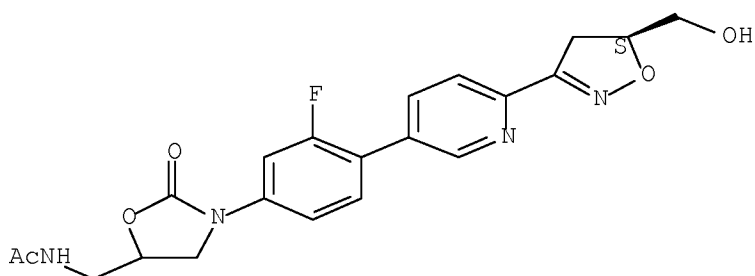
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of oxazolidinone/isoxazoline derivs. as antibacterial agents)

RN 702680-42-0 CAPLUS

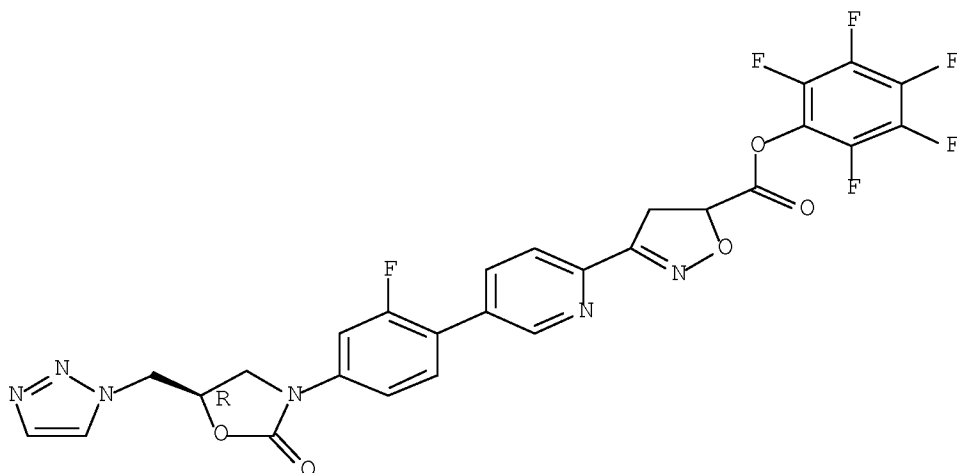
CN Acetamide, N-[[3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



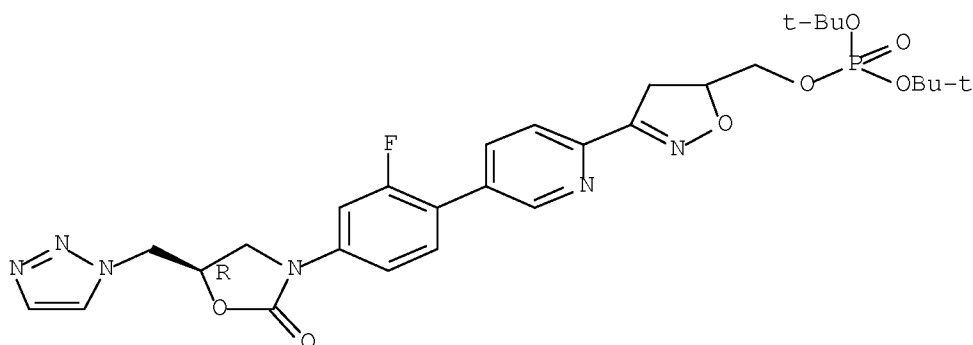
IT 702680-97-5P 702681-49-0P 702681-58-1P
 702681-85-4P 702681-99-0P 702682-16-4P,
 (5R)-3-[4-[6-[5,5-Bis[[tert-butyl(dimethylsilyl)oxy]methyl]-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702682-35-7P 702682-37-9P
 702682-47-1P, (5R)-3-[4-[6-[(5S)-5-(Chloromethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of oxazolidinone/isoxazoline derivs. as antibacterial agents)
 RN 702680-97-5 CAPLUS
 CN 5-Isioxazolecarboxylic acid, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-, pentafluorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 702681-49-0 CAPLUS
 CN Phosphoric acid, bis(1,1-dimethylethyl) [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyloxy]methyl ester (9CI) (CA INDEX NAME)

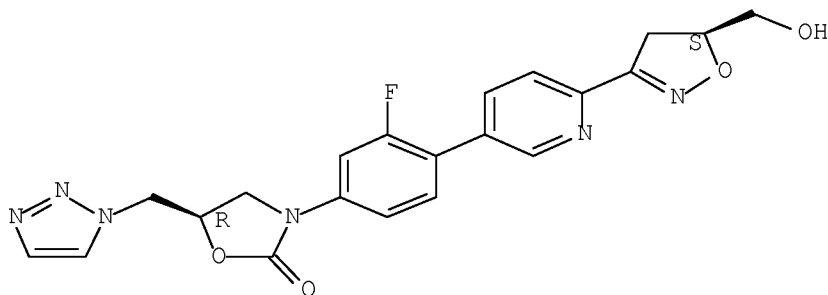
Absolute stereochemistry.



RN 702681-58-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

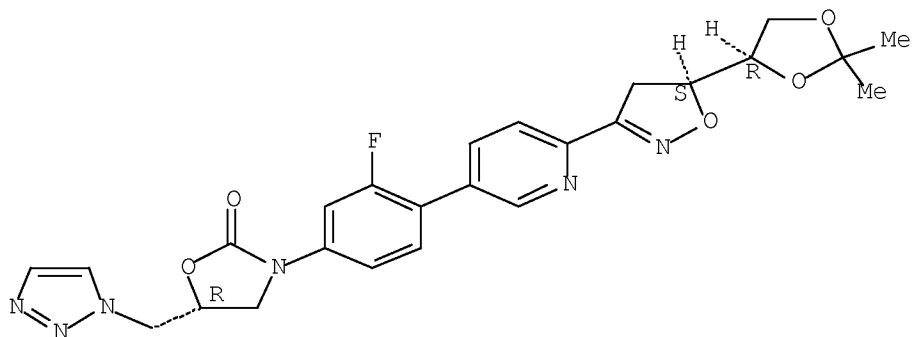
Absolute stereochemistry.



RN 702681-85-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

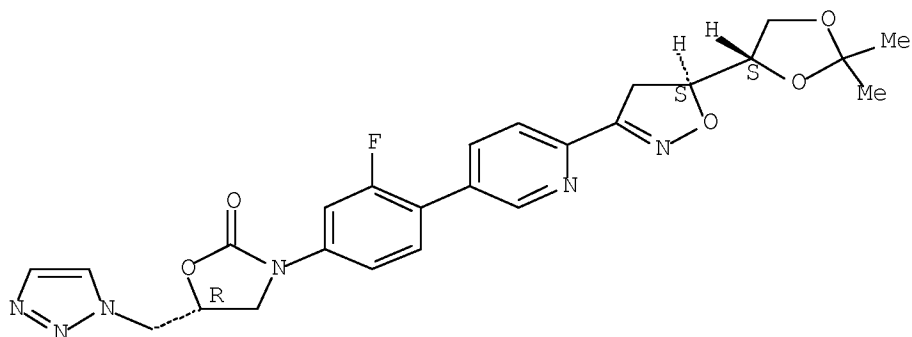


RN 702681-99-0 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-

ylmethyl)-, (5R)- (CA INDEX NAME)

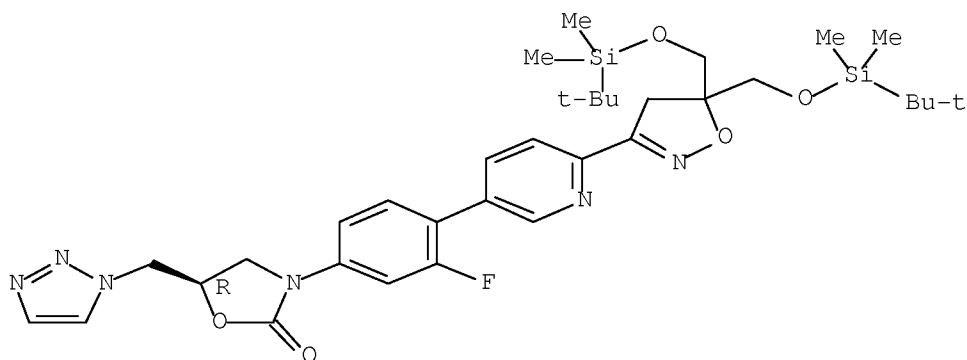
Absolute stereochemistry.



RN 702682-16-4 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[5,5-bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

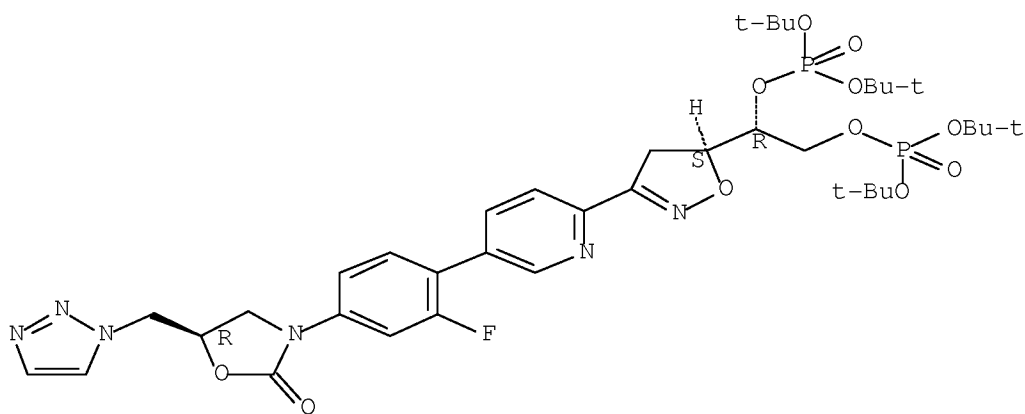
Absolute stereochemistry.



RN 702682-35-7 CAPLUS

CN Phosphoric acid, (1R)-1-[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-1,2-ethanediyl tetrakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

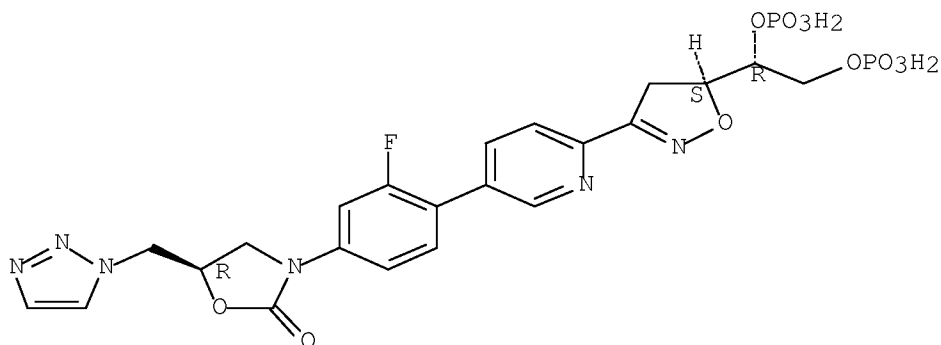
Absolute stereochemistry.



RN 702682-37-9 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(1R)-1,2-bis(phosphonoxy)ethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

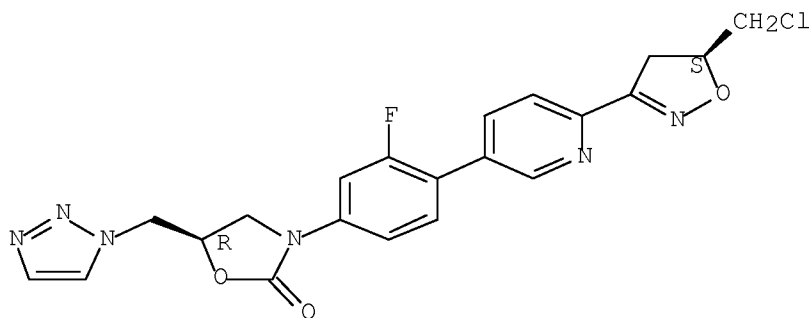
Absolute stereochemistry.



RN 702682-47-1 CAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-(chloromethyl)-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

58.29

237.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-7.20

-7.20

STN INTERNATIONAL LOGOFF AT 13:47:08 ON 02 MAY 2008